10/058,740

~~09587406~~

SESSION RESUMED IN FILE 'REGISTRY' AT 15:14:13 ON 29 APR 2004
FILE 'REGISTRY' ENTERED AT 15:14:13 ON 29 APR 2004
COPYRIGHT (C) 2004 American Chemical Society (ACS)

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	316.72	316.93

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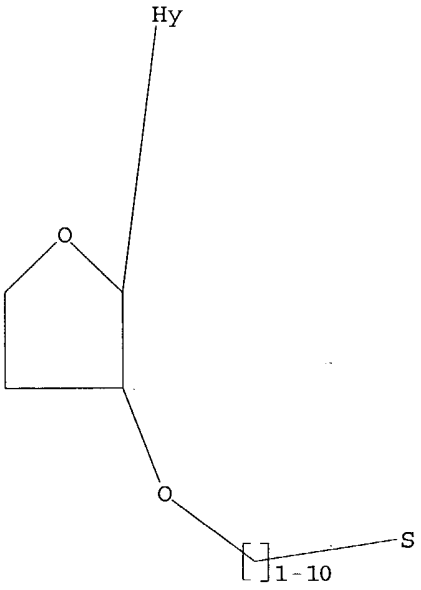

Structure attributes must be viewed using STN Express query preparation.

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FULL SCREEN SEARCH COMPLETED - 18891 TO ITERATE

100.0% PROCESSED 18891 ITERATIONS 250 ANSWERS
SEARCH TIME: 00.00.02

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=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	472.14	472.35

FILE 'CAPLUS' ENTERED AT 15:14:54 ON 29 APR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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09567863

FILE COVERS 1907 - 29 Apr 2004 VOL 140 ISS 18
FILE LAST UPDATED: 28 Apr 2004 (20040428/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> s l6
L7          185 L6

=> dup rem l7
PROCESSING COMPLETED FOR L7
L8          185 DUP REM L7 (0 DUPLICATES REMOVED)

=>  s l8 and oligonucleotide?
L9          185 S L8
          68582 OLIGONUCLEOTIDE?
L10          26 L9 AND OLIGONUCLEOTIDE?

=> s l10 and phosphorothio?
          15676 PHOSPHOROTHIO?
L11           3 L10 AND PHOSPHOROTHIO?

=> d l11 bib abs hitstr 1-3

L11  ANSWER 1 OF 3  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2001:168136  CAPLUS
DN   134:233606
TI   Nucleic acid-based ribozyme and DNAzyme modulators of gene expression
IN   McSwiggen, James; Usman, Nassim; Blatt, Lawrence; Beigelman, Leonid;
     Burgin, Alex; Karpeisky, Alexander; Matulic-Adamic, Jasenka; Sweedler,
     David; Draper, Kenneth; Chowrira, Bharat; Stinchcomb, Dan; Beaudry, Amber;
     Zinnen, Shawn; Lugwig, Janos; Sproat, Brian S.
PA   Ribozyme Pharmaceuticals, Inc., USA
SO   PCT Int. Appl., 717 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
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PI   WO 2001016312 A2        20010308       WO 2000-US23998  20000830
     W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR,
         CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID,
         IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
         MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG
     RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB,
         GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG
PRAI US 1999-PV151713  19990831
     US 1999-406643  19990927
     US 1999-PV156467  19990927
     US 1999-PV156236  19990927
     US 1999-436430  19991108
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US 1999-PV169100 19991206
US 1999-PV173612 19991229
US 1999-474432 19991229
US 1999-476387 19991230
US 2000-498824 20000204
US 2000-531025 20000320
US 2000-PV197769 20000414
US 2000-578223 20000523

OS MARPAT 134:233606

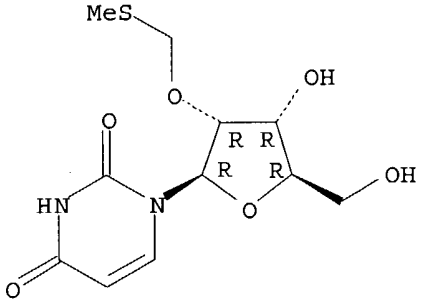
AB Novel nucleic acid mols. useful as inhibitors of gene expression, compns., and methods for their use are provided. The invention features novel nucleic acid-based techniques (e.g., enzymic nucleic acid mols. (ribozymes), antisense nucleic acids, 2-5A antisense chimeras, triplex DNA, and antisense nucleic acids containing RNA-cleaving chemical groups) and their use to modulate the expression of mol. targets impacting the development and progression of cancers, diabetes, obesity, Alzheimer's disease diseases, age-related diseases, and/or hepatitis B infections and related conditions. Catalytic nucleic acids were designed for site-specific cleavage of human mRNA targets encoding protein tyrosine phosphatase 1b, methionine aminopeptidase, β-secretase, presenilin-1, epidermal growth factor receptor-2 (HER2/c-erb2/neu), phospholamban, telomerase, and hepatitis B virus genes. Methods for chemical synthesis of modified nucleoside triphosphates (NTPs) and RNA polymerase-catalyzed incorporation of modified NTPs into catalytic **oligonucleotides** are also provided. [This abstract record os one of 6 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.].

IT **201667-29-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(chemical synthesis of modified nucleotide triphosphate; nucleic acid-based ribozyme and DNAzyme modulators of gene expression)

RN 201667-29-0 CAPLUS

CN Uridine, 2'-O-[(methylthio)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

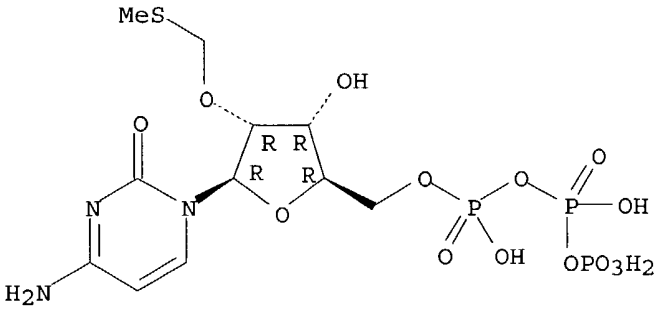


IT **215943-08-1P 215943-12-7P 215943-14-9P**
329324-21-2P
RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(chemical synthesis of modified nucleotide triphosphates and incorporation into **oligonucleotides**; nucleic acid-based ribozyme and DNAzyme modulators of gene expression)

RN 215943-08-1 CAPLUS

CN Cytidine 5'-(tetrahydrogen triphosphate), 2'-O-[(methylthio)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 215943-12-7 CAPLUS
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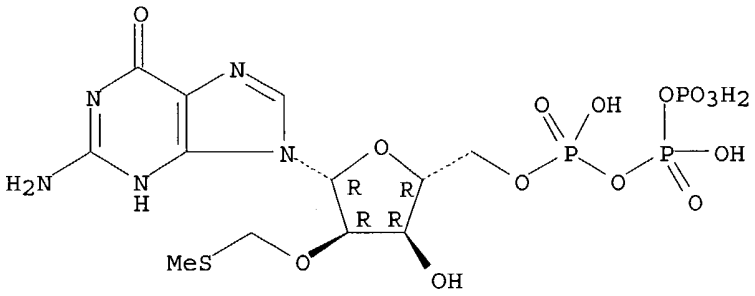
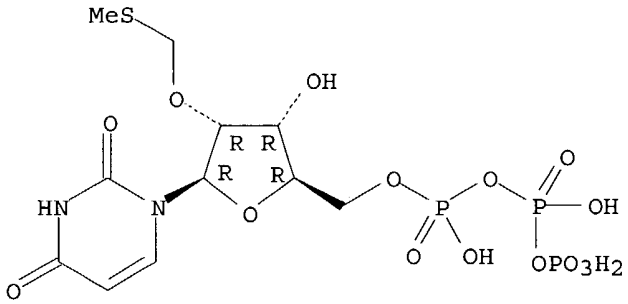
Absolute stereochemistry.



RN 215943-14-9 CAPLUS
CN Uridine 5'-(tetrahydrogen triphosphate), 2'-O-[(methylthio)methyl]- (9CI) (CA INDEX NAME)

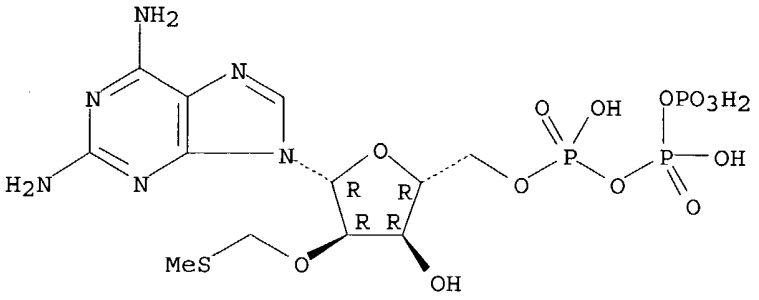
Absolute stereochemistry.



RN 329324-21-2 CAPLUS
CN Adenosine 5'-(tetrahydrogen triphosphate), 2-amino-2'-O-[(methylthio)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09567863



L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:747594 CAPLUS
DN 130:22238
TI Enzymic ribozyme treatment of diseases or cancers related to expression of c-raf gene
IN Jarvis, Thale; Matulic-Adamic, Jasenka; Reynolds, Mark; Kisich, Kevin; Bellon, Laurent; Parry, Tom; Beigelman, Leonid; McSwiggen, James A.; Karpeisky, Alexander; Burgin, Alex; Thompson, James; Workman, Christopher T.; Beaudry, Amber; Sweedler, David
PA Ribozyme Pharmaceuticals, Inc., USA; et al.
SO PCT Int. Appl., 259 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 111

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9850530	A2	19981112	WO 1998-US9249	19980505
	WO 9850530	A3	19990729		
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	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9851819	A1	19980611	AU 1998-51819	19980112
	AU 729657	B2	20010208		
	AU 9872905	A1	19981127	AU 1998-72905	19980505
	AU 749561	B2	20020627		
	EP 980424	A2	20000223	EP 1998-920299	19980505
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	JP 2001525667	T2	20011211	JP 1998-548448	19980505
	EP 1321521	A1	20030625	EP 2003-2270	19980505
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	US 6054576	A	20000425	US 1998-164964	19981001
	US 6162909	A	20001219	US 1999-326154	19990604
	AU 9939188	A1	19990916	AU 1999-39188	19990713
	US 6303773	B1	20011016	US 2000-644962	20000823
	AU 769175	B2	20040115	AU 2000-56616	20000911
	US 2002028919	A1	20020307	US 2001-960192	20010921
	US 6489465	B2	20021203		
	US 2002103366	A1	20020801	US 2001-957841	20010921
	US 6673918	B2	20040106		
	US 2003125291	A1	20030703	US 2002-277263	20021022

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PRAI US 1997-46059P P 19970509
US 1997-49002P P 19970609
US 1997-51718P P 19970703
US 1997-56808P P 19970822
US 1997-61321P P 19971002
US 1997-61324P P 19971002
US 1997-64866P P 19971105
US 1997-68212P P 19971219
AU 1995-26422 A3 19950518
US 1996-623891 A 19960325
AU 1996-76662 A3 19961025
WO 1998-US9249 W 19980505
US 1998-135964 A1 19980818
US 1998-164964 A1 19981001
EP 1998-920299 A3 19981112
US 1999-326154 A1 19990604
US 2000-644962 A1 20000823
US 2001-960192 A1 20010921

OS MARPAT 130:22238

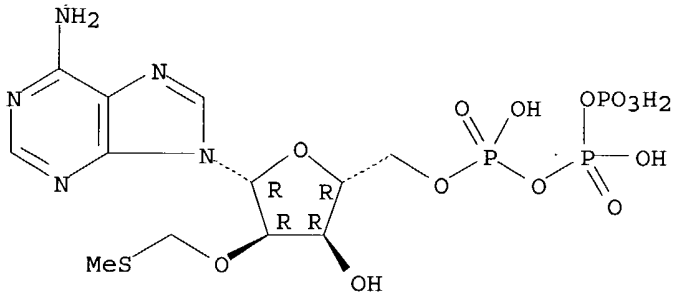
AB This invention relates to identification, synthesis and use of nucleic acid catalysts to cleave RNA species that are required for cellular growth responses. In particular, the invention describes the selection and function of ribozymes capable of cleaving RNA encoded by c-raf gene. Such ribozymes may be used to inhibit the proliferation of tumor cells in one or more cancers, restenosis, psoriasis, fibrosis and rheumatoid arthritis.

IT **215943-03-6 215943-08-1 215943-14-9**
RL: BPR (Biological process); BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); PROC (Process); USES (Uses)
(enzymic ribozyme treatment of diseases or cancers related to expression of c-raf gene)

RN 215943-03-6 CAPLUS

CN Adenosine 5'-(tetrahydrogen triphosphate), 2'-O-[(methylthio)methyl]- (9CI) (CA INDEX NAME)

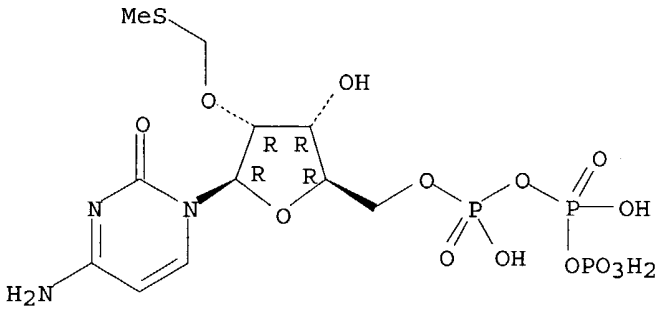
Absolute stereochemistry.



RN 215943-08-1 CAPLUS

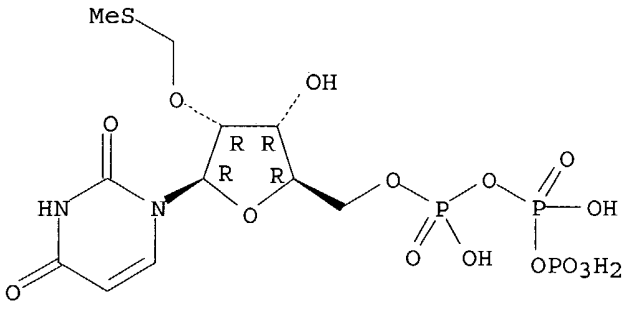
CN Cytidine 5'-(tetrahydrogen triphosphate), 2'-O-[(methylthio)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



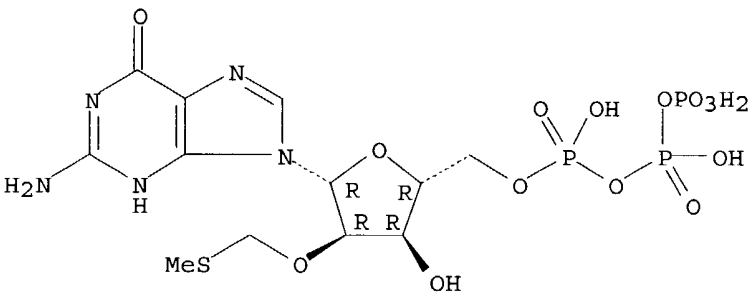
RN 215943-14-9 CAPLUS
CN Uridine 5'-(tetrahydrogen triphosphate), 2'-O-[(methylthio)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **215943-12-7P**
RL: BPR (Biological process); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(enzymic ribozyme treatment of diseases or cancers related to expression of c-raf gene)
RN 215943-12-7 CAPLUS
CN Guanosine 5'-(tetrahydrogen triphosphate), 2'-O-[(methylthio)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

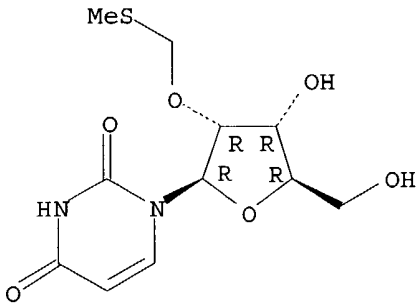


IT **201667-29-0 215942-53-3**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(enzymic ribozyme treatment of diseases or cancers related to expression of c-raf gene)
RN 201667-29-0 CAPLUS

09567863

CN Uridine, 2'-O-[(methylthio)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 215942-53-3 CAPLUS
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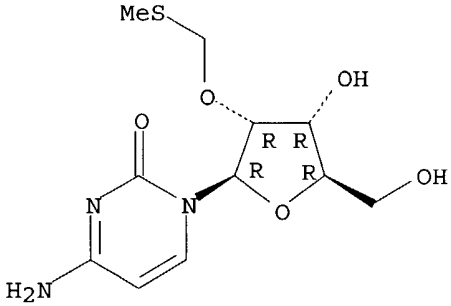
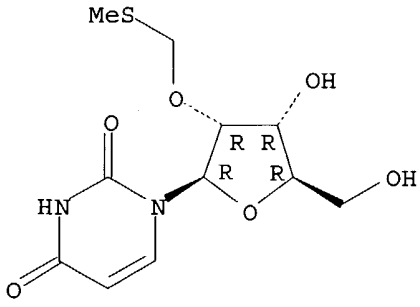
Absolute stereochemistry.



IT **201667-29-0D**, phosphoramidite derivs. **215942-53-3D**, phosphoramidite derivs.
RL: BUU (Biological use, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(enzymic ribozyme treatment of diseases or cancers related to expression of c-raf gene)
RN 201667-29-0 CAPLUS
CN Uridine, 2'-O-[(methylthio)methyl]- (9CI) (CA INDEX NAME)

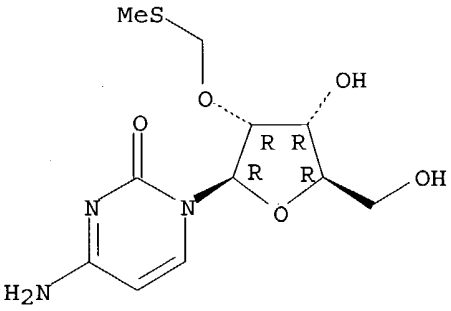
Absolute stereochemistry.



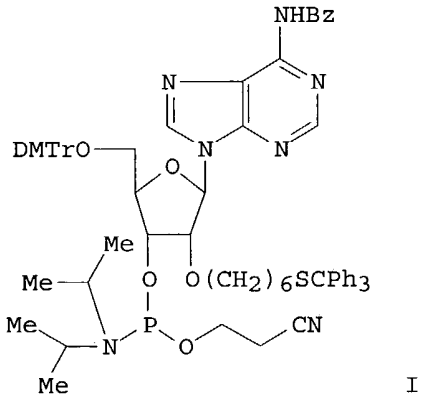
RN 215942-53-3 CAPLUS
CN Cytidine, 2'-O-[(methylthio)methyl]- (9CI) (CA INDEX NAME)

09567863

Absolute stereochemistry.



L11 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:534647 CAPLUS
DN 121:134647
TI Introduction of a lipophilic thioether tether in the minor groove of nucleic acids for antisense applications
AU Manoharan, Muthiah; Johnson, Laura K.; Tivel, Kathleen L.; Springer, Robert H.; Cook, P. Dan
CS Dep. Med. Chem., Isis Pharm., Carlsbad, CA, 92008, USA
SO Bioorganic & Medicinal Chemistry Letters (1993), 3(12), 2765-70
CODEN: BMCLE8; ISSN: 0960-894X
DT Journal
LA English
GI



I

AB A 2'-O-hexylthiotrityladenosine phosphoramidite I has been synthesized and incorporated into **oligonucleotide** phosphodiesters and **phosphorothioates**. This tether has potential antisense applications, offers a convenient nucleophile for conjugation of various moieties that would reside in the minor groove, and may form novel tertiary structures.

IT **156881-13-9P 156881-14-0P 156881-16-2P**
156881-17-3P 156881-18-4P 156881-19-5P
156881-20-8P 156881-21-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and HPLC of)

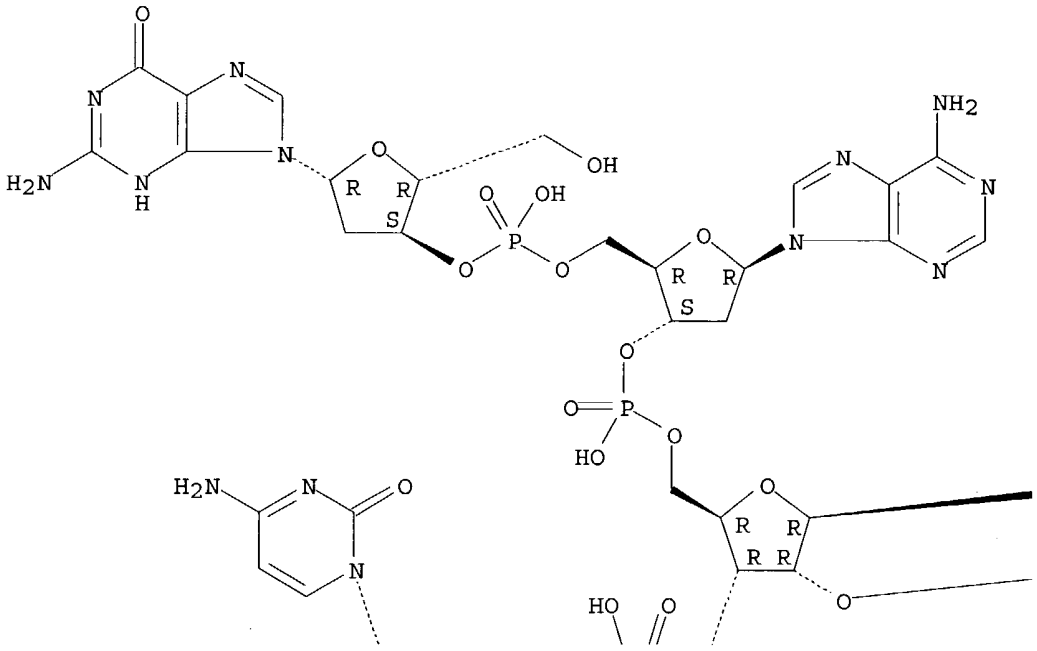
RN 156881-13-9 CAPLUS
CN Thymidine, 2'-deoxyguanylyl-(3'→5')-2'-deoxyadenylyl-(3'→5')-2'-O-[6-[(triphenylmethyl)thio]hexyl]adenylyl-(3'→5')-2'-

09567863

deoxycytidylyl-(3'→5')- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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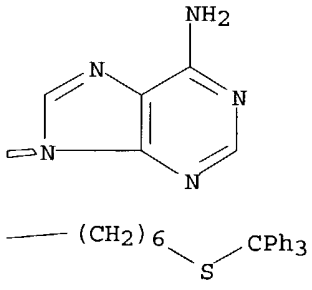

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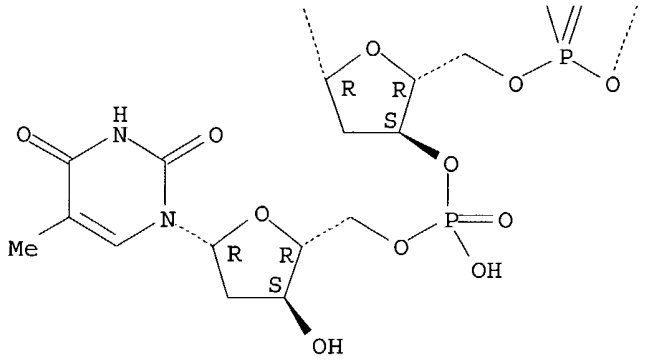
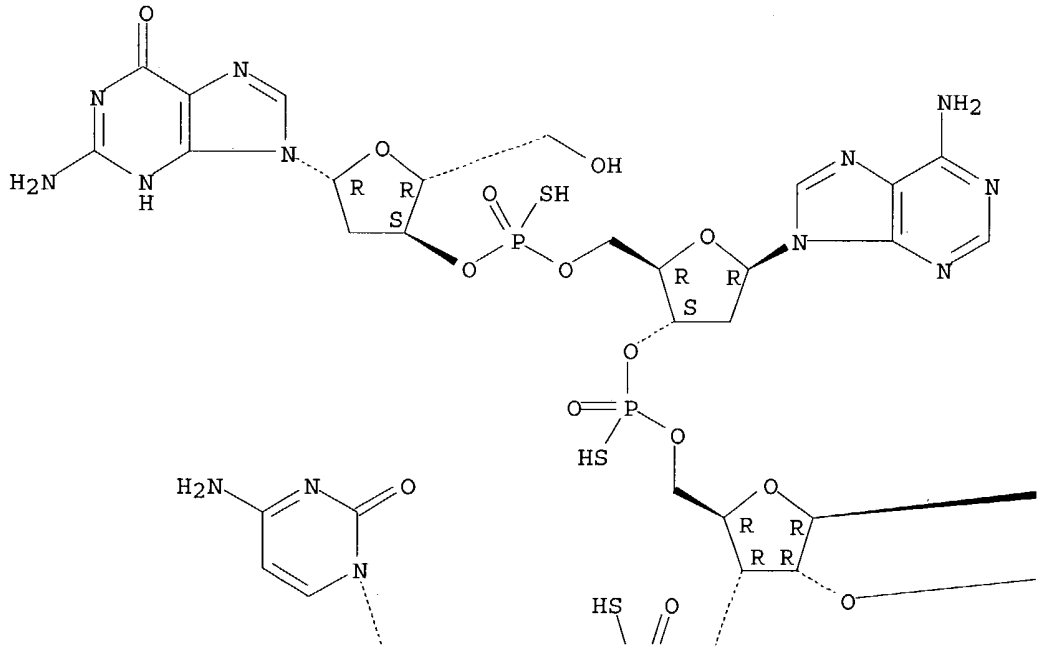


RN 156881-14-0 CAPLUS
CN Thymidine, 2'-deoxy-P-thioguanylyl-(3'→5')-2'-deoxy-P-thioadenylyl-(3'→5')-P-thio-2'-O-[6-[(triphenylmethyl)thio]hexyl]adenylyl-(3'→5')-2'-deoxy-P-thiocytidylyl-(3'→5')- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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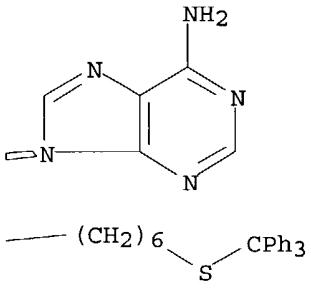

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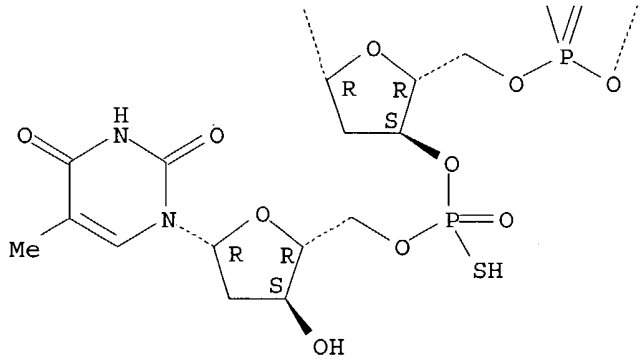

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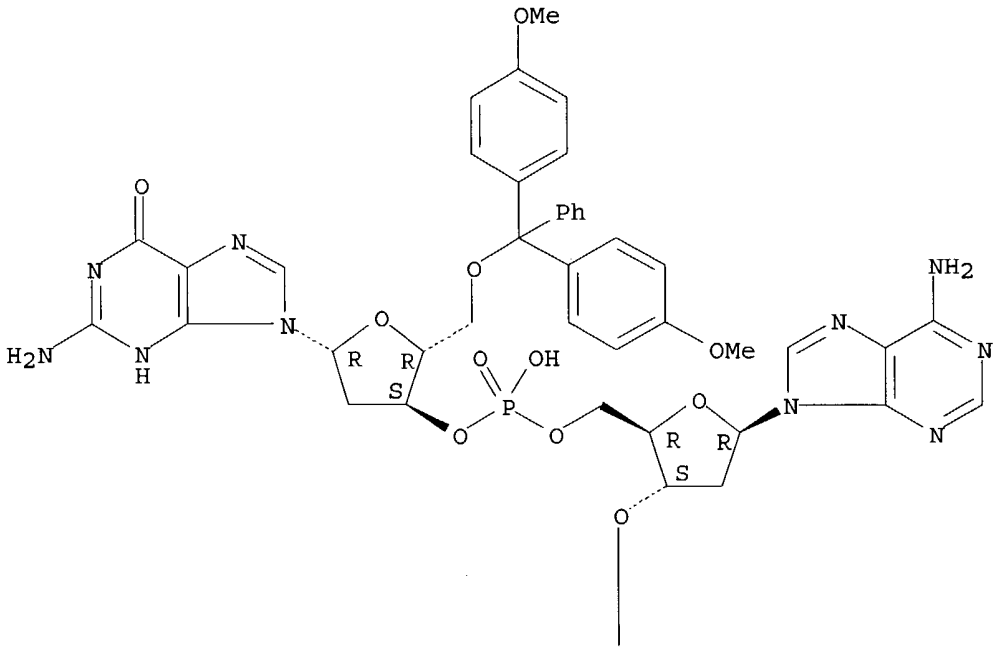
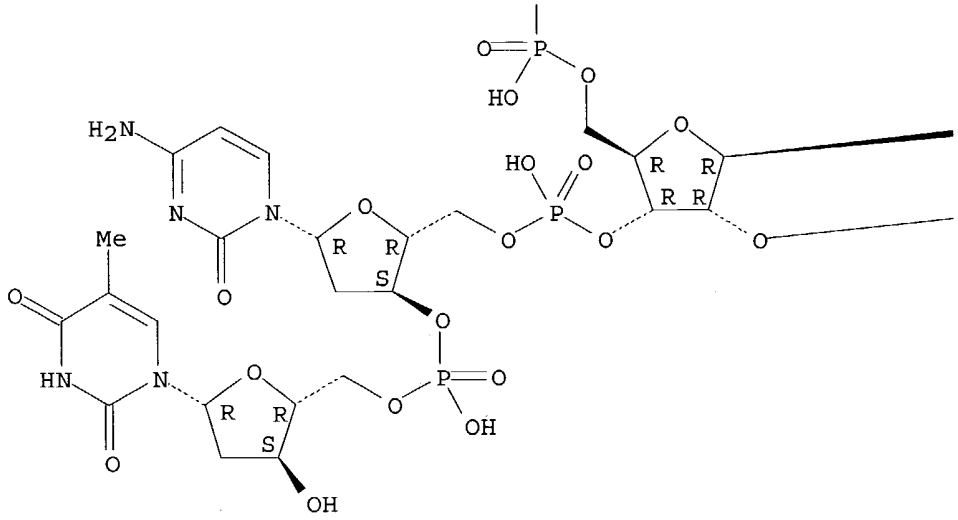
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

PAGE 2-A



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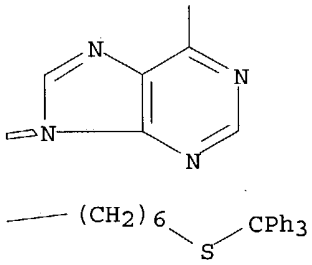
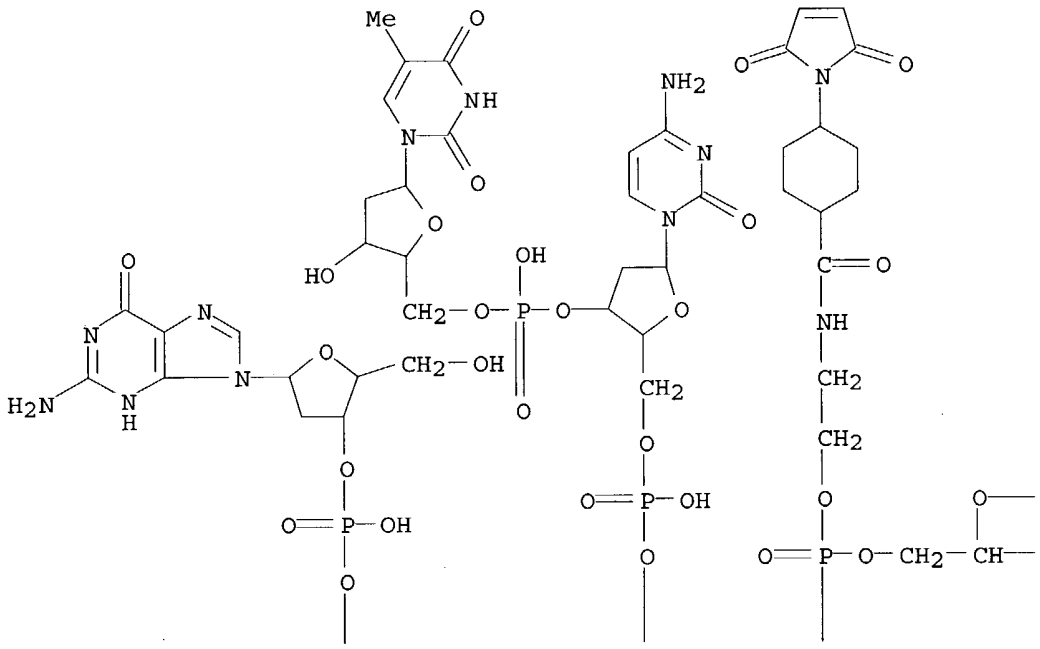


RN 156881-17-3 CAPLUS

CN Thymidine, 2'-deoxyguanylyl-(3'→5')-2'-deoxyadenylyl-(3'→5')-2'-O-[(11R)-8-[2-[[[cis-4-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)cyclohexyl]carbonyl]amino]ethoxy]-8-oxido-14-oxo-11-[(1-oxohexadecyl)oxy]-9,13-dioxa-7-thia-8-phosphanonacos-1-yl]adenylyl-(3'→5')-2'-deoxycytidylyl-(3'→5')- (9CI) (CA INDEX NAME)

PAGE 1-A



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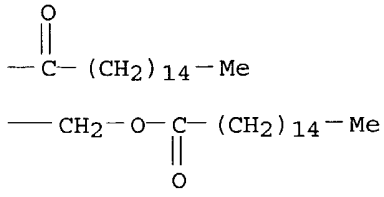

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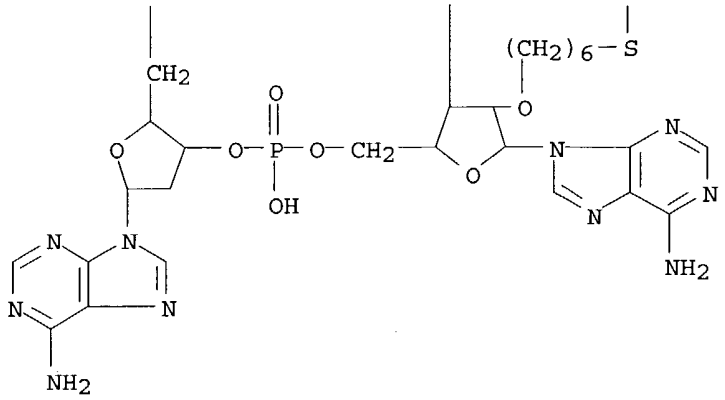
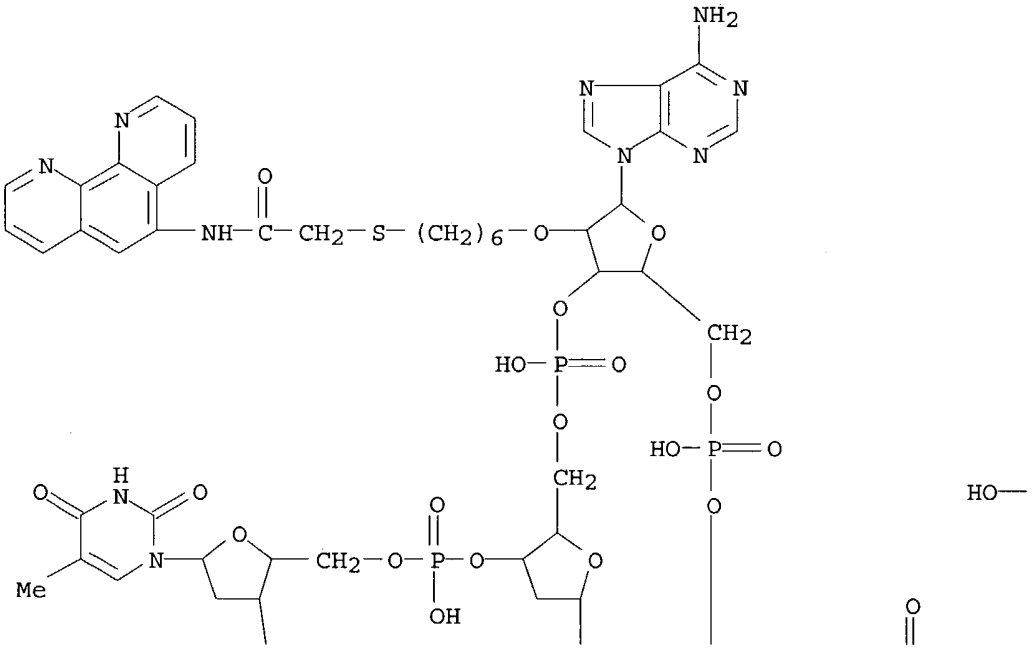


RN 156881-18-4 CAPLUS
CN Thymidine, 2'-deoxyguanylyl-(3'→5')-2'-deoxyadenylyl-(3'→5')-2'-O-[6-[[2-oxo-2-(1,10-phenanthrolin-5-ylamino)ethyl]thio]hexyl]adenylyl-(3'→5')-2'-deoxycytidylyl-(3'→5')- (9CI) (CA INDEX NAME)

PAGE 1-A



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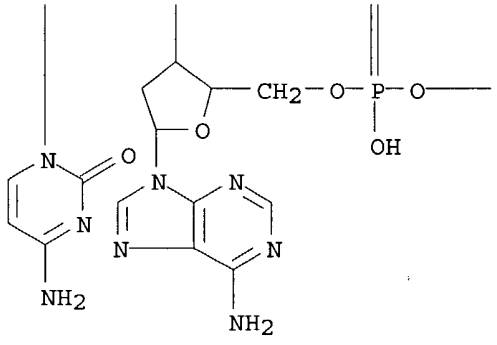
PAGE 2-A



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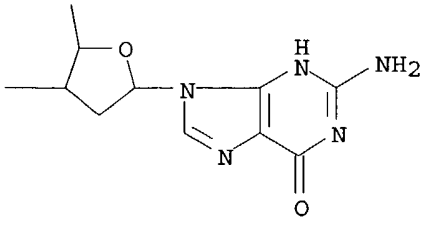
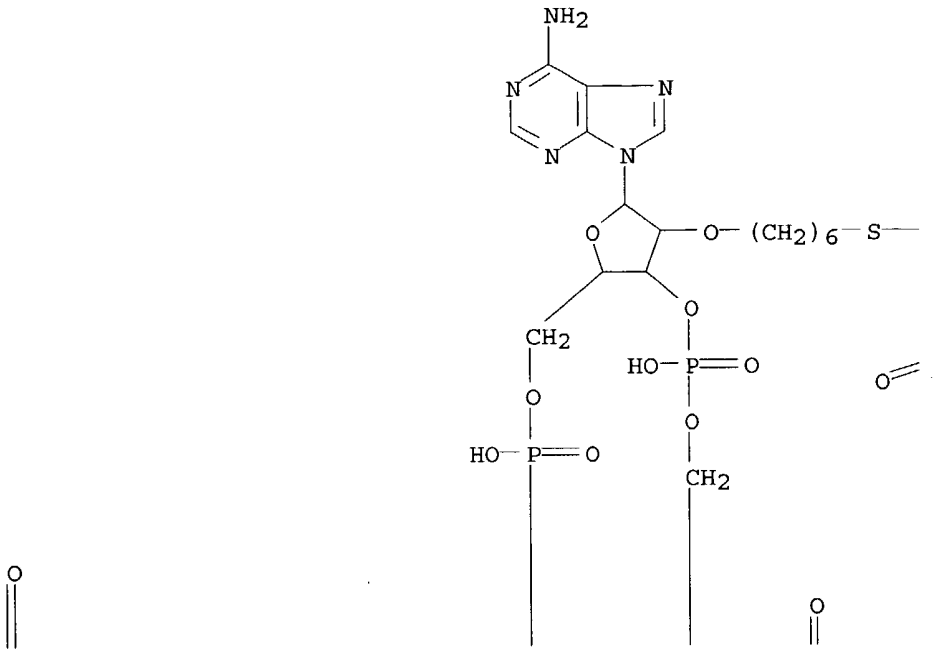


RN 156881-19-5 CAPLUS

CN Thymidine, 2'-deoxyguanylyl-(3'→5')-2'-deoxyadenylyl-(3'→5')-2'-O-[6-[[5-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)-2-(6-hydroxy-3-oxo-3H-xanthen-9-yl)benzoyl]thio]hexyl]adenylyl-(3'→5')-2'-deoxycytidylyl-(3'→5')- (9CI) (CA INDEX NAME)

PAGE 1-A



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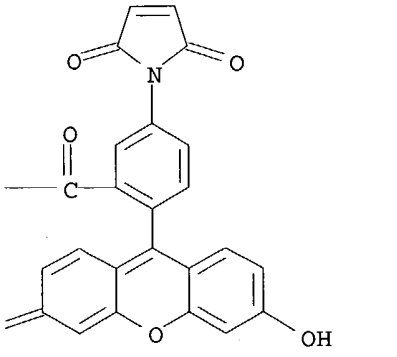

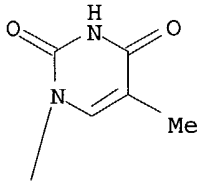

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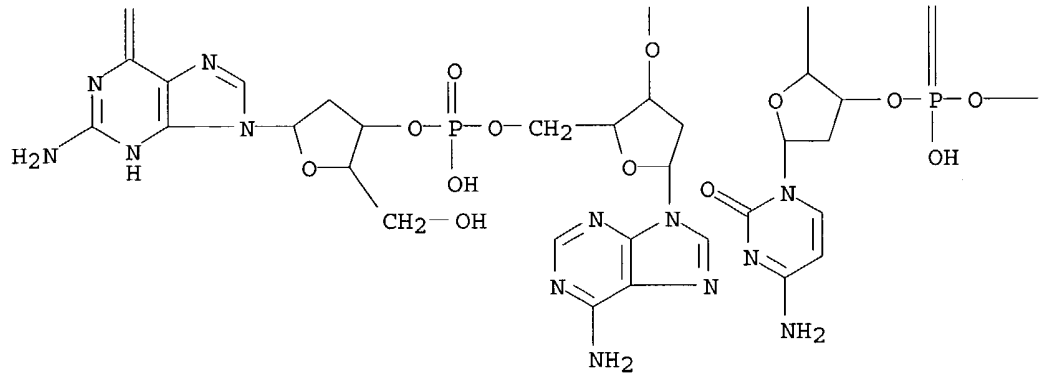

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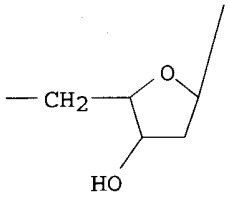
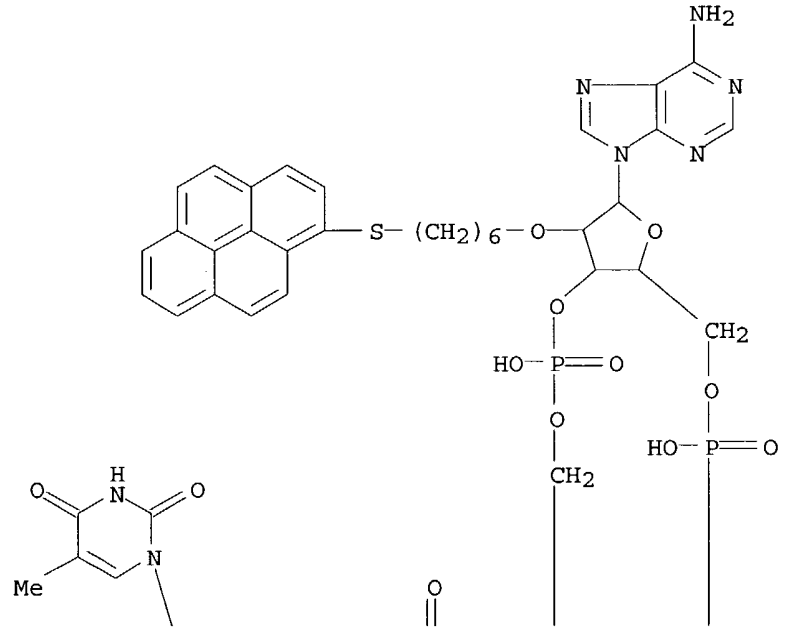


RN 156881-20-8 CAPLUS
CN Thymidine, 2'-deoxyguanylyl-(3'→5')-2'-deoxyadenylyl-(3'→5')-2'-O-[6-(1-pyrenylthio)hexyl]adenylyl-(3'→5')-2'-deoxycytidylyl-(3'→5')- (9CI) (CA INDEX NAME)

PAGE 1-A



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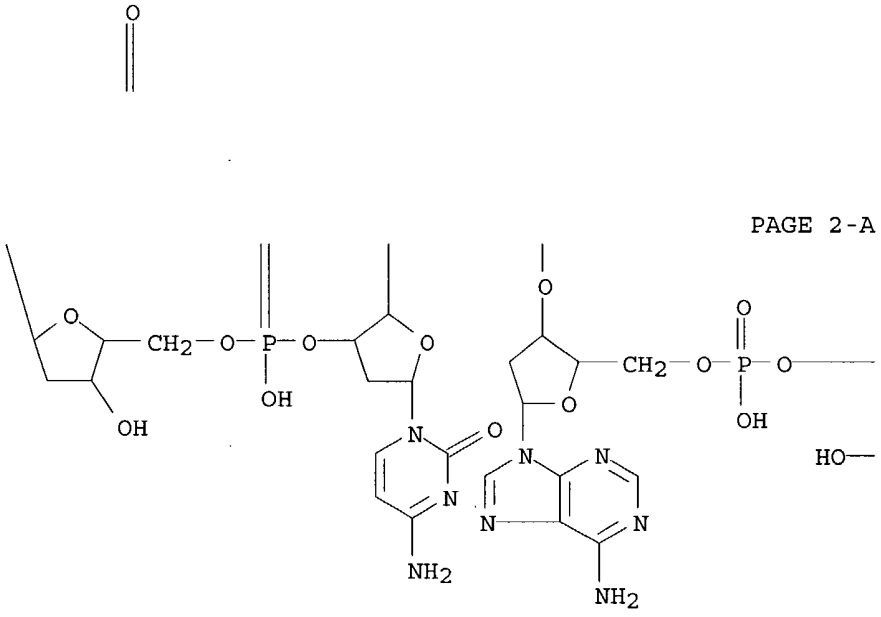

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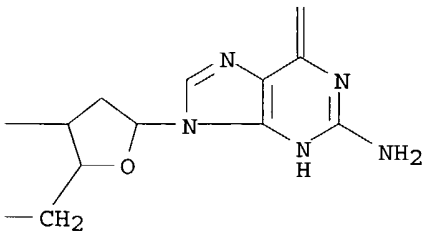
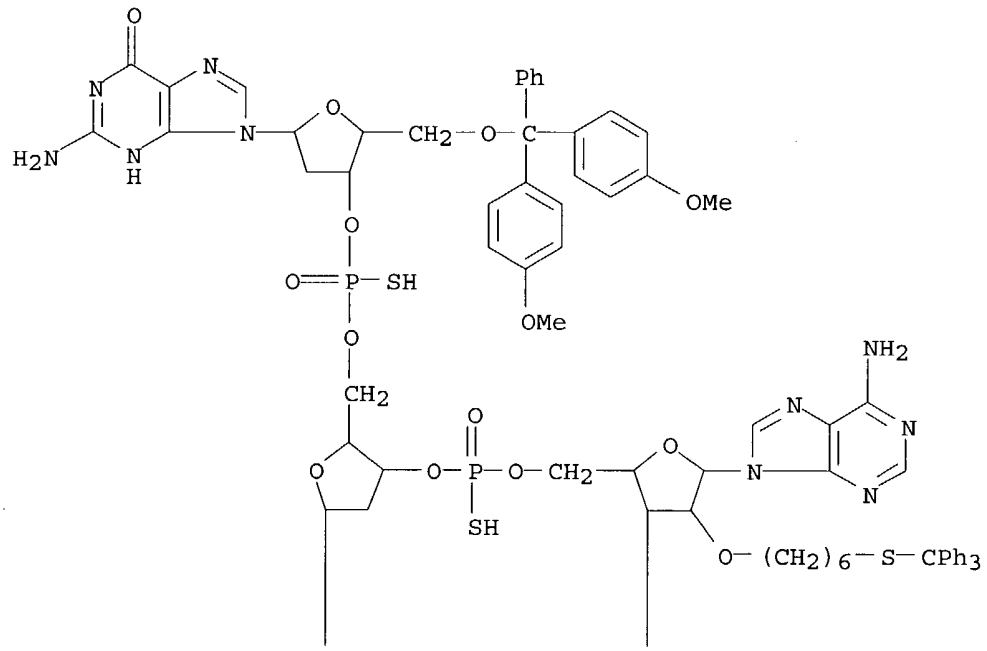


RN 156881-21-9 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-P-thioguanylyl-(3'→5')-2'-deoxy-P-thioadenylyl-(3'→5')-P-thio-2'-O-[6-

[(triphenylmethyl)thio]hexyl]adenylyl-(3'→5')-2'-deoxy-P-thiocytidylyl-(3'→5')- (9CI) (CA INDEX NAME)

PAGE 1-A



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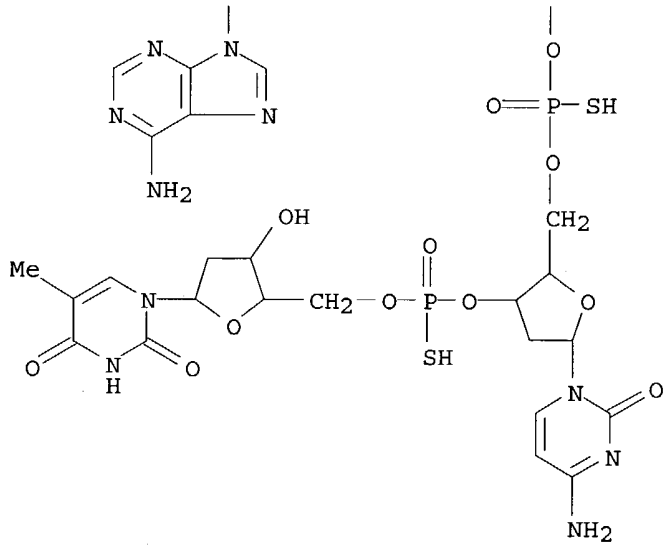
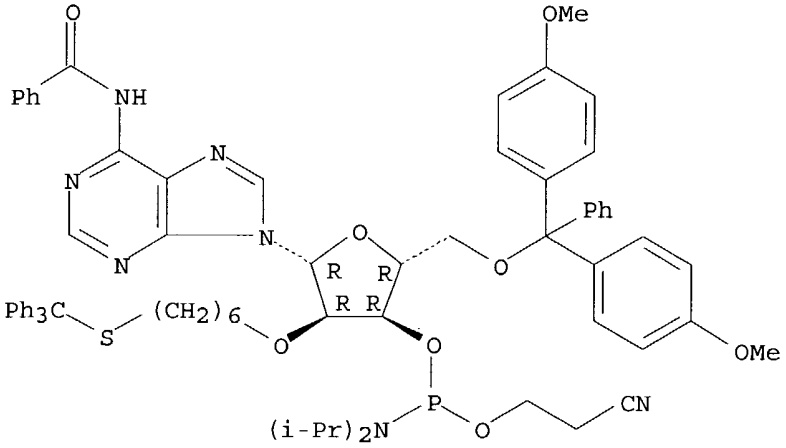


IT **156881-12-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and incorporation of, into oligodeoxribonucleotides)
RN 156881-12-8 CAPLUS
CN Adenosine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O-[6-

[(triphenylmethyl)thio]hexyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

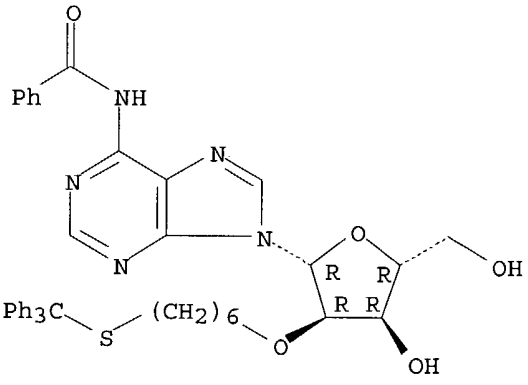


IT **156881-09-3P 156881-11-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in synthesis of hexylthiotrityladenosine-containing oligodeoxribonucleotides)

RN 156881-09-3 CAPLUS

CN Adenosine, N-benzoyl-2'-O-[6-[(triphenylmethyl)thio]hexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 156881-11-7 CAPLUS

CN Adenosine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O-[6-[(triphenylmethyl)thio]hexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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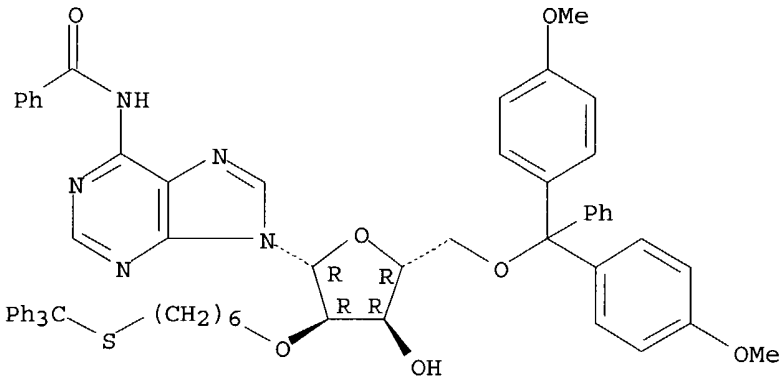

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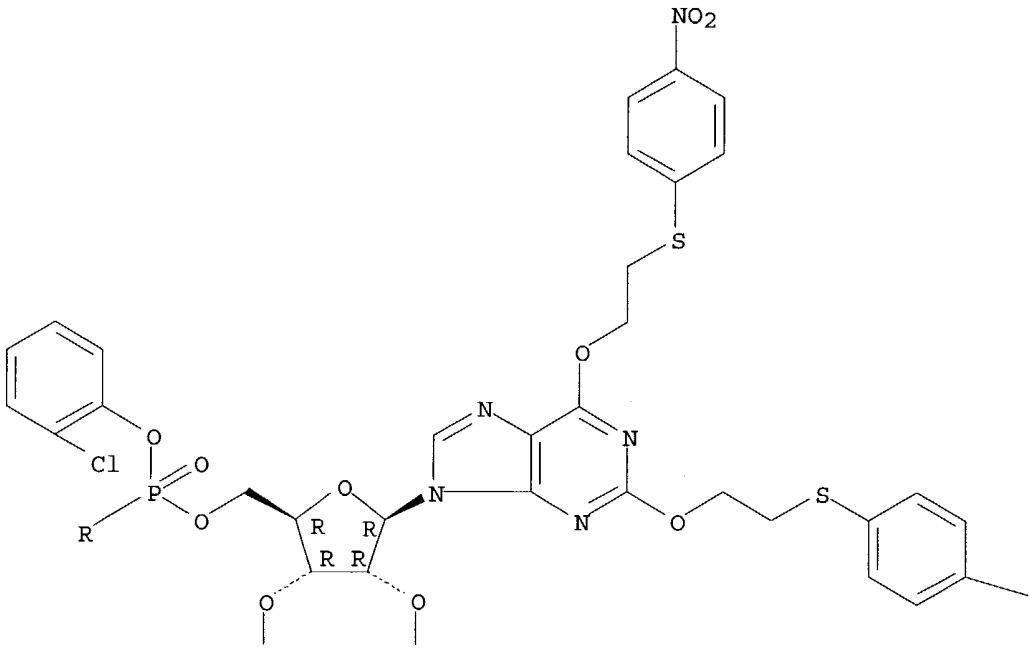
L8 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:97233 CAPLUS
DN 114:97233
TI The cyclic diguanylic acid regulatory system of cellulose synthesis in Acetobacter xylinum. Chemical synthesis and biological activity of cyclic nucleotide dimer, trimer, and phosphothioate derivatives
AU Ross, Peter; Mayer, Raphael; Weinhouse, Haim; Amikam, Dorit; Huggirat, Yassir; Benziman, Moshe; De Vroom, Erik; Fidder, Alex; De Paus, Paul; et al.
CS Inst. Life Sci., Hebrew Univ., Jerusalem, 91904, Israel
SO Journal of Biological Chemistry (1990), 265(31), 18933-43
CODEN: JBCHA3; ISSN: 0021-9258
DT Journal
LA English
AB An unusual compound, cyclic bis(3' → 5')diguanylic acid (c-di-GMP or cGpGp), regulates cellulose synthesis in A. xylinum. This cyclic dinucleotide acts as an allosteric, pos. effector of cellulose synthase (I) (Ka = 0.31 μM) and is inactivated via degradation by a Ca2+-sensitive cyclic nucleotide phosphodiesterase (II) (Km = 0.25 μM). A series of 13 analogs cyclic dimer and trimer nucleotides were synthesized, employing a phosphotriester approach, and tested for the ability to mimick cCpGp as activators of I and as substrates for II. Seven of the synthetic compds. stimulated I and all of these activators underwent the Ca2+-inhibited degradation reaction. The order of affinities for I activators was cGpGp .apprx. cdGpGp .apprx. cGp(S)Gp (S-diastereomer) > cIpGp > cdGpdGp > cXpGp > cIpIp > cGp(S)Gp (R-diastereomer). Three cyclic dinucleotides of negligible affinity for either enzyme were cApAp, cUpUp, and cCpCp. This same order of affinities essentially pertained to the analogs as inhibitors of II, but at least 1 cyclic dinucleotide, cXpXp, which did not bind to I, was also a substrate for degradation, demonstrating that although the 2 enzymes share a similar, high degree of specificity for c-diGMP, their cyclic dinucleotide binding sites are not identical. Phosphodiester bonds of activators in which an exocyclic O atom was replaced with a S atom (cGp(S)Gp isomers) resisted the action of II, and such derivs. may be prototypes for synthetic nonhydrolyzable cGpGp analogs.
IT **132182-24-2P 132209-36-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)
RN 132182-24-2 CAPLUS
CN 3'-Xanthylic acid, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P-(2-chlorophenyl)-2,6-bis-O-[2-[(4-nitrophenyl)thio]ethyl]-2'-O-(tetrahydro-2H-pyran-2-yl)xanthylyl-(3'→5')-2,6-bis-O-[2-[(4-nitrophenyl)thio]ethyl]-2'-O-(tetrahydro-2H-pyran-2-yl)-, 2-chlorophenyl 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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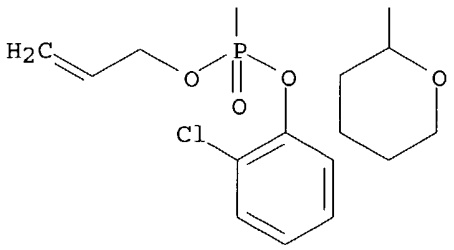
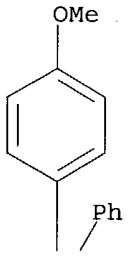
PAGE 2-A





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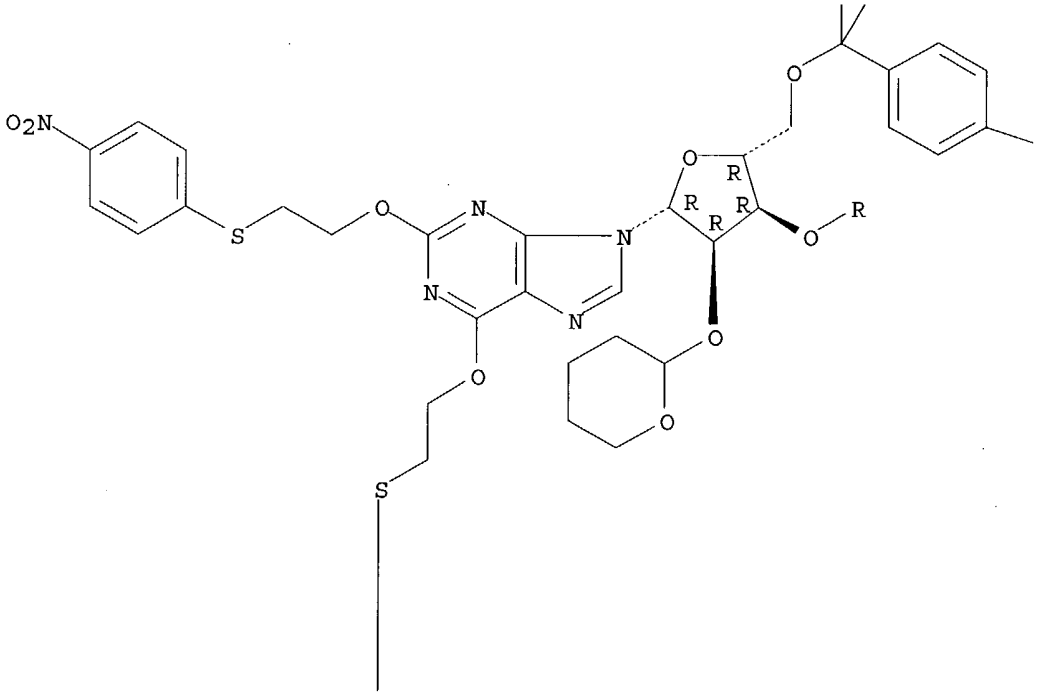

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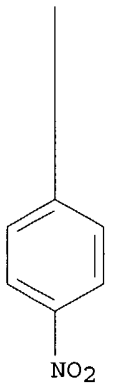
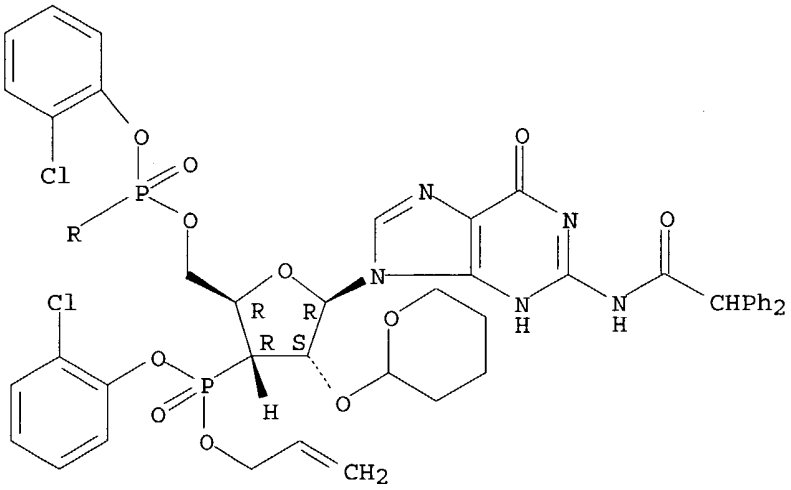


PAGE 4-A

RN 132209-36-0 CAPLUS
CN 3'-Guanylic acid, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P-(2-chlorophenyl)-2,6-bis-O-[2-[(4-nitrophenyl)thio]ethyl]-2'-O-(tetrahydro-2H-pyran-2-yl)xanthylyl-(3'→5')-N-(diphenylacetyl)-2'-O-(tetrahydro-2H-pyran-2-yl)-, 2-chlorophenyl 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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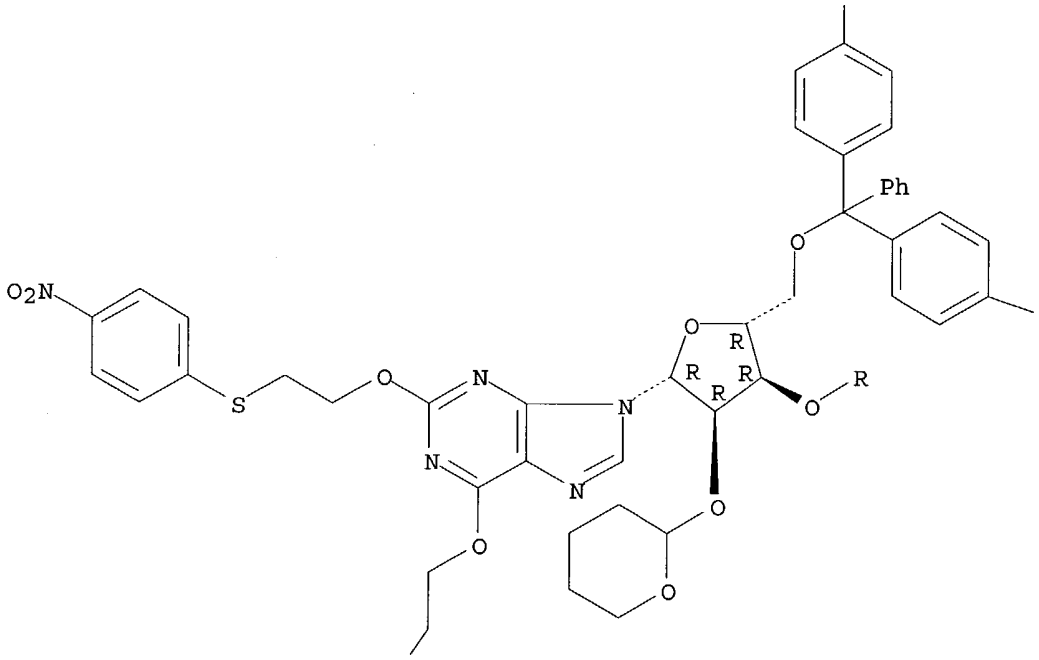
PAGE 2-A



PAGE 2-B

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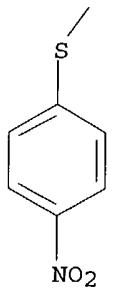
PAGE 3-A



IT **132182-13-9P 132182-30-0P**

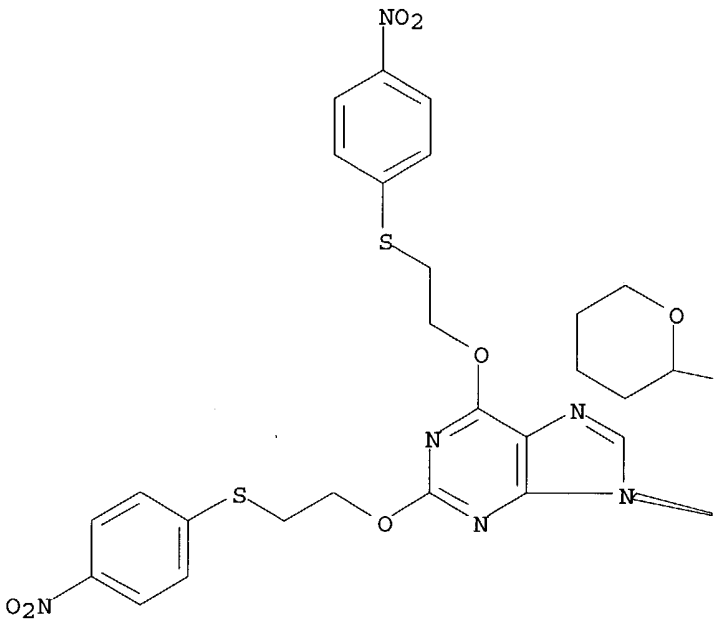
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deprotection of)

RN 132182-13-9 CAPLUS

CN 3'-Xanthylic acid, P-(2-chlorophenyl)-2,6-bis-O-[2-[(4-nitrophenyl)thio]ethyl]-2'-O-(tetrahydro-2H-pyran-2-yl)xanthylyl-(3'→5')-2,6-bis-O-[2-[(4-nitrophenyl)thio]ethyl]-2'-O-(tetrahydro-2H-pyran-2-yl)-, cyclic nucleotide, 2-chlorophenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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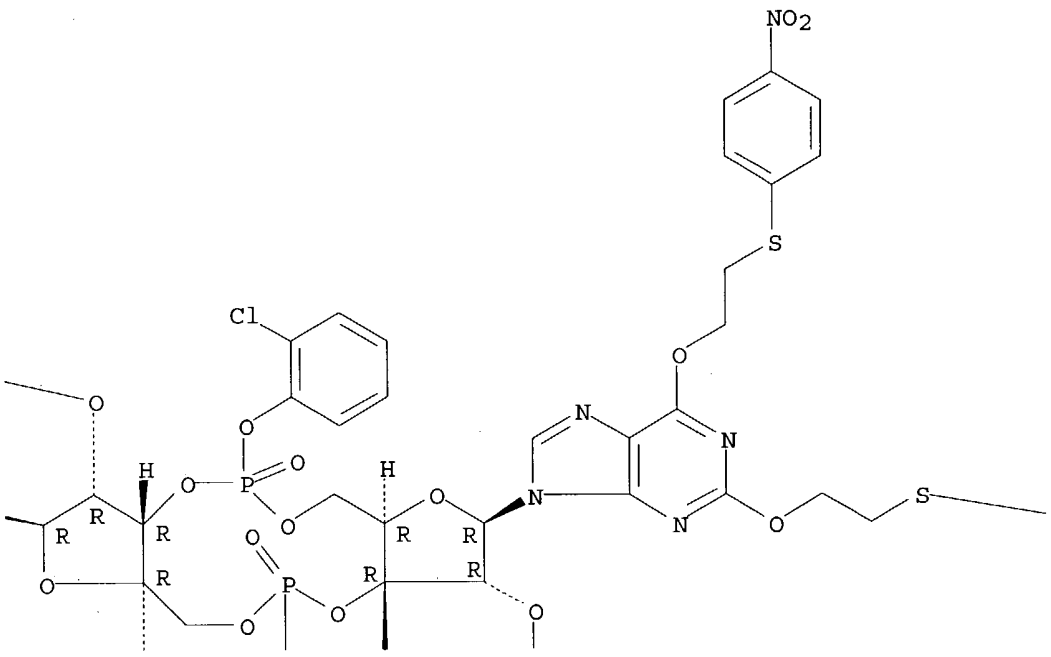

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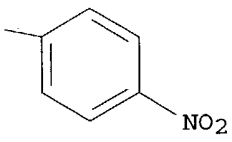

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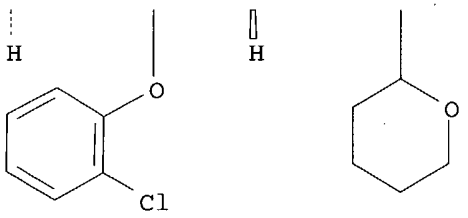
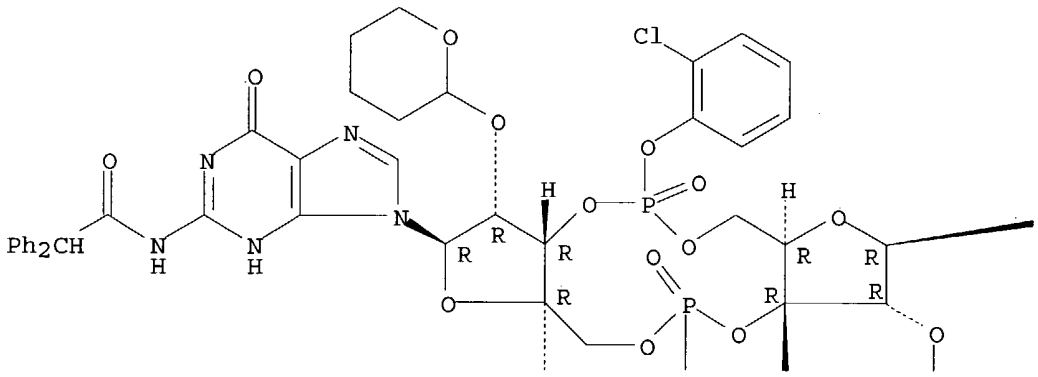


RN 132182-30-0 CAPLUS
CN 3'-Xanthylic acid, P-(2-chlorophenyl)-N-(diphenylacetyl)-2'-O-(tetrahydro-2H-pyran-2-yl)guanylyl-(3'→5')-2,6-bis-O-[2-[(4-nitrophenyl)thio]ethyl]-2'-O-(tetrahydro-2H-pyran-2-yl)-, cyclic nucleotide, 2-chlorophenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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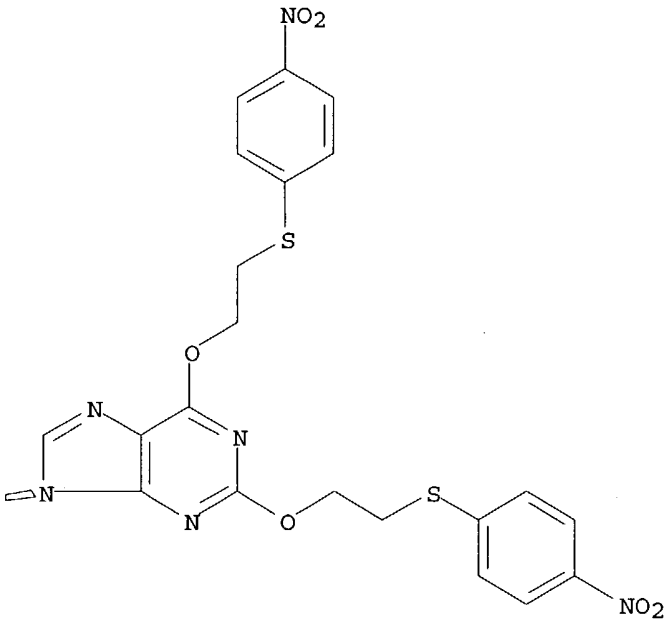

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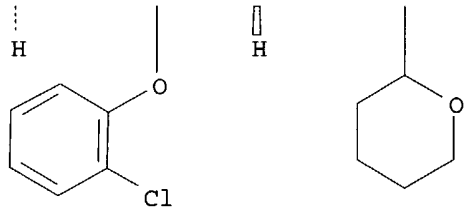

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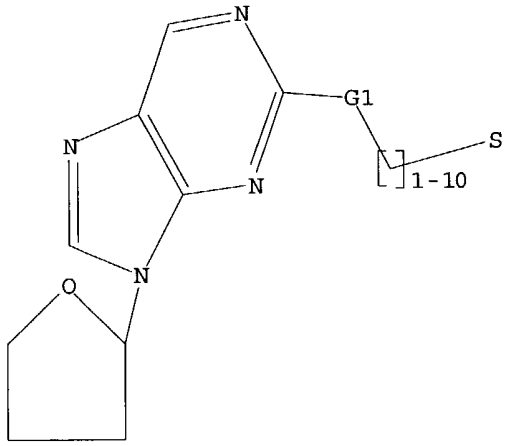
L1 STR



G1 O,N

Structure attributes must be viewed using STN Express query preparation.

=> S L1 FULL
FULL SEARCH INITIATED 13:47:13 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3248 TO ITERATE

100.0% PROCESSED 3248 ITERATIONS 55 ANSWERS
SEARCH TIME: 00.00.01

L2 55 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.42	155.63

FILE 'CAPLUS' ENTERED AT 13:47:21 ON 29 APR 2004

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FILE COVERS 1907 - 29 Apr 2004 VOL 140 ISS 18
FILE LAST UPDATED: 28 Apr 2004 (20040428/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2
L3 23 L2

=> dup rem l3
PROCESSING COMPLETED FOR L3
L4 23 DUP REM L3 (0 DUPLICATES REMOVED)

=> d l4 bib abs 1-23

L4 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:942614 CAPLUS
DN 140:140990
TI Formation and Mass Spectrometric Analysis of DNA and Nucleoside Adducts by S-(1-Acetoxymethyl)glutathione and by Glutathione S-Transferase-Mediated Activation of Dihalomethanes
AU Marsch, Glenn A.; Botta, Sisir; Martin, Martha V.; McCormick, W. Andrew; Guengerich, F. Peter
CS Department of Biochemistry and Center in Molecular Toxicology, Vanderbilt University School of Medicine, Nashville, TN, 37232, USA
SO Chemical Research in Toxicology (2004), 17(1), 45-54
CODEN: CRTOEC; ISSN: 0893-228X
PB American Chemical Society
DT Journal
LA English
AB The dihalomethane CH2Cl2 is an industrial solvent of potential concern to humans because of its potential genotoxicity and carcinogenicity. To characterize DNA damage by dihalomethanes, a rapid DNA digestion under acidic conditions was developed to identify alkali labile DNA-dihalomethane nucleoside adducts using HPLC-electrospray mass spectrometry. DNA digestion worked best using pH 5.0 sodium acetate buffer, a 30 min incubation with DNase II and phosphodiesterase II, and a 2 h acid phosphatase digest. DNA was modified with S-(1-acetoxymethyl)glutathione (GSCH2OAc), a reagent modeling activated dihalomethanes. Adducts to G, A, and T were detected at high ratios of GSCH2OAc/DNA following digestion of the DNA with the procedure used here. The relative efficacy of adduct formation was G > T > A » C. The four DNA nucleosides were also reacted with the dihalomethanes CH2Cl2 and CH2Br2 in the presence of glutathione (GSH) and GSH S-transferases from bacteria (DM11), rat (GST 5-5), and human (GST T1-1) under conditions that produce mutations in bacteria. All enzymes formed adducts to all four nucleosides, with dGuo being the most readily modified nucleoside. Thus, the pattern paralleled the results obtained with the model compds. GSCH2OAc and DNA. CH2Cl2 and CH2Br2 yielded similar amts. of adducts under these conditions. The relative efficiency of adduct formation by GSH transferases was rat 5-5 > human T1-1 > bacterial DM11, showing that human GSH transferase T1-1 can form dihalomethane adducts under the conditions used. Although the lability of DNA adducts has precluded more sophisticated expts. and in vivo studies have not yet been possible, the work collectively demonstrates the ability of several GSH transferases to generate DNA adducts from dihalomethanes, with G being the preferred site of adduction in both this and the GSCH2OAc model system.
RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN

09567863

AN 2001:651365 CAPLUS
DN 136:1809
TI Methylglyoxal, an endogenous aldehyde, crosslinks DNA polymerase and the substrate DNA
AU Murata-Kamiya, Naoko; Kamiya, Hiroyuki
CS Institute of Industrial Ecological Sciences, University of Occupational and Environmental Health, Kitakyushu, 807-8555, Japan
SO Nucleic Acids Research (2001), 29(16), 3433-3438
CODEN: NARHAD; ISSN: 0305-1048
PB Oxford University Press
DT Journal
LA English
AB Methylglyoxal, a known endogenous and environmental mutagen, is a reactive α-ketoaldehyde that can modify both DNA and proteins. To investigate the possibility that methylglyoxal induces a crosslink between DNA and DNA polymerase, we treated a 'primed template' DNA and the exonuclease-deficient Klenow fragment (KFexo-) of DNA polymerase I with methylglyoxal in vitro. When the reaction mixts. were analyzed by SDS-PAGE, we found that methylglyoxal induced a DNA-KFexo- crosslink. The specific binding complex of KFexo- and 'primed template' DNA was necessary for formation of the DNA-KFexo- crosslink. Methylglyoxal reacted with guanine residues in the single-stranded portion of the template DNA. When 2'-deoxyguanosine was incubated with Nα-acetyllysine or N-acetylcysteine in the presence of methylglyoxal, a crosslinked product was formed. No other amino acid derivs. tested could generate a crosslinked product. These results suggest that methylglyoxal crosslinks a guanine residue of the substrate DNA and lysine and cysteine residues near the binding site of the DNA polymerase during DNA synthesis and that DNA replication is severely inhibited by the methylglyoxal-induced DNA-DNA polymerase crosslink.
RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:294120 CAPLUS
DN 135:72515
TI Characterization of Nucleoside and DNA Adducts Formed by S-(1-Acetoxymethyl)glutathione and Implications for Dihalomethane-Glutathione Conjugates
AU Marsch, Glenn A.; Mundkowski, Ralf G.; Morris, Brent J.; Manier, M. Lisa; Hartman, Melanie K.; Guengerich, F. Peter
CS Department of Biochemistry and Center in Molecular Toxicology, Vanderbilt University School of Medicine, Nashville, TN, 37232, USA
SO Chemical Research in Toxicology (2001), 14(5), 600-608
CODEN: CRTOEC; ISSN: 0893-228X
PB American Chemical Society
DT Journal
LA English
AB S-(1-Acetoxymethyl)glutathione (GSCH2OAc) was synthesized and used as a model for the reaction of glutathione (GSH)-dihaloalkane conjugates with nucleosides and DNA. Previously, S-[1-(N2-deoxyguanosinyl)methyl]GSH had been identified as the major adduct formed in the reaction of GSCH2OAc with deoxyguanosine. GSCH2OAc was incubated with the three remaining deoxyribonucleosides to identify other possible adducts. Adducts to all three nucleosides were found using electrospray ionization mass spectrometry (ESI MS). The adduct of GSCH2OAc and deoxyadenosine was formed in yield of up to 0.05% and was identified as S-[1-(N7-deoxyadenosinyl)methyl]GSH. The pyrimidine deoxyribonucleoside adducts were formed more efficiently, resulting in yields of 1 and 2% for the GSCH2OAc adducts derived from thymidine and deoxycytidine, resp., but their lability prevented their structural identification by 1H NMR. On the basis of the available UV spectra, we propose the structures

S-[1-(N3-thymidinyl)methyl]GSH and S-[1-(N4-deoxycytidinyl)methyl]GSH. Because adduct degradation occurred most rapidly at alkaline and neutral pH values, an enzymic DNA digestion procedure was developed for the rapid hydrolysis of DNA to deoxyribonucleosides at acidic pH. DNA digests were completed in less than 2 h with a two-step method, which consisted of a 15 min incubation of DNA with high concns. of DNase II and phosphodiesterase II at pH 4.5, followed by incubation of resulting nucleotides with acid phosphatase. Anal. of the hydrolysis products by HPLC-ESI-MS indicated the presence of the thymidine adduct.

RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:765388 CAPLUS
DN 133:329564
TI Modified oligodeoxyribonucleotides as anti-AIDS agents
IN Koizumi, Makoto; Kaneko, Masakatsu; Ohmine, Toshinori; Furukawa, Hidehiko; Nishigaki, Takashi
PA Sankyo Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 40 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2000302684	A2	20001031	JP 1999-114408	19990422
PRAI	JP 1999-114408		19990422		

AB Modified oligodeoxyribonucleotides (I; Markush's structure given) and their pharmacol. acceptable salts are claimed as anti-AIDS agents. I derivs. were prepared, and their formulation examples of injections, capsules, and tablets were given.

L4 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:656747 CAPLUS
DN 134:17676
TI Biologically active oligodeoxyribonucleotides. Part 12: N2-Methylation of 2'-deoxyguanosines enhances stability of parallel G-quadruplex and anti-HIV-1 activity
AU Koizumi, M.; Akahori, K.; Ohmine, T.; Tsutsumi, S.; Sone, J.; Kosaka, T.; Kaneko, M.; Kimura, S.; Shimada, K.
CS Exploratory Chemistry Research Laboratories, Sankyo Co., Ltd, Tokyo, 140-8710, Japan
SO Bioorganic & Medicinal Chemistry Letters (2000), 10(19), 2213-2216
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 134:17676
AB 2'-Deoxyguanosine residues of a 3',5'-end-modified hexadeoxyribonucleotide (R-95288) with anti-HIV-1 activity were substituted with N2-methyl-2'-deoxyguanosine (m2dG). These modified oligodeoxyribonucleotides (ODNs) showed a 2-fold higher activity than R-95288. Also, the CD spectra of these ODNs indicated that the m2dG modification stabilized the tertiary structure of the G-quadruplex.

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:297434 CAPLUS
DN 130:338349
TI Preparation of antisense oligodeoxyribonucleotides containing modified

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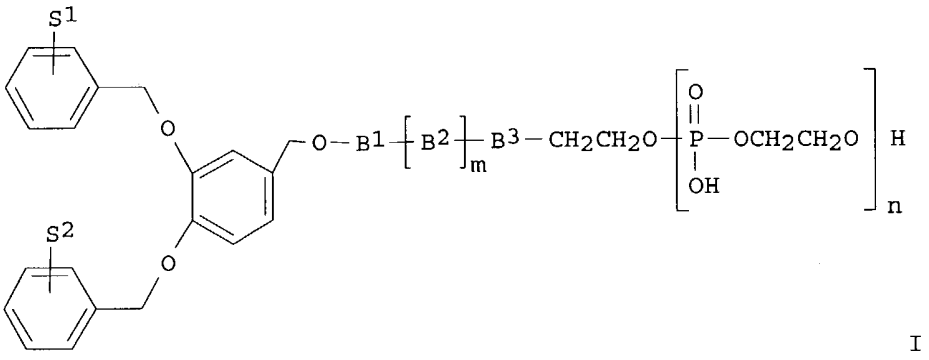
nucleoside having anti-AIDS activity
IN Koizumi, Makoto; Kaneko, Masakatsu; Ohmine, Toshinori; Furukawa, Hidehiko; Nishigaki, Takashi
PA Sankyo Company, Ltd., Japan
SO PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9921874	A1	19990506	WO 1998-JP4863	19981027
W: AU, BR, CA, CN, CZ, HU, ID, IL, KR, MX, NO, NZ, PL, RU, TR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9896489	A1	19990517	AU 1998-96489	19981027
JP 11199597	A2	19990727	JP 1998-304999	19981027
PRAI JP 1997-293821		19971027		
WO 1998-JP4863		19981027		

OS MARPAT 130:338349
GI



I

AB Novel modified oligodeoxynucleotides represented by general formula (I) or pharmacol. acceptable salts thereof (wherein B1, B2, and B3 are the same or different and each is A, G, C, T, a, g, c, t, M, X, etc.; m is an integer of 0 to 7; S1 and S2 each represents hydrogen, alkyl, alkoxy, or halogeno; n is an integer of 0 to 9; in the m repetitions of B2, the B2's may be the same or different; a, g, c, and t resp. represent A, G, C, and T each bonded at the 3' end; M represents 2-N-methyl-G; and X represents 2'-methoxy-G) having an excellent activity against human immunodeficiency virus (HIV-1) and a reduced toxicity against normal host cells are prepared I (S1 = S2 = H, B1-(B2)m-B3 = Tgggg, n = 0), which was prepared by the phosphoramidite method using a 392 DNA/RNA synthesizer, showed IC50 of 0.23 μg/mL for inhibiting the cell damage of MT-4 cells infected with HIV-1. A tablet, a hard capsule, a capsule, a soft capsule formulation containing I (S1 = S2 = H, B1-(B2)m-B3 = TMMMAG, n = 0) were described.
RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:619311 CAPLUS
DN 129:316485
TI Synthesis of Enzymically Stable Analogs of GDP for Binding Studies with Transducin, the G-Protein of the Visual Photoreceptor
AU Vincent, Stephane; Grenier, Sonya; Valleix, Alain; Salesse, Christian;

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Lebeau, Luc; Mioskowski, Charles
CS Laboratoire de Synthese Bioorganique associe au CNRS Faculte de Pharmacie, Universite Louis Pasteur de Strasbourg, Illkirch, 67 401, Fr.
SO Journal of Organic Chemistry (1998), 63(21), 7244-7257
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
AB The synthesis of five enzymically stable analogs of guanosine diphosphate (GDP) has been carried out. The pyrophosphate moiety was mimicked in turn by the malonate, the acetophosphonate, the phosphonoacetate, the methylene-bis-phosphonate, and the imidodiphosphate groups. All the compds. were prepared via the synthesis of a transient fully protected nucleoside diphosphate analog, and the final deprotection step was achieved by catalytic hydrogenolysis. The biol. properties of the compds. have been evaluated toward transducin, the G-protein of the visual photoreceptor. Three guanosine imidodiphosphate derivs. bearing a linker at different positions on the sugar and on the base were then prepared and evaluated, giving some insight into the GDP binding site of transducin.
RE.CNT 106 THERE ARE 106 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:671190 CAPLUS
DN 127:304235
TI Synthesis of Oligonucleotides Containing the Ethylene Dibromide-Derived DNA Adducts S-[2-(N7-Guanyl)ethyl]glutathione, S-[2-(N2-Guanyl)ethyl]glutathione, and S-[2-(O6-Guanyl)ethyl]glutathione at a Single Site
AU Kim, Mi-Sook; Guengerich, F. Peter
CS Department of Biochemistry and Center in Molecular Toxicology, Vanderbilt University School of Medicine, Nashville, TN, 37232-0146, USA
SO Chemical Research in Toxicology (1997), 10(10), 1133-1143
CODEN: CRTOEC; ISSN: 0893-228X
PB American Chemical Society
DT Journal
LA English
AB The carcinogen ethylene dibromide (EDB) is activated by enzymic conjugation with GSH to form S-(2-bromoethyl)GSH, which reacts with DNA via an episulfonium ion. S-[2-(N7-guanyl)ethyl]GSH has been incorporated at the G* site in d(5'-TGCTG*CAAG-3'), a site previously found to show GC to AT transitions following treatment of M13 phage with S-(2-chloroethyl)GSH, and the desired product was separated by HPLC. This was ligated to d(5'-GGTACCGAG-3') to yield d(5'-TGCTG*CAAGGGTACCGAG-3'). S-[2-(N2-guanyl)ethyl]GSH was incorporated into the G* site of the oligonucleotide in d(5'-TGCTG*CAAGGGTACCGAG-3') by reacting S-(2-aminoethyl)GSH with an oligomer containing 2-fluoro-O6-[(trimethylsilyl)ethoxy]deoxyinosine at the target site. The 5'-(dimethoxytrityl)-N2-(phenoxyacetyl)-N-[(fluorenylmethyl)formyl] derivative of S-[2-(O6-deoxyguanosyl)ethyl]GSH di-Me ester was synthesized by Mitsunobu alkylation of 5'-(dimethoxytrityl)-N2-(phenoxyacetyl)deoxyguanosine with N-[(fluorenylmethyl)formyl]-S-(2-hydroxyethyl)GSH di-Me ester, modified to form the phosphoramidite derivative, and incorporated at the G* site of d(5'-TGCTG*CAAGGGTACCGAG-3'). The protective groups were removed with 0.10 N NaOH to give the modified oligonucleotide containing S-[2-(O6-guanyl)ethyl]GSH. Although the overall yields were low, the synthesis of a single set of target site oligonucleotides containing these three known guanyl adducts allows for in vitro site-specific misincorporation studies.

L4 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:550638 CAPLUS

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DN 121:150638
TI Peracylation of Nucleosides with Methionine: Foundation for a Method To Detect Carcinogen Adducts
AU Sheabar, Fayad Z.; Morningstar, Marshall L.; Wogan, Gerald N.
CS Division of Toxicology and Department of Chemistry, Massachusetts Institute of Technology, Cambridge, MA, 02139, USA
SO Chemical Research in Toxicology (1994), 7(5), 650-8
CODEN: CRTOEC; ISSN: 0893-228X
DT Journal
LA English
AB The authors report the chemical foundation for a new method to detect carcinogen-DNA adducts, which the authors have designated adduct detection by acylation with methionine (ADAM). The method is based on reaction of DNA adducts with a protected methionine derivative, (tert-butoxycarbonyl)-L-methionine N-hydroxysuccinimidyl ester (TBM-NHS). Acylation of 2'-deoxyguanosine (dGuo), used as a prototypical deoxynucleoside, and N-(deoxyguanosin-8-yl)-4-aminobiphenyl(dGuo-8-ABP), the major DNA adduct formed after in vivo exposure to 4-aminobiphenyl, a known human carcinogen, with (tert-butoxycarbonyl)-L-methionine (TBM) was optimized, and products were characterized by 3H radioactivity, UV absorbance, mass spectrometry, and 1H and 13C NMR. Derivs. acylated on hydroxyl (5' or 3') and/or amine (N2) groups were unambiguously determined to be mono-, bis-, and tris-TBM-acylated nucleosides. Under optimal acylation conditions [TBM-NHS (≥4 + 105 molar equivalents), pyridine (50 μL), THF (50 μL), and diisopropylcarbodiimide (DIC) (1 μL) and incubation for 2 h at 37 °C], the efficiency of acylation for picomole or smaller quantities of dGuo-8-ABP exceeded 95%, with the tris-TBM-acylated nucleoside representing the major product (88%). A linear correlation was obtained between the amount of[3H]dGuo-8-ABP introduced into the reaction and the total amount of TBM-acylated products formed. These results support the validity of this strategy for adaptation as an anal. method for the detection of low levels of DNA adducts through the use of (tert-butoxycarbonyl)-L-[35S]methionine N-hydroxysuccinimidyl ester.

L4 ANSWER 10 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:71232 CAPLUS
DN 120:71232
TI Expression of mammalian glutathione S-transferase 5-5 in Salmonella typhimurium TA1535 leads to base-pair mutations upon exposure to dihalomethanes
AU Thier, Ricarda; Taylor, John B.; Pemble, Sally E.; Humphreys, W. Griffith; Persmark, Magnus; Ketterer, Brian; Guengerich, F. Peter
CS Sch. Med., Vanderbilt Univ., Nashville, TN, 37232-0146, USA
SO Proceedings of the National Academy of Sciences of the United States of America (1993), 90(18), 8576-80
CODEN: PNASA6; ISSN: 0027-8424
DT Journal
LA English
AB Dihalomethanes can produce liver tumors in mice but not in rats, and concern exists about the risk of these compds. to humans. Glutathione (GSH) conjugation of dihalomethanes has been considered to be a critical event in the bioactivation process, and risk assessment is based upon this premise; however, there is little exptl. support for this view or information about the basis of genotoxicity. A plasmid vector containing rat GSH S-transferase 5-5 was transfected into the Salmonella typhimurium tester strain TA1535, which then produced active enzyme. The transfected bacteria produced base-pair revertants in the presence of ethylene dihalides or dihalomethanes, in the order CH2Br2 > CH2BrCl > CH2Cl2. However, revertants were not seen when cells were exposed to GSH, CH2Br2, and an amount of purified GSH S-transferase 5-5 (20-fold excess in amount of that expressed within the cells). HCHO, which is an end product of the reaction of GSH with dihalomethanes, also did not produce mutations.

S-(1-acetoxymethyl)GSH was prepared as an analog of the putative S-(1-halomethyl)GSH reactive intermediates. This analog did not produce revertants, consistent with the view that activation of dihalomethanes must occur within the bacteria to cause genetic damage, presenting a model to be considered in studies with mammalian cells. S-(1-Acetoxymethyl)GSH reacted with 2'-deoxyguanosine to yield a major adduct, identified as S-[1-(N2-deoxyguanosinyl)methyl]GSH. Demonstration of the activation of dihalomethanes by this mammalian GSH S-transferase theta class enzyme should be of use in evaluating the risk of these chems., particularly in light of reports of the polymorphic expression of a similar activity in humans.

L4 ANSWER 11 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:442437 CAPLUS
DN 117:42437
TI Mutation spectrum and sequence alkylation selectivity resulting from modification of bacteriophage M13mp18 DNA with S-(2-chloroethyl)glutathione. Evidence for a role of S-(2-(N7-guanyl)ethyl)glutathione as a mutagenic lesion formed from ethylene dibromide
AU Cmarik, Joan L.; Humphreys, W. Griffith; Bruner, Kaylon L.; Lloyd, R. Stephen; Tibbetts, Clark; Guengerich, F. Peter
CS Sch. Med., Vanderbilt Univ., Nashville, TN, 37232, USA
SO Journal of Biological Chemistry (1992), 267(10), 6672-9
CODEN: JBCHA3; ISSN: 0021-9258
DT Journal
LA English
AB The major DNA adduct (>95% total) resulting from the bioactivation of ethylene dibromide by conjugation with GSH is S-[2-(N7-guanyl)ethyl]GSH. The mutagenic potential of this adduct has been uncertain, however, because the observed mutagenicity might be caused by other adducts present at much lower levels, e.g. S-[2-(N1-adenyl)ethyl]GSH. To assess the formation of other potential adducts, S-[2-(N3-deoxycytidyl)ethyl]GSH, S-[2-(O6-deoxyguanosyl)ethyl]GSH, and S-[2-(N2-deoxyguanosyl)ethyl]GSH were prepared and used as stds. in the anal. of calf thymus DNA modified by treatment with [1,2-14C]ethylene dibromide and GSH in the presence of rat liver cytosol; only minor amts. (<0.2%) were found. A forward mutation assay in (repair-deficient) Salmonella typhimurium TA100 and sequence anal. were utilized to determine the type, site, and frequency of mutations in a portion of the lacZ gene resulting from in vitro modification of bacteriophage M13mp18 DNA with S-(2-chloroethyl)GSH, an analog of the ethylene dibromide-GSH conjugate. An adduct level of .apprx.8 nmol (mg DNA)-1 resulted in a 10-fold increase in mutation frequency relative to the spontaneous level. The spectrum of spontaneous mutations was quite varied, but the spectrum of S-(2-chloroethyl)GSH-induced mutations consisted primarily of base substitutions, of which G:C to A:T transitions accounted for 75% (70% of the total mutations). All available evidence implicates S-[2-(N7-guanyl)ethyl]GSH as the cause of these mutations inasmuch as the levels of the minor adducts are not consistent with the mutation frequency observed in this system. The sequence selectivity of alkylation was determined by treatment of end-labeled lac DNA fragments with S-(2-chloroethyl)GSH, cleavage of the DNA at adduct sites, and electrophoretic anal. Comparison of the sequence selectivity with the mutation spectrum revealed no obligate relationship between the extent of adduct formation and the number of mutations which resulted at different sites. Apparently, the mechanism of mutagenesis involves DNA sequence-dependent alterations in the interaction of the polymerase with the (modified) template and incoming nucleotide.

L4 ANSWER 12 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:97233 CAPLUS
DN 114:97233

09567863

TI The cyclic diguanylic acid regulatory system of cellulose synthesis in Acetobacter xylinum. Chemical synthesis and biological activity of cyclic nucleotide dimer, trimer, and phosphothioate derivatives

AU Ross, Peter; Mayer, Raphael; Weinhouse, Haim; Amikam, Dorit; Huggirat, Yassir; Benziman, Moshe; De Vroom, Erik; Fidder, Alex; De Paus, Paul; et al.

CS Inst. Life Sci., Hebrew Univ., Jerusalem, 91904, Israel

SO Journal of Biological Chemistry (1990), 265(31), 18933-43
CODEN: JBCHA3; ISSN: 0021-9258

DT Journal

LA English

AB An unusual compound, cyclic bis(3' → 5')diguanylic acid (c-di-GMP or cGpGp), regulates cellulose synthesis in A. xylinum. This cyclic dinucleotide acts as an allosteric, pos. effector of cellulose synthase (I) (Ka = 0.31 μM) and is inactivated via degradation by a Ca2+-sensitive cyclic nucleotide phosphodiesterase (II) (Km = 0.25 μM). A series of 13 analogs cyclic dimer and trimer nucleotides were synthesized, employing a phosphotriester approach, and tested for the ability to mimick cCpGp as activators of I and as substrates for II. Seven of the synthetic compds. stimulated I and all of these activators underwent the Ca2+-inhibited degradation reaction. The order of affinities for I activators was cGpGp .apprx. cdGpGp .apprx. cGp(S)Gp (S-diastereomer) > cIpGp > cdGpdGp > cXpGp > cIpIp > cGp(S)Gp (R-diastereomer). Three cyclic dinucleotides of negligible affinity for either enzyme were cApAp, cUpUp, and cCpCp. This same order of affinities essentially pertained to the analogs as inhibitors of II, but at least 1 cyclic dinucleotide, cXpXp, which did not bind to I, was also a substrate for degradation, demonstrating that although the 2 enzymes share a similar, high degree of specificity for c-diGMP, their cyclic dinucleotide binding sites are not identical. Phosphodiester bonds of activators in which an exocyclic O atom was replaced with a S atom (cGp(S)Gp isomers) resisted the action of II, and such derivs. may be prototypes for synthetic nonhydrolyzable cGpGp analogs.

L4 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1990:631891 CAPLUS

DN 113:231891

TI The synthesis of 6-O-alkylguanosine synthons of the ribo- and deoxyribo series for the phosphotriester synthesis of oligonucleotides

AU Taktakishvili, M. O.; Tabdzhun, A.; Yartseva, I. V.

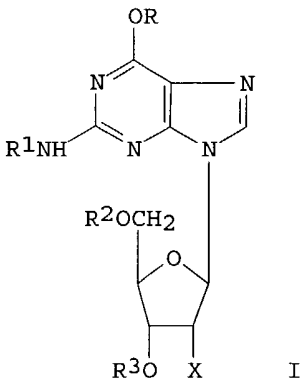
CS Tbilisi State Univ., Moscow, USSR

SO Bioorganicheskaya Khimiya (1990), 16(1), 59-68
CODEN: BIKHD7; ISSN: 0132-3423

DT Journal

LA Russian

GI



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AB 6-O-Alkyl substituted deoxy- and riboguanosines of potential carcinogenic and mutagenic activity were prepared by reaction with PhSCOCl, followed by N-isobutyrylation, 5'-dimethoxytritylation and 3'-phosphorylation. The fully protected 6-O-alkylguanosine 3'-phosphates, e.g. I [R = Bu, C16H33, R1 = Me2CHCO, R2 = dimethoxytrityl, R3 = P(O)(OCH2CH2CN)] thus obtained are versatile G-monomers for oligonucleotide phosphotriester synthesis.

L4 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:56595 CAPLUS
DN 112:56595
TI New protective groups in the preparation of oligoribonucleotides
IN Takaku, Hiroshi; Fujii, Masaya; Yamakage, Shunichi; Horinochi, Juzo; Hata, Tsujiaki
PA Shin-Daikyowa Petrochemical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 01190697	A2	19890731	JP 1988-13983	19880125
PRAI	JP 1988-13983		19880125		

OS MARPAT 112:56595
GI For diagram(s), see printed CA Issue.
AB Oligoribonucleotides were prepared in high yields by condensation of protected (oligo)ribonucleotides I [DMTr = 4,4'-dimethoxytrityl, THP = tetrahydropyranyl; B1-B4 = Q1-Q4; R1 = C(O)SBu, C(O)CH2CHMe2; R2 = Q; m, n = 0-30; when m = 2-30, B2 can be ≥2 different kinds of Q1-Q4, when n = 2-30, B4 can be ≥2 different kinds of Q1-Q4] and II (R = H; R2 = Q), in the presence of condensing agents such as (a) 8-quinolinesulfonyl chloride and N-methylimidazole, (b) arenesulfonyl chloride and N-methylimidazole, and (c) arenesulfonyl azolide. The protective groups used in I and II were stable under condensation reaction conditions. Deprotection of the O- and N-protective group, i.e. C(O)SBu in Q1 and Q2 as well as other nucleoside base protective groups (e.g. Bz or anisoyl) was carried out simultaneously. Thus, 0.6 mmol I (B1 = Q4, R2 = Q, m = 0) and 0.26 mmol II [B3 = Q1, R1 = C(O)SBu, R2 = Q, n = 0] were dissolved in a small amount of dry pyridine and the solvent was evaporated in vacuo. The residue was dissolved in anhydrous pyridine and 1.8 nmol 8-quinolinesulfonyl chloride and 3.6 nmol N-methylimidazole were added. The mixture reacted 2 h at room temperature to give 82% of dinucleotide II [R = DMTr, R1 = C(O)SBu, R2 unchanged, B3 = Q4, B4 = Q1, n = 1]. Preparation of GACCGUCA was also described.

L4 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:56596 CAPLUS
DN 112:56596
TI Preparation of protected nucleosides and nucleotides as intermediates for oligoribonucleotides
IN Takaku, Hiroshi; Fujii, Masaya; Yamakage, Shunichi; Horinochi, Juzo; Hata, Tsujiaki
PA Shin-Daikyowa Petrochemical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 01190696	A2	19890731	JP 1988-13982	19880125

09567863

PRAI JP 1988-13982 19880125
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = H, dimethoxytrityl; R2 = H, Bz, Q, Q1; R3 = H, Bz, tetrahydropyranyl; R1R2 = Si(CHMe2)2OSi(CHMe2)2; R4, R5 = H, C(O)CH2CHMe2, C(O)SBu; at least one of R4, R5 = C(O)SBu; B = Q2, Q3] which do not undergo side reactions, e.g. removal of protecting groups, under the conditions of oligonucleotide synthesis by the phosphotriester method, were prepared Thus, reaction of I (R1 = R2 = R3 = H, B = Q3) with 4,4'-dimethoxytrityl chloride (DMTrCl) in pyridine gave I (R1 = DMTr, R2, R3, B unchanged) which was treated with 5-chloro-8-quinolyl 1,3-dichlorophenyl phosphorochloridate (preparation in situ given), in the presence of N-methylimidazole to give 86% of guanosine 3'-phosphate derivative II (B = Q3).

L4 ANSWER 16 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:179693 CAPLUS
DN 112:179693
TI 1,1,1,3,3,3-Hexafluoro-2-propyl group as a new phosphate protecting group for oligoribonucleotide synthesis in the phosphotriester approach
AU Yamakage, Shunichi; Fujii, Masayo; Takaku, Hiroshi; Uemura, Masaru
CS Dep. Ind. Chem., Chiba Inst. Technol., Narashino, 275, Japan
SO Tetrahedron (1989), 45(17), 5459-68
CODEN: TETRAB; ISSN: 0040-4020
DT Journal
LA English
OS CASREACT 112:179693
AB The 1,1,1,3,3,3-hexafluoro-2-propyl group can be used as a new class of phosphate protecting group for internucleotidic bonds in the oligonucleotide synthesis by the phosphotriester approach. This protecting group is removed easily by treatment with 0.3 M N1,N1,N3,N3-tetramethylguanidinium syn-2-pyridinealdoximate in pyridine-water. The butylthiocarbonyl group was chosen as the protecting group for the O6-amide and N2-amino functions of guanosine and the N3-imide group of uridine. The synthesis of UGUCGGUC, the box 9R sequence of r-RNA precursor of Tetrahymena, is described.

L4 ANSWER 17 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1988:510832 CAPLUS
DN 109:110832
TI (Butylthio)carbonyl group: a new protecting group for the guanine residue in oligoribonucleotide synthesis
AU Fujii, Masayo; Yamakage, Shunichi; Takaku, Hiroshi; Hata, Tsujiaki
CS Lab. Bioorg. Chem., Chiba Inst. Technol., Chiba, 275, Japan
SO Tetrahedron Letters (1987), 28(46), 5713-16
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
OS CASREACT 109:110832
AB The protection of the O6-amide and N2-amino groups of guanosine with the (butylthio)carbonyl group is described. This group could be rapidly introduced in good yields and removed very easily under the conventional deprotective condition for the exo-amino acyl groups of other nucleoside bases. Octaribonucleotide GACCGUCA was prepared using (butylthio)carbonyl protecting group.

L4 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN

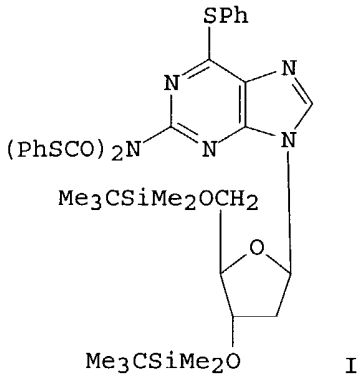
09567863

AN 1983:89805 CAPLUS
DN 98:89805
TI N-Sulfomethylation of guanine, adenine and cytosine with formaldehyde-bisulfite. A selective modification of guanine in DNA
AU Hayatsu, Hikoya; Yamashita, Yasuhiro; Yui, Seiko; Yamagata, Yuriko; Tomita, Kenichi; Negishi, Kazuo
CS Fac. Pharm. Sci., Okayama Univ., Okayama, 700, Japan
SO Nucleic Acids Research (1982), 10(20), 6281-93
CODEN: NARHAD; ISSN: 0305-1048
DT Journal
LA English
AB Treatment of guanine-, adenine- and cytosine-nucleosides and nucleotides with HCHO and then with bisulfite gave stable N-sulfomethyl compds. N2-Sulfomethylguanine, N6-sulfomethyladenine, N4-sulfomethylcytosine and N6-sulfomethyl-9-β-D-arabinofuranosyladenine were isolated as crystals and characterized. A guanine-specific sulfomethylation was brought about by treatment of denatured single-stranded DNA with HCHO and then with bisulfite at pH 7 and 4°. Since native double-stranded DNA was not modified by this treatment, this new method of modification is expected to be useful as a conformational probe for polynucleotides.

L4 ANSWER 19 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1982:563402 CAPLUS
DN 97:163402
TI Synthesis of oligodeoxyribonucleotides using N-(benzyloxycarbonyl)-blocked nucleosides
AU Watkins, Bruce E.; Kiely, John S.; Rapoport, Henry
CS Dep. Chem., Univ. California, Berkeley, CA, 94720, USA
SO Journal of the American Chemical Society (1982), 104(21), 5702-8
CODEN: JACSAT; ISSN: 0002-7863
DT Journal
LA English
AB The exo-amino groups of 2'-deoxyadenosine and 2'-deoxycytidine have been blocked as the benzyl carbamates, and 2'-deoxyguanosine has been blocked as its 2-N-(benzyloxycarbonyl)carbamate and 6-O-benzyl ether. These blocked nucleosides have been incorporated into an efficient oligodeoxyribonucleotide synthetic scheme, and the resulting oligomer has been successfully deblocked by using transfer hydrogenation. The deblocking conditions result in no reduction of the pyrimidine bases.

L4 ANSWER 20 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1983:34889 CAPLUS
DN 98:34889
TI Synthesis of benzyl and benzyloxycarbonyl base-blocked 2'-deoxyribonucleosides
AU Watkins, Bruce E.; Rapoport, Henry
CS Lawrence Berkeley Lab., Univ. California, Berkeley, CA, 94720, USA
SO Journal of Organic Chemistry (1982), 47(23), 4471-7
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
GI

09567863



I

AB Acylimidazoles were alkylated with trialkyloxonium tetrafluoroborates to form acylimidazolium salts. These salts, particularly (benzyloxycarbonyl)imidazolium salts, are effective agents for the direct, mono-N-protection of deoxynucleotides as their acyl derivs. These acyl nucleosides are also available via thiocarbamate intermediates. Thus 3',5'-bis(tert-butyldimethylsilyl)-2'-deoxyguanosine, on treatment with PhSCOCl, gave I. The PhS group of I was replaced by H, NH2, and alkoxy groups to give a variety of substituted purine deoxyribonucleosides.

L4 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1981:175417 CAPLUS
DN 94:175417
TI Sodium borohydride reduction of products obtained from reactions between ribonucleosides, p-thiocresol, and aldehydes; synthesis of N-alkyl nucleosides
AU Kemal, Aeznur; Reese, Colin B.
CS Dep. Chem., King's Coll., Strand/London, WC2R 2LS, UK
SO Synthesis (1980), (12), 1025-8
CODEN: SYNTBF; ISSN: 0039-7881
DT Journal
LA English
OS CASREACT 94:175417
AB Protected or unprotected adenosine, cytidine, or guanosine was treated with p-MeC6H4SH and an aldehyde (HCHO, MeCHO, EtCHO, or PhCHO) and the resultant N-(p-tolylthioalkyl) derivative of the nucleoside was reduced with NaBH4 to give the corresponding N-alkylnucleoside. Among the compds. prepared were 6-N-methyladenosine, 4-N-methylcytidine, and 2-N-ethylguanosine.

L4 ANSWER 22 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1980:147097 CAPLUS
DN 92:147097
TI A novel method for the methylation of heterocyclic amino groups. Conversion of guanosine into its 2-N-methyl- and 2-N,2-N-dimethyl derivatives
AU Bridson, Peter K.; Reese, Colin B.
CS Dep. Chem., King's Coll., London, WC2R 2LS, UK
SO Bioorganic Chemistry (1979), 8(3), 339-49
CODEN: BOCMBM; ISSN: 0045-2068
DT Journal
LA English
GI

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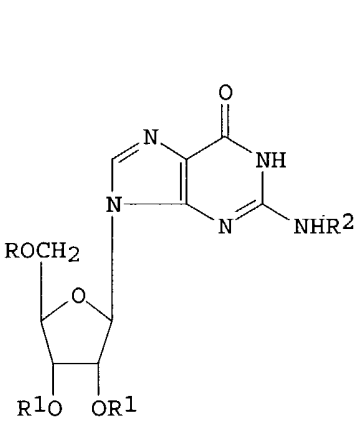
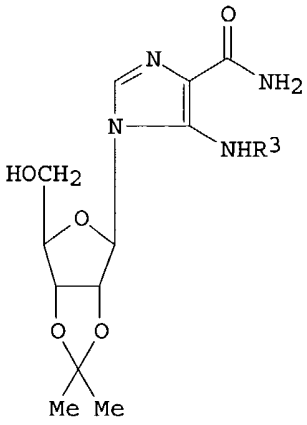


I



II

AB The heterocyclic amino-compds. I (R = R1 = Ac, R2 = H; R = R2 = H, R1R1 = Me2C) and II (R3 = H) reacted with HCHO and p-MeC6H4SH in alc. solution to give I (R, R1 as before R2 as before R2 = p-MeC6H4SCH2) and II (R3 = p-MeC6H4SCH2) in satisfactory to good yields. The reactions were catalyzed by AcOH. 2-N-Methylguanosine was obtained in good yield by treatment of I (R = H, R1R1 = Me2C, R2 = p-MeC6H4SCH2) with sodium borohydride followed by acidic hydrolysis, or alternatively by Raney nickel desulfurization of I (R = R1 = Ac, R2 = p-MeC6H4S = CH2) followed by ammonolysis of the product. Sodium borohydride reduction of II (R3 = p-MeC6H4SCH2) gave II (R3 = Me) in good yield. 2-N,2-N-Dimethylguanosine was obtained from 2',3',5'-tri-O-acetyl-2-N-methylguanosine in three steps.

L4 ANSWER 23 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1978:580269 CAPLUS
DN 89:180269
TI Characterization of the products of alkylation of 2'-deoxyadenosine and 2'-deoxyguanosine by chloroethyl ethyl sulfide
AU Sack, George H., Jr.; Fenselau, Catherine; Kan, Man-Na N.; Kan, Lou S.; Wood, Gordon W.; Lau, Pui-Yan
CS Johns Hopkins Med. Inst., Baltimore, MD, USA
SO Journal of Organic Chemistry (1978), 43(20), 3932-6
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
AB Alkylation of 2'-deoxyadenosine by Cl(CH2)2SEt in aqueous solns. at pH 6.0 and 25° gave 2 products, which were characterized, on the basis of mass spectrometry, NMR spectroscopy, UV spectroscopy as 2'-deoxy-1-[2-(ethylthio)ethyl]adenosine and 2'-deoxy-N6-[2-(ethylthio)ethyl]adenosine. The products formed from 2'-deoxyguanosine under these same conditions were 2'-deoxy-7-[2-(ethylthio)ethyl]guanosine and 2'-deoxy-N2-[2-(ethylthio)ethyl]guanosine, and the corresponding pair of deribosylated alkylated purines.

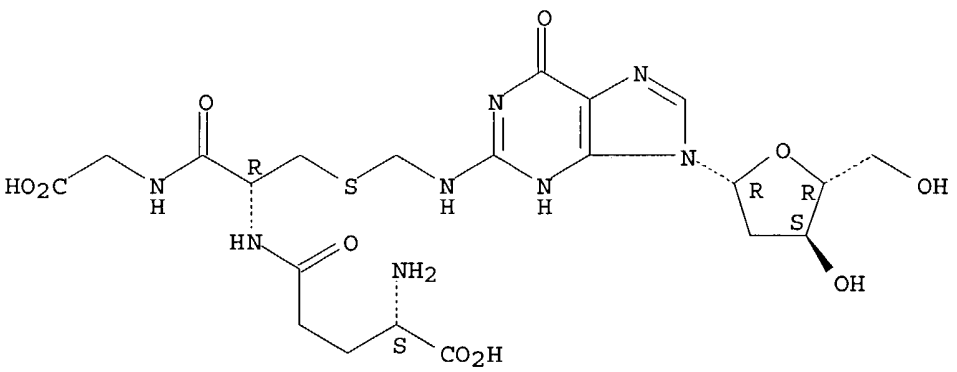
=> d l4 bib abs hitstr 1-23

L4 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:942614 CAPLUS
DN 140:140990
TI Formation and Mass Spectrometric Analysis of DNA and Nucleoside Adducts by S-(1-Acetoxymethyl)glutathione and by Glutathione S-Transferase-Mediated Activation of Dihalomethanes

09567863

AU Marsch, Glenn A.; Botta, Sisir; Martin, Martha V.; McCormick, W. Andrew; Guengerich, F. Peter
CS Department of Biochemistry and Center in Molecular Toxicology, Vanderbilt University School of Medicine, Nashville, TN, 37232, USA
SO Chemical Research in Toxicology (2004), 17(1), 45-54
CODEN: CRTOEC; ISSN: 0893-228X
PB American Chemical Society
DT Journal
LA English
AB The dihalomethane CH2Cl2 is an industrial solvent of potential concern to humans because of its potential genotoxicity and carcinogenicity. To characterize DNA damage by dihalomethanes, a rapid DNA digestion under acidic conditions was developed to identify alkali labile DNA-dihalomethane nucleoside adducts using HPLC-electrospray mass spectrometry. DNA digestion worked best using pH 5.0 sodium acetate buffer, a 30 min incubation with DNase II and phosphodiesterase II, and a 2 h acid phosphatase digest. DNA was modified with S-(1-acetoxymethyl)glutathione (GSCH2OAc), a reagent modeling activated dihalomethanes. Adducts to G, A, and T were detected at high ratios of GSCH2OAc/DNA following digestion of the DNA with the procedure used here. The relative efficacy of adduct formation was G > T > A » C. The four DNA nucleosides were also reacted with the dihalomethanes CH2Cl2 and CH2Br2 in the presence of glutathione (GSH) and GSH S-transferases from bacteria (DM11), rat (GST 5-5), and human (GST T1-1) under conditions that produce mutations in bacteria. All enzymes formed adducts to all four nucleosides, with dGuo being the most readily modified nucleoside. Thus, the pattern paralleled the results obtained with the model compds. GSCH2OAc and DNA. CH2Cl2 and CH2Br2 yielded similar amts. of adducts under these conditions. The relative efficiency of adduct formation by GSH transferases was rat 5-5 > human T1-1 > bacterial DM11, showing that human GSH transferase T1-1 can form dihalomethane adducts under the conditions used. Although the lability of DNA adducts has precluded more sophisticated expts. and in vivo studies have not yet been possible, the work collectively demonstrates the ability of several GSH transferases to generate DNA adducts from dihalomethanes, with G being the preferred site of adduction in both this and the GSCH2OAc model system.
IT **152406-76-3**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(formation and mass spectrometric anal. of DNA and nucleoside adducts by acetoxymethyl glutathione and by glutathione S-transferase-mediated activation of dihalomethanes)
RN 152406-76-3 CAPLUS
CN Glycine, L-γ-glutamyl-S-[[[9-(2-deoxy-β-D-erythro-pentofuranosyl)-6,9-dihydro-6-oxo-1H-purin-2-yl]amino]methyl]-L-cysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

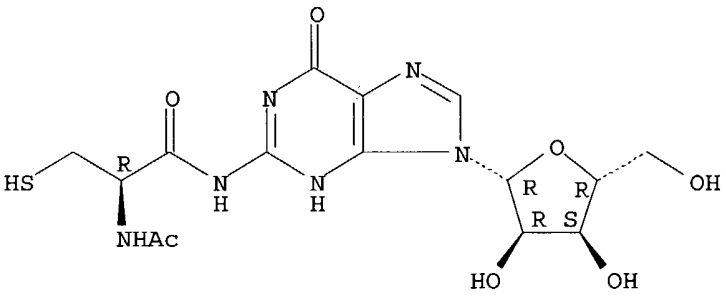


09567863

RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:651365 CAPLUS
DN 136:1809
TI Methylglyoxal, an endogenous aldehyde, crosslinks DNA polymerase and the substrate DNA
AU Murata-Kamiya, Naoko; Kamiya, Hiroyuki
CS Institute of Industrial Ecological Sciences, University of Occupational and Environmental Health, Kitakyushu, 807-8555, Japan
SO Nucleic Acids Research (2001), 29(16), 3433-3438
CODEN: NARHAD; ISSN: 0305-1048
PB Oxford University Press
DT Journal
LA English
AB Methylglyoxal, a known endogenous and environmental mutagen, is a reactive α-ketoaldehyde that can modify both DNA and proteins. To investigate the possibility that methylglyoxal induces a crosslink between DNA and DNA polymerase, we treated a 'primed template' DNA and the exonuclease-deficient Klenow fragment (KFexo-) of DNA polymerase I with methylglyoxal in vitro. When the reaction mixts. were analyzed by SDS-PAGE, we found that methylglyoxal induced a DNA-KFexo- crosslink. The specific binding complex of KFexo- and 'primed template' DNA was necessary for formation of the DNA-KFexo- crosslink. Methylglyoxal reacted with guanine residues in the single-stranded portion of the template DNA. When 2'-deoxyguanosine was incubated with Nα-acetyllysine or N-acetylcysteine in the presence of methylglyoxal, a crosslinked product was formed. No other amino acid derivs. tested could generate a crosslinked product. These results suggest that methylglyoxal crosslinks a guanine residue of the substrate DNA and lysine and cysteine residues near the binding site of the DNA polymerase during DNA synthesis and that DNA replication is severely inhibited by the methylglyoxal-induced DNA-DNA polymerase crosslink.
IT **376631-10-6**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(methylglyoxal, endogenous aldehyde, crosslinks DNA polymerase and substrate DNA)
RN 376631-10-6 CAPLUS
CN Guanosine, N-[(2R)-2-(acetylamino)-3-mercapto-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



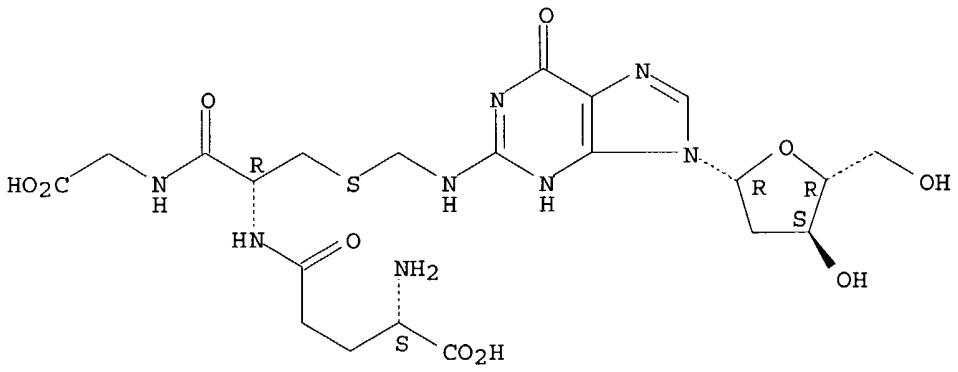
RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:294120 CAPLUS

09567863

DN 135:72515
TI Characterization of Nucleoside and DNA Adducts Formed by S-(1-Acetoxymethyl)glutathione and Implications for Dihalomethane-Glutathione Conjugates
AU Marsch, Glenn A.; Mundkowski, Ralf G.; Morris, Brent J.; Manier, M. Lisa; Hartman, Melanie K.; Guengerich, F. Peter
CS Department of Biochemistry and Center in Molecular Toxicology, Vanderbilt University School of Medicine, Nashville, TN, 37232, USA
SO Chemical Research in Toxicology (2001), 14(5), 600-608
CODEN: CRTOEC; ISSN: 0893-228X
PB American Chemical Society
DT Journal
LA English
AB S-(1-Acetoxymethyl)glutathione (GSCH2OAc) was synthesized and used as a model for the reaction of glutathione (GSH)-dihaloalkane conjugates with nucleosides and DNA. Previously, S-[1-(N2-deoxyguanosinyl)methyl]GSH had been identified as the major adduct formed in the reaction of GSCH2OAc with deoxyguanosine. GSCH2OAc was incubated with the three remaining deoxyribonucleosides to identify other possible adducts. Adducts to all three nucleosides were found using electrospray ionization mass spectrometry (ESI MS). The adduct of GSCH2OAc and deoxyadenosine was formed in yield of up to 0.05% and was identified as S-[1-(N7-deoxyadenosinyl)methyl]GSH. The pyrimidine deoxyribonucleoside adducts were formed more efficiently, resulting in yields of 1 and 2% for the GSCH2OAc adducts derived from thymidine and deoxycytidine, resp., but their lability prevented their structural identification by 1H NMR. On the basis of the available UV spectra, we propose the structures S-[1-(N3-thymidinyl)methyl]GSH and S-[1-(N4-deoxycytidinyl)methyl]GSH. Because adduct degradation occurred most rapidly at alkaline and neutral pH values, an enzymic DNA digestion procedure was developed for the rapid hydrolysis of DNA to deoxyribonucleosides at acidic pH. DNA digests were completed in less than 2 h with a two-step method, which consisted of a 15 min incubation of DNA with high concns. of DNase II and phosphodiesterase II at pH 4.5, followed by incubation of resulting nucleotides with acid phosphatase. Anal. of the hydrolysis products by HPLC-ESI-MS indicated the presence of the thymidine adduct.
IT **152406-76-3P**, S-[1-(N2-2'-Deoxyguanosinyl)methyl]glutathione
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(characterization of nucleoside and DNA adducts formed by S-(acetoxymethyl)glutathione and implications for dihalomethane-glutathione conjugates)
RN 152406-76-3 CAPLUS
CN Glycine, L-γ-glutamyl-S-[[[9-(2-deoxy-β-D-erythro-pentofuranosyl)-6,9-dihydro-6-oxo-1H-purin-2-yl]amino]methyl]-L-cysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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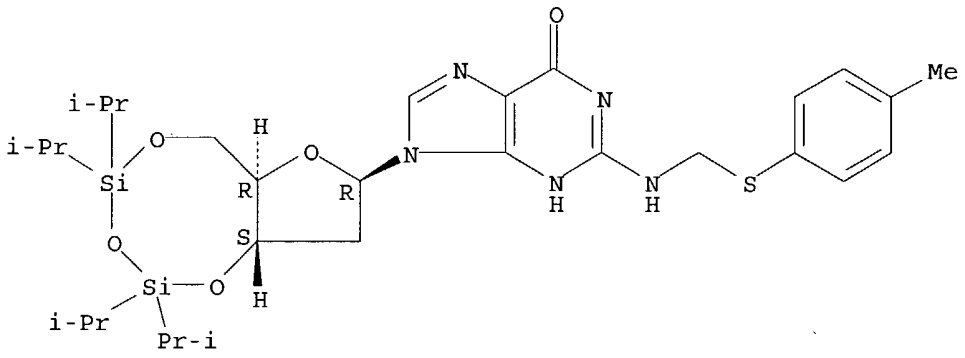
RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:765388 CAPLUS
DN 133:329564
TI Modified oligodeoxyribonucleotides as anti-AIDS agents
IN Koizumi, Makoto; Kaneko, Masakatsu; Ohmine, Toshinori; Furukawa, Hidehiko; Nishigaki, Takashi
PA Sankyo Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 40 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2000302684	A2	20001031	JP 1999-114408	19990422
PRAI JP 1999-114408		19990422		

AB Modified oligodeoxyribonucleotides (I; Markush's structure given) and their pharmacol. acceptable salts are claimed as anti-AIDS agents. I derivs. were prepared, and their formulation examples of injections, capsules, and tablets were given.
IT **224302-74-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(modified oligodeoxyribonucleotides as anti-AIDS agents)
RN 224302-74-3 CAPLUS
CN Guanosine, 2'-deoxy-N-[[(4-methylphenyl)thio]methyl]-3',5'-O-[1,1,3,3-tetrakis(1-methylethyl)-1,3-disiloxanediyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

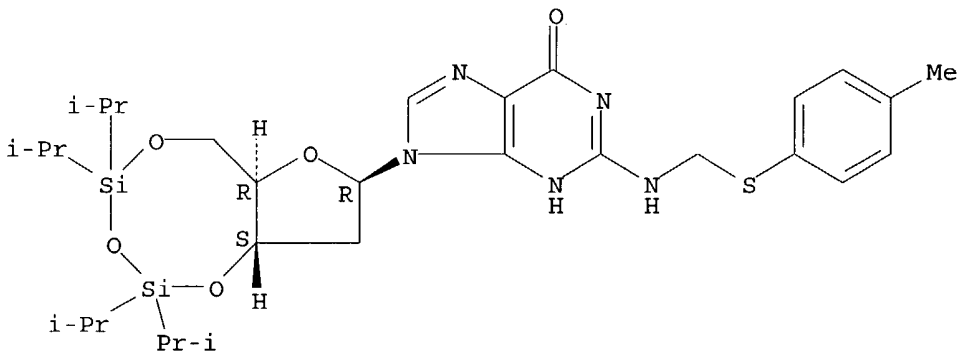


L4 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:656747 CAPLUS
DN 134:17676
TI Biologically active oligodeoxyribonucleotides. Part 12: N2-Methylation of 2'-deoxyguanosines enhances stability of parallel G-quadruplex and anti-HIV-1 activity
AU Koizumi, M.; Akahori, K.; Ohmine, T.; Tsutsumi, S.; Sone, J.; Kosaka, T.; Kaneko, M.; Kimura, S.; Shimada, K.
CS Exploratory Chemistry Research Laboratories, Sankyo Co., Ltd, Tokyo, 140-8710, Japan
SO Bioorganic & Medicinal Chemistry Letters (2000), 10(19), 2213-2216
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.

09567863

DT Journal
LA English
OS CASREACT 134:17676
AB 2'-Deoxyguanosine residues of a 3',5'-end-modified hexadeoxyribonucleotide (R-95288) with anti-HIV-1 activity were substituted with N2-methyl-2'-deoxyguanosine (m2dG). These modified oligodeoxyribonucleotides (ODNs) showed a 2-fold higher activity than R-95288. Also, the CD spectra of these ODNs indicated that the m2dG modification stabilized the tertiary structure of the G-quadruplex.
IT **224302-74-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and 2-methylation of deoxyguanosines enhances stability of parallel G-quadruplex and anti-HIV-1 activity)
RN 224302-74-3 CAPLUS
CN Guanosine, 2'-deoxy-N-[[(4-methylphenyl)thio]methyl]-3',5'-O-[1,1,3,3-tetrakis(1-methylethyl)-1,3-disiloxanediyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

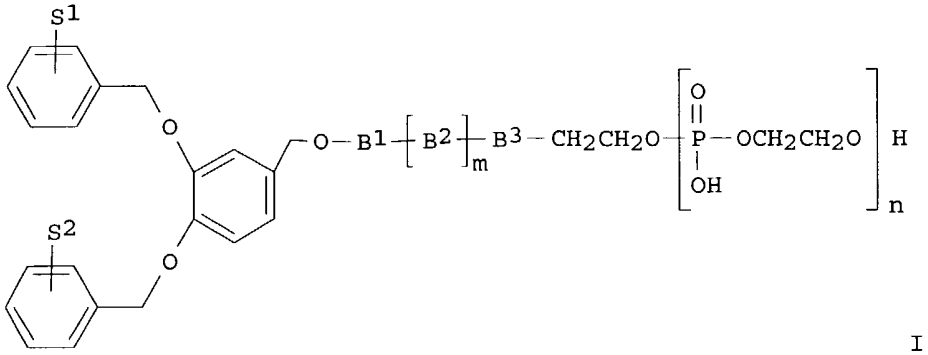
L4 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:297434 CAPLUS
DN 130:338349
TI Preparation of antisense oligodeoxyribonucleotides containing modified nucleoside having anti-AIDS activity
IN Koizumi, Makoto; Kaneko, Masakatsu; Ohmine, Toshinori; Furukawa, Hidehiko; Nishigaki, Takashi
PA Sankyo Company, Ltd., Japan
SO PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9921874	A1	19990506	WO 1998-JP4863	19981027
	W: AU, BR, CA, CN, CZ, HU, ID, IL, KR, MX, NO, NZ, PL, RU, TR, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9896489	A1	19990517	AU 1998-96489	19981027
	JP 11199597	A2	19990727	JP 1998-304999	19981027
PRAI	JP 1997-293821		19971027		
	WO 1998-JP4863		19981027		

OS MARPAT 130:338349

09567863

GI



I

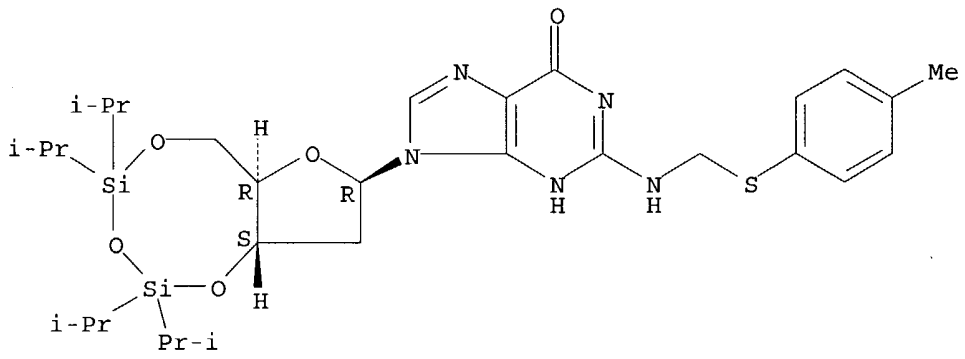
AB Novel modified oligodeoxynucleotides represented by general formula (I) or pharmacol. acceptable salts thereof (wherein B1, B2, and B3 are the same or different and each is A, G, C, T, a, g, c, t, M, X, etc.; m is an integer of 0 to 7; S1 and S2 each represents hydrogen, alkyl, alkoxy, or halogeno; n is an integer of 0 to 9; in the m repetitions of B2, the B2's may be the same or different; a, g, c, and t resp. represent A, G, C, and T each bonded at the 3' end; M represents 2-N-methyl-G; and X represents 2'-methoxy-G) having an excellent activity against human immunodeficiency virus (HIV-1) and a reduced toxicity against normal host cells are prepared I (S1 = S2 = H, B1-(B2)m-B3 = Tgggg, n = 0), which was prepared by the phosphoramidite method using a 392 DNA/RNA synthesizer, showed IC50 of 0.23 μg/mL for inhibiting the cell damage of MT-4 cells infected with HIV-1. A tablet, a hard capsule, a capsule, a soft capsule formulation containing I (S1 = S2 = H, B1-(B2)m-B3 = TMMMAG, n = 0) were described.

IT **224302-74-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of antisense oligodeoxyribonucleotides containing modified nucleoside having anti-AIDS activity)

RN 224302-74-3 CAPLUS

CN Guanosine, 2'-deoxy-N-[[(4-methylphenyl)thio]methyl]-3',5'-O-[1,1,3,3-tetrakis(1-methylethyl)-1,3-disiloxanediyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

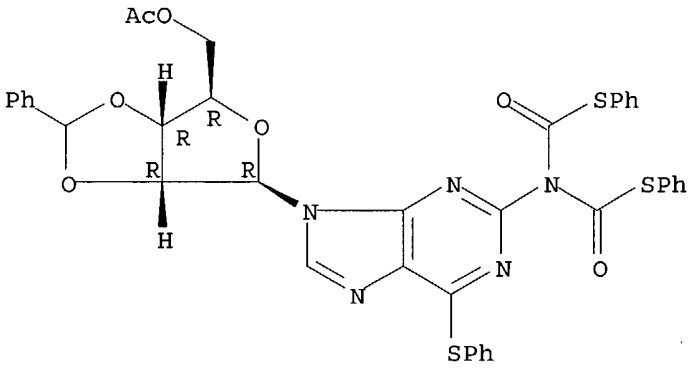


RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09567863

L4 ANSWER 7 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:619311 CAPLUS
DN 129:316485
TI Synthesis of Enzymically Stable Analogs of GDP for Binding Studies with Transducin, the G-Protein of the Visual Photoreceptor
AU Vincent, Stephane; Grenier, Sonya; Valleix, Alain; Salesse, Christian; Lebeau, Luc; Mioskowski, Charles
CS Laboratoire de Synthese Bioorganique associe au CNRS Faculte de Pharmacie, Universite Louis Pasteur de Strasbourg, Illkirch, 67 401, Fr.
SO Journal of Organic Chemistry (1998), 63(21), 7244-7257
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
AB The synthesis of five enzymically stable analogs of guanosine diphosphate (GDP) has been carried out. The pyrophosphate moiety was mimicked in turn by the malonate, the acetophosphonate, the phosphonoacetate, the methylene-bis-phosphonate, and the imidodiphosphate groups. All the compds. were prepared via the synthesis of a transient fully protected nucleoside diphosphate analog, and the final deprotection step was achieved by catalytic hydrogenolysis. The biol. properties of the compds. have been evaluated toward transducin, the G-protein of the visual photoreceptor. Three guanosine imidodiphosphate derivs. bearing a linker at different positions on the sugar and on the base were then prepared and evaluated, giving some insight into the GDP binding site of transducin.
IT **214918-94-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of enzymically stable analogs of guanosine diphosphate for binding studies with transducin)
RN 214918-94-2 CAPLUS
CN Guanosine, 6-S-phenyl-2',3'-O-(phenylmethylene)-N,N-bis[(phenylthio)carbonyl]-6-thio-, 5'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 106 THERE ARE 106 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

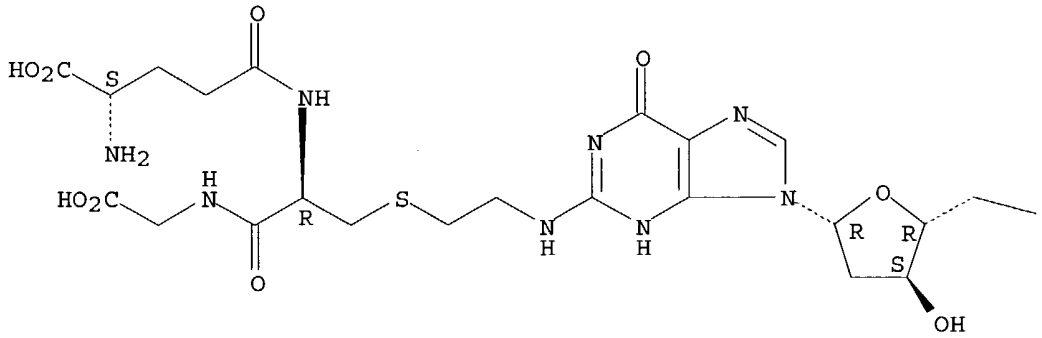
L4 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:671190 CAPLUS
DN 127:304235
TI Synthesis of Oligonucleotides Containing the Ethylene Dibromide-Derived DNA Adducts S-[2-(N7-Guanyl)ethyl]glutathione, S-[2-(N2-Guanyl)ethyl]glutathione, and S-[2-(O6-Guanyl)ethyl]glutathione at a Single Site
AU Kim, Mi-Sook; Guengerich, F. Peter

09567863

CS Department of Biochemistry and Center in Molecular Toxicology, Vanderbilt University School of Medicine, Nashville, TN, 37232-0146, USA
SO Chemical Research in Toxicology (1997), 10(10), 1133-1143
CODEN: CRTOEC; ISSN: 0893-228X
PB American Chemical Society
DT Journal
LA English
AB The carcinogen ethylene dibromide (EDB) is activated by enzymic conjugation with GSH to form S-(2-bromoethyl)GSH, which reacts with DNA via an episulfonium ion. S-[2-(N7-guanyl)ethyl]GSH has been incorporated at the G* site in d(5'-TGCTG*CAAG-3'), a site previously found to show GC to AT transitions following treatment of M13 phage with S-(2-chloroethyl)GSH, and the desired product was separated by HPLC. This was ligated to d(5'-GGTACCGAG-3') to yield d(5'-TGCTG*CAAGGGTACCGAG-3'). S-[2-(N2-guanyl)ethyl]GSH was incorporated into the G* site of the oligonucleotide in d(5'-TGCTG*CAAGGGTACCGAG-3') by reacting S-(2-aminoethyl)GSH with an oligomer containing 2-fluoro-O6-[(trimethylsilyl)ethoxy]deoxyinosine at the target site. The 5'-(dimethoxytrityl)-N2-(phenoxyacetyl)-N-[(fluorenylmethyl)formyl] derivative of S-[2-(O6-deoxyguanosyl)ethyl]GSH di-Me ester was synthesized by Mitsunobu alkylation of 5'-(dimethoxytrityl)-N2-(phenoxyacetyl)deoxyguanosine with N-[(fluorenylmethyl)formyl]-S-(2-hydroxyethyl)GSH di-Me ester, modified to form the phosphoramidite derivative, and incorporated at the G* site of d(5'-TGCTG*CAAGGGTACCGAG-3'). The protective groups were removed with 0.10 N NaOH to give the modified oligonucleotide containing S-[2-(O6-guanyl)ethyl]GSH. Although the overall yields were low, the synthesis of a single set of target site oligonucleotides containing these three known guanyl adducts allows for in vitro site-specific misincorporation studies.
IT **142182-36-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of oligonucleotides containing ethylene dibromide-derived DNA adducts [(guanyl)ethyl]glutathiones at single site)
RN 142182-36-3 CAPLUS
CN Glycine, N-[S-[2-[[9-(2-deoxy-β-D-erythro-pentofuranosyl)-6,9-dihydro-6-oxo-1H-purin-2-yl]amino]ethyl]-N-L-γ-glutamyl-L-cysteinyl]- (9CI) (CA INDEX NAME)

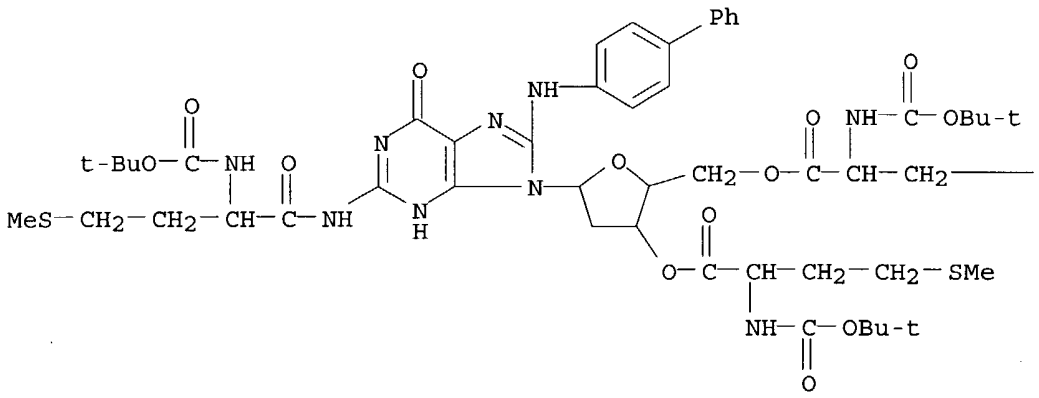
Absolute stereochemistry.

PAGE 1-A



L4 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:550638 CAPLUS
DN 121:150638
TI Peracylation of Nucleosides with Methionine: Foundation for a Method To Detect Carcinogen Adducts
AU Sheabar, Fayad Z.; Morningstar, Marshall L.; Wogan, Gerald N.
CS Division of Toxicology and Department of Chemistry, Massachusetts Institute of Technology, Cambridge, MA, 02139, USA
SO Chemical Research in Toxicology (1994), 7(5), 650-8
CODEN: CRTOEC; ISSN: 0893-228X
DT Journal
LA English
AB The authors report the chemical foundation for a new method to detect carcinogen-DNA adducts, which the authors have designated adduct detection by acylation with methionine (ADAM). The method is based on reaction of DNA adducts with a protected methionine derivative, (tert-butoxycarbonyl)-L-methionine N-hydroxysuccinimidyl ester (TBM-NHS). Acylation of 2'-deoxyguanosine (dGuo), used as a prototypical deoxynucleoside, and N-(deoxyguanosin-8-yl)-4-aminobiphenyl(dGuo-8-ABP), the major DNA adduct formed after in vivo exposure to 4-aminobiphenyl, a known human carcinogen, with (tert-butoxycarbonyl)-L-methionine (TBM) was optimized, and products were characterized by 3H radioactivity, UV absorbance, mass spectrometry, and 1H and 13C NMR. Derivs. acylated on hydroxyl (5' or 3') and/or amine (N2) groups were unambiguously determined to be mono-, bis-, and tris-TBM-acylated nucleosides. Under optimal acylation conditions [TBM-NHS (≥4 + 105 molar equivalents), pyridine (50 μL), THF (50 μL), and diisopropylcarbodiimide (DIC) (1 μL) and incubation for 2 h at 37 °C], the efficiency of acylation for picomole or smaller quantities of dGuo-8-ABP exceeded 95%, with the tris-TBM-acylated nucleoside representing the major product (88%). A linear correlation was obtained between the amount of[3H]dGuo-8-ABP introduced into the reaction and the total amount of TBM-acylated products formed. These results support the validity of this strategy for adaptation as an anal. method for the detection of low levels of DNA adducts through the use of (tert-butoxycarbonyl)-L-[35S]methionine N-hydroxysuccinimidyl ester.
IT **157406-89-8P 157406-94-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, from DNA adducts, carcinogen detection in relation to)
RN 157406-89-8 CAPLUS
CN L-Methionine, N-[(1,1-dimethylethoxy)carbonyl]-, 3',5'-diester with 8-([1,1'-biphenyl]-4-ylamino)-2'-deoxy-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]-4-(methylthio)-1-oxobutyl]guanosine, (S)-(9CI) (CA INDEX NAME)

PAGE 1-A

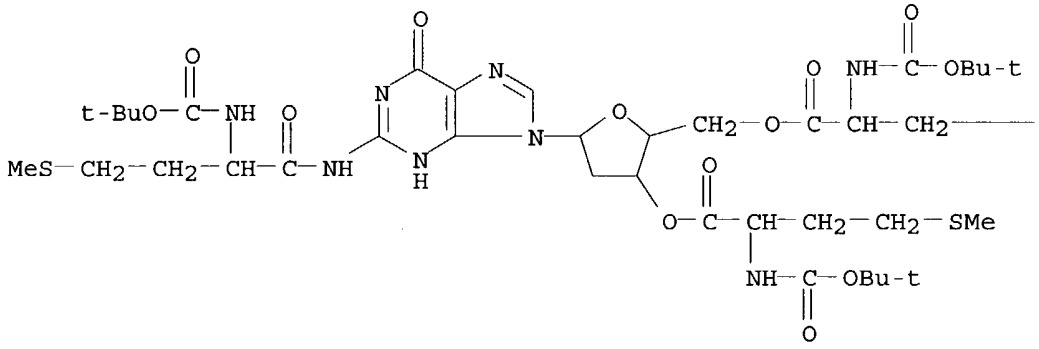


PAGE 1-B

— CH_2 — SMe

RN 157406-94-5 CAPLUS
CN L-Methionine, N-[(1,1-dimethylethoxy)carbonyl]-, 3',5'-ester with 2'-deoxy-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]-4-(methylthio)-1-oxobutyl]guanosine, (S)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

— CH_2 — SMe

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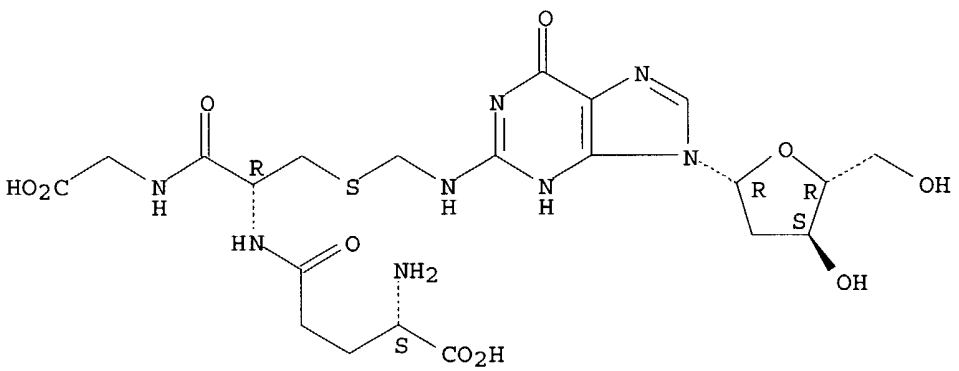
L4 ANSWER 10 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:71232 CAPLUS
DN 120:71232
TI Expression of mammalian glutathione S-transferase 5-5 in Salmonella typhimurium TA1535 leads to base-pair mutations upon exposure to dihalomethanes
AU Thier, Ricarda; Taylor, John B.; Pemble, Sally E.; Humphreys, W. Griffith; Persmark, Magnus; Ketterer, Brian; Guengerich, F. Peter
CS Sch. Med., Vanderbilt Univ., Nashville, TN, 37232-0146, USA
SO Proceedings of the National Academy of Sciences of the United States of America (1993), 90(18), 8576-80
CODEN: PNASA6; ISSN: 0027-8424
DT Journal
LA English
AB Dihalomethanes can produce liver tumors in mice but not in rats, and concern exists about the risk of these compds. to humans. Glutathione (GSH) conjugation of dihalomethanes has been considered to be a critical event in the bioactivation process, and risk assessment is based upon this premise; however, there is little exptl. support for this view or information about the basis of genotoxicity. A plasmid vector containing rat GSH S-transferase 5-5 was transfected into the Salmonella typhimurium tester strain TA1535, which then produced active enzyme. The transfected bacteria produced base-pair revertants in the presence of ethylene dihalides or dihalomethanes, in the order CH_2Br_2 > CH_2BrCl > CH_2Cl_2. However, revertants were not seen when cells were exposed to GSH, CH_2Br_2, and an amount of purified GSH S-transferase 5-5 (20-fold excess in amount of that expressed within the cells). HCHO, which is an end product of the reaction of GSH with dihalomethanes, also did not produce mutations. S-(1-acetoxymethyl)GSH was prepared as an analog of the putative S-(1-halomethyl)GSH reactive intermediates. This analog did not produce revertants, consistent with the view that activation of dihalomethanes must occur within the bacteria to cause genetic damage, presenting a model to be considered in studies with mammalian cells. S-(1-Acetoxymethyl)GSH reacted with 2'-deoxyguanosine to yield a major adduct, identified as S-[1-(N2-deoxyguanosinyl)methyl]GSH. Demonstration of the activation of dihalomethanes by this mammalian GSH S-transferase theta class enzyme should be of use in evaluating the risk of these chems., particularly in light of reports of the polymorphic expression of a similar activity in humans.
IT **152406-76-3**
RL: FORM (Formation, nonpreparative)
(formation of, from (acetoxymethyl)glutathione and dGuo)
RN 152406-76-3 CAPLUS
CN Glycine, L-γ-glutamyl-S-[[[9-(2-deoxy-β-D-erythro-pentofuranosyl)-6,9-dihydro-6-oxo-1H-purin-2-yl]amino]methyl]-L-cysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

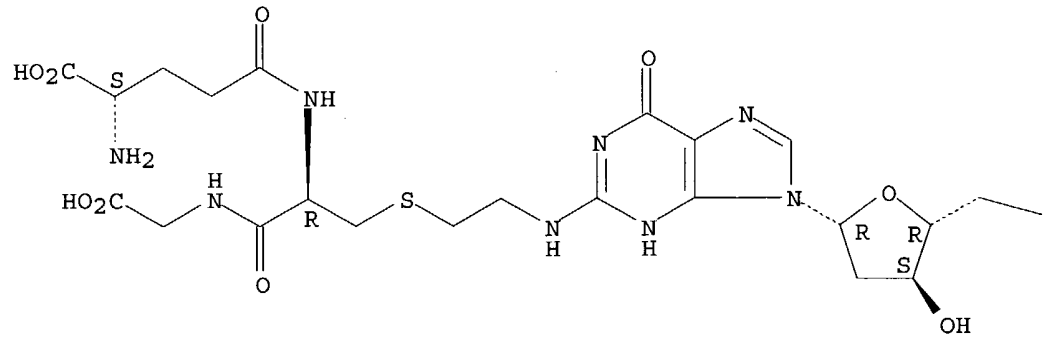


09567863

L4 ANSWER 11 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:442437 CAPLUS
DN 117:42437
TI Mutation spectrum and sequence alkylation selectivity resulting from modification of bacteriophage M13mp18 DNA with S-(2-chloroethyl)glutathione. Evidence for a role of S-(2-(N7-guanyl)ethyl)glutathione as a mutagenic lesion formed from ethylene dibromide
AU Cmarik, Joan L.; Humphreys, W. Griffith; Bruner, Kaylon L.; Lloyd, R. Stephen; Tibbetts, Clark; Guengerich, F. Peter
CS Sch. Med., Vanderbilt Univ., Nashville, TN, 37232, USA
SO Journal of Biological Chemistry (1992), 267(10), 6672-9
CODEN: JBCHA3; ISSN: 0021-9258
DT Journal
LA English
AB The major DNA adduct (>95% total) resulting from the bioactivation of ethylene dibromide by conjugation with GSH is S-[2-(N7-guanyl)ethyl]GSH. The mutagenic potential of this adduct has been uncertain, however, because the observed mutagenicity might be caused by other adducts present at much lower levels, e.g. S-[2-(N1-adenyl)ethyl]GSH. To assess the formation of other potential adducts, S-[2-(N3-deoxycytidyl)ethyl]GSH, S-[2-(O6-deoxyguanosyl)ethyl]GSH, and S-[2-(N2-deoxyguanosyl)ethyl]GSH were prepared and used as stds. in the anal. of calf thymus DNA modified by treatment with [1,2-14C]ethylene dibromide and GSH in the presence of rat liver cytosol; only minor amts. (<0.2%) were found. A forward mutation assay in (repair-deficient) Salmonella typhimurium TA100 and sequence anal. were utilized to determine the type, site, and frequency of mutations in a portion of the lacZ gene resulting from in vitro modification of bacteriophage M13mp18 DNA with S-(2-chloroethyl)GSH, an analog of the ethylene dibromide-GSH conjugate. An adduct level of .apprx.8 nmol (mg DNA)-1 resulted in a 10-fold increase in mutation frequency relative to the spontaneous level. The spectrum of spontaneous mutations was quite varied, but the spectrum of S-(2-chloroethyl)GSH-induced mutations consisted primarily of base substitutions, of which G:C to A:T transitions accounted for 75% (70% of the total mutations). All available evidence implicates S-[2-(N7-guanyl)ethyl]GSH as the cause of these mutations inasmuch as the levels of the minor adducts are not consistent with the mutation frequency observed in this system. The sequence selectivity of alkylation was determined by treatment of end-labeled lac DNA fragments with S-(2-chloroethyl)GSH, cleavage of the DNA at adduct sites, and electrophoretic anal. Comparison of the sequence selectivity with the mutation spectrum revealed no obligate relationship between the extent of adduct formation and the number of mutations which resulted at different sites. Apparently, the mechanism of mutagenesis involves DNA sequence-dependent alterations in the interaction of the polymerase with the (modified) template and incoming nucleotide.
IT **142182-36-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 142182-36-3 CAPLUS
CN Glycine, N-[S-[2-[[9-(2-deoxy-β-D-erythro-pentofuranosyl)-6,9-dihydro-6-oxo-1H-purin-2-yl]amino]ethyl]-N-L-γ-glutamyl-L-cysteinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

OH

L4 ANSWER 12 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:97233 CAPLUS
DN 114:97233
TI The cyclic diguanylic acid regulatory system of cellulose synthesis in Acetobacter xylinum. Chemical synthesis and biological activity of cyclic nucleotide dimer, trimer, and phosphothioate derivatives
AU Ross, Peter; Mayer, Raphael; Weinhouse, Haim; Amikam, Dorit; Huggirat, Yassir; Benziman, Moshe; De Vroom, Erik; Fidder, Alex; De Paus, Paul; et al.
CS Inst. Life Sci., Hebrew Univ., Jerusalem, 91904, Israel
SO Journal of Biological Chemistry (1990), 265(31), 18933-43
CODEN: JBCHA3; ISSN: 0021-9258
DT Journal
LA English
AB An unusual compound, cyclic bis(3' → 5')diguanylic acid (c-di-GMP or cGpGp), regulates cellulose synthesis in A. xylinum. This cyclic dinucleotide acts as an allosteric, pos. effector of cellulose synthase (I) (Ka = 0.31 μM) and is inactivated via degradation by a Ca2+-sensitive cyclic nucleotide phosphodiesterase (II) (Km = 0.25 μM). A series of 13 analogs cyclic dimer and trimer nucleotides were synthesized, employing a phosphotriester approach, and tested for the ability to mimick cCpGp as activators of I and as substrates for II. Seven of the synthetic compds. stimulated I and all of these activators underwent the Ca2+-inhibited degradation reaction. The order of affinities for I activators was cGpGp .apprx. cdGpGp .apprx. cGp(S)Gp (S-diastereomer) > cIpGp > cdGpdGp > cXpGp > cIpIp > cGp(S)Gp (R-diastereomer). Three cyclic dinucleotides of negligible affinity for either enzyme were cApAp, cUpUp, and cCpCp. This same order of affinities essentially pertained to the analogs as inhibitors of II, but at least 1 cyclic dinucleotide, cXpXp, which did not bind to I, was also a substrate for degradation, demonstrating that although the 2 enzymes share a similar, high degree of specificity for c-diGMP, their cyclic dinucleotide binding sites are not identical. Phosphodiester

bonds of activators in which an exocyclic O atom was replaced with a S atom (cGp(S)Gp isomers) resisted the action of II, and such derivs. may be prototypes for synthetic nonhydrolyzable cGpGp analogs.

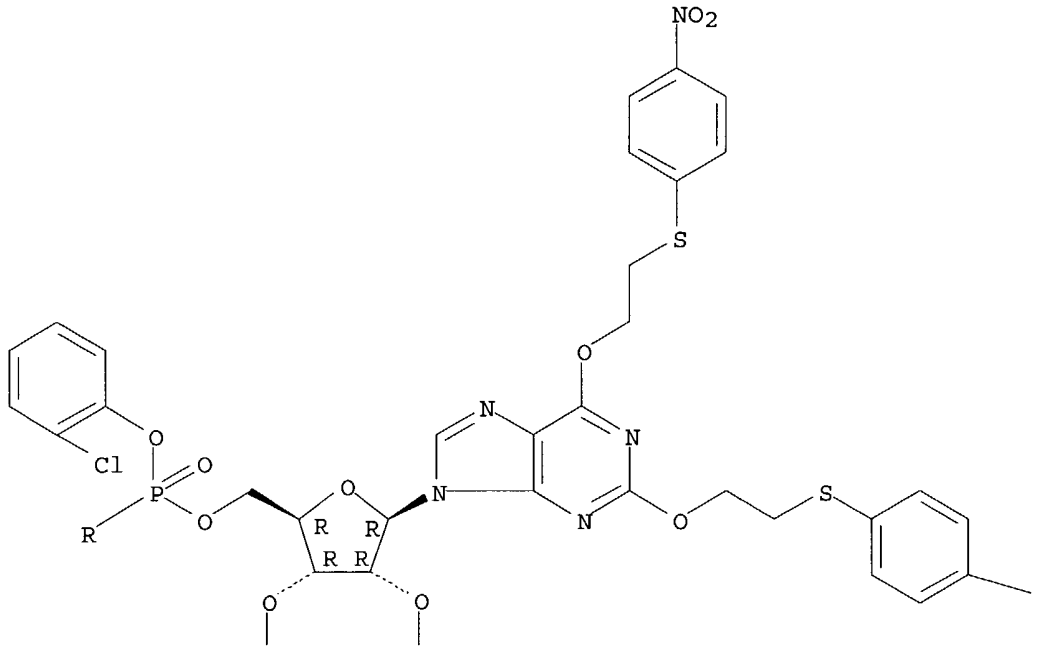
IT **132182-24-2P 132209-36-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)

RN 132182-24-2 CAPLUS

CN 3'-Xanthylic acid, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P-(2-chlorophenyl)-2,6-bis-O-[2-[(4-nitrophenyl)thio]ethyl]-2'-O-(tetrahydro-2H-pyran-2-yl)xanthylyl-(3'→5')-2,6-bis-O-[2-[(4-nitrophenyl)thio]ethyl]-2'-O-(tetrahydro-2H-pyran-2-yl)-, 2-chlorophenyl 2-propenyl ester (9CI) (CA INDEX NAME)

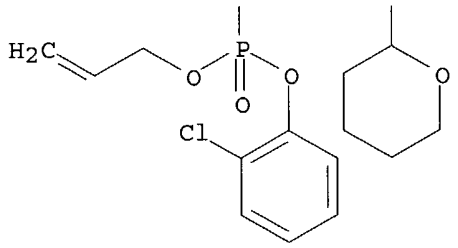
Absolute stereochemistry.

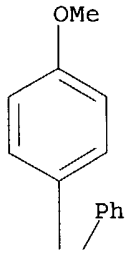
PAGE 1-A



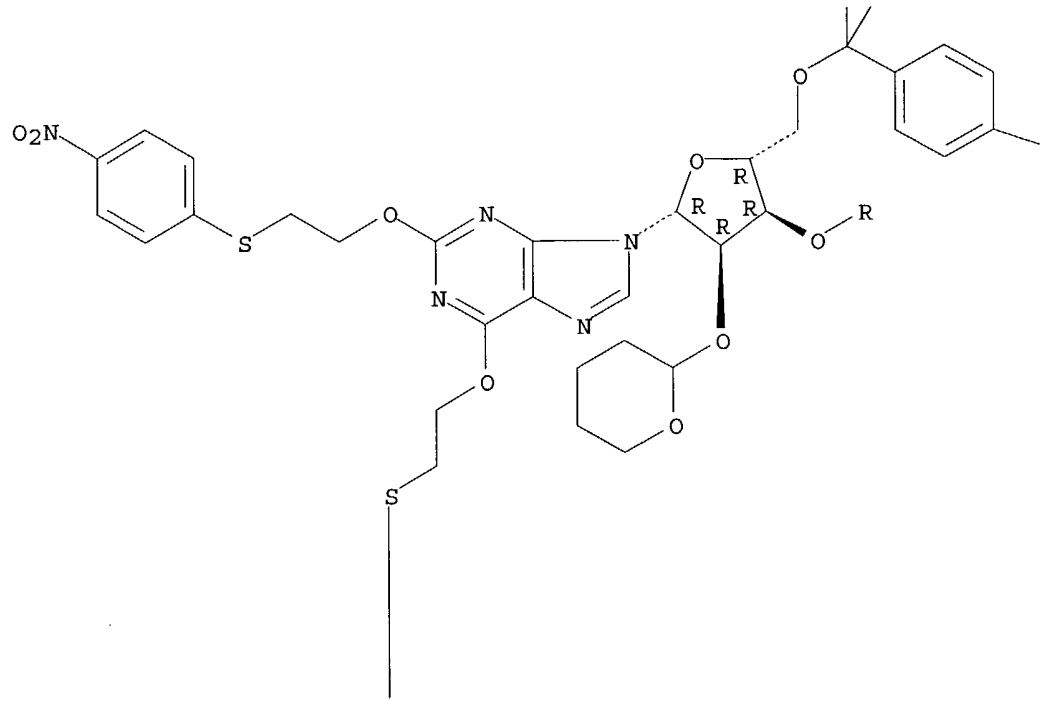
PAGE 1-B

PAGE 2-A



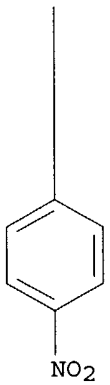


PAGE 3-A



PAGE 3-B

OMe



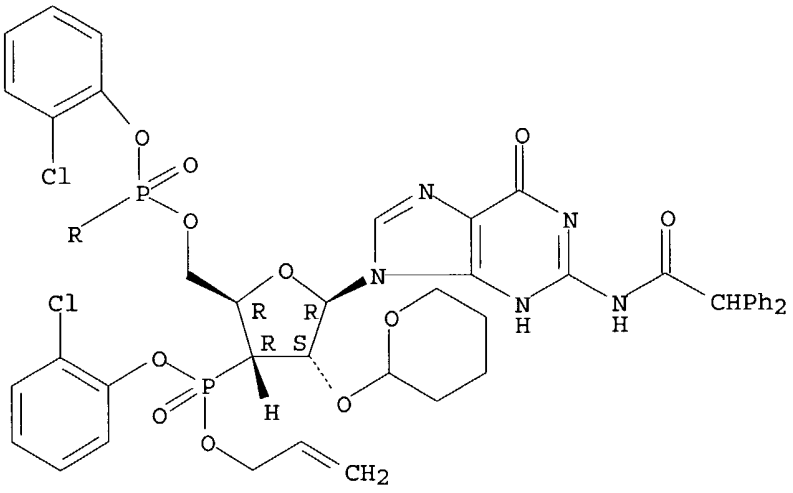
PAGE 4-A

RN 132209-36-0 CAPLUS
CN 3'-Guanylic acid, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P-(2-chlorophenyl)-2,6-bis-O-[2-[(4-nitrophenyl)thio]ethyl]-2'-O-(tetrahydro-2H-pyran-2-yl)xanthylyl-(3'→5')-N-(diphenylacetyl)-2'-O-(tetrahydro-2H-pyran-2-yl)-, 2-chlorophenyl 2-propenyl ester (9CI) (CA INDEX NAME)

09567863

Absolute stereochemistry.

PAGE 1-A



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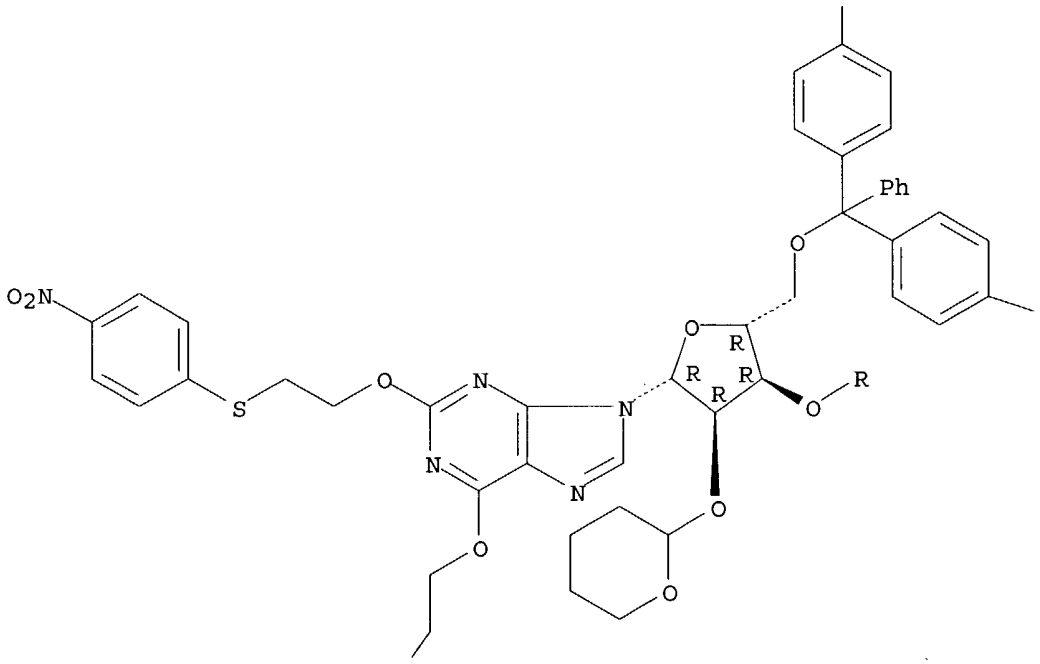
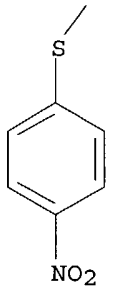
PAGE 2-A



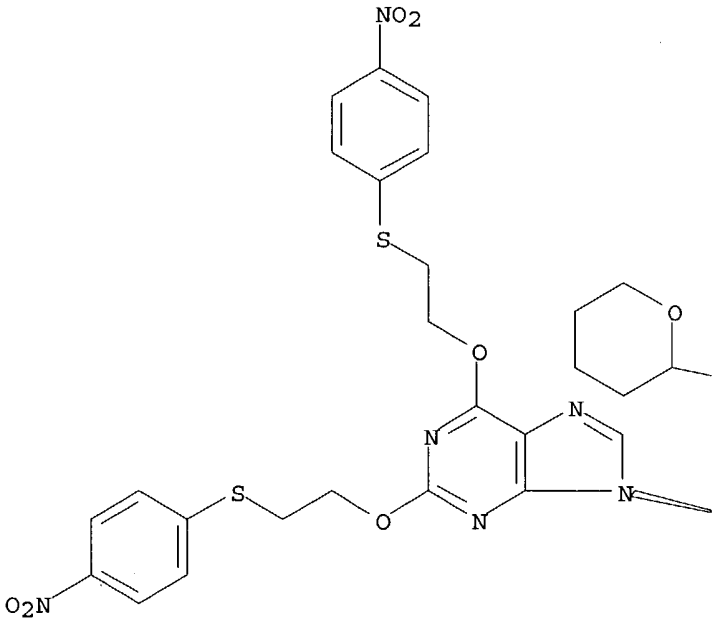
OMe



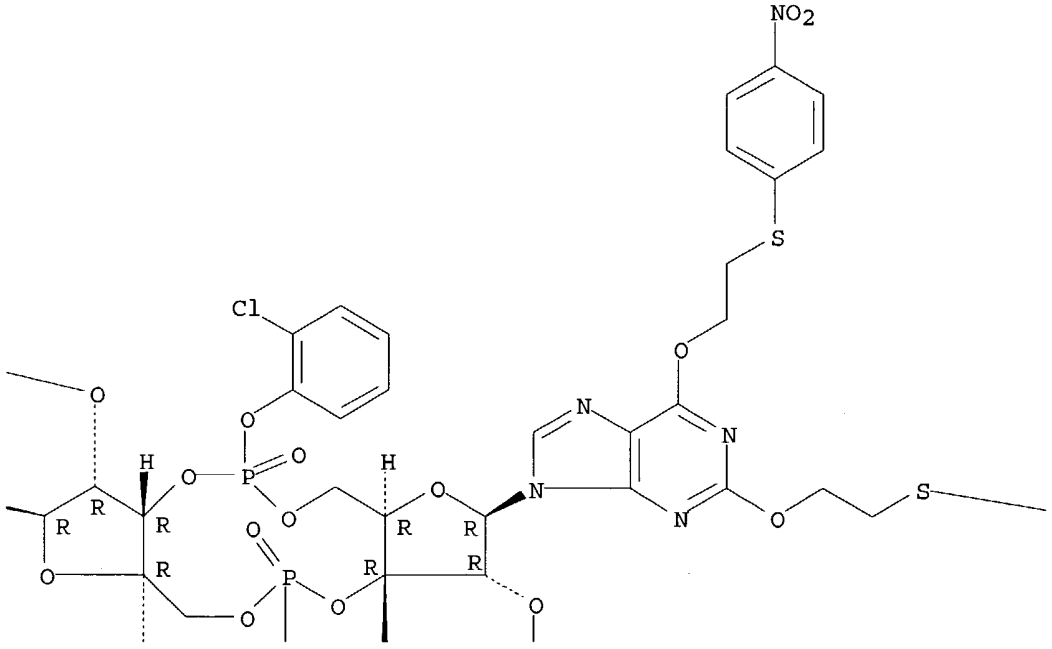
IT **132182-13-9P 132182-30-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deprotection of)
RN 132182-13-9 CAPLUS
CN 3'-Xanthylic acid, P-(2-chlorophenyl)-2,6-bis-O-[2-[(4-nitrophenyl)thio]ethyl]-2'-O-(tetrahydro-2H-pyran-2-yl)xanthylyl-(3'→5')-2,6-bis-O-[2-[(4-nitrophenyl)thio]ethyl]-2'-O-(tetrahydro-2H-pyran-2-yl)-, cyclic nucleotide, 2-chlorophenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

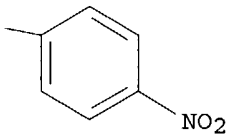
PAGE 1-A



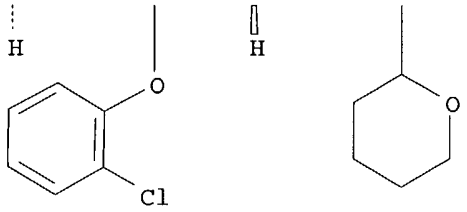
PAGE 1-B



PAGE 1-C



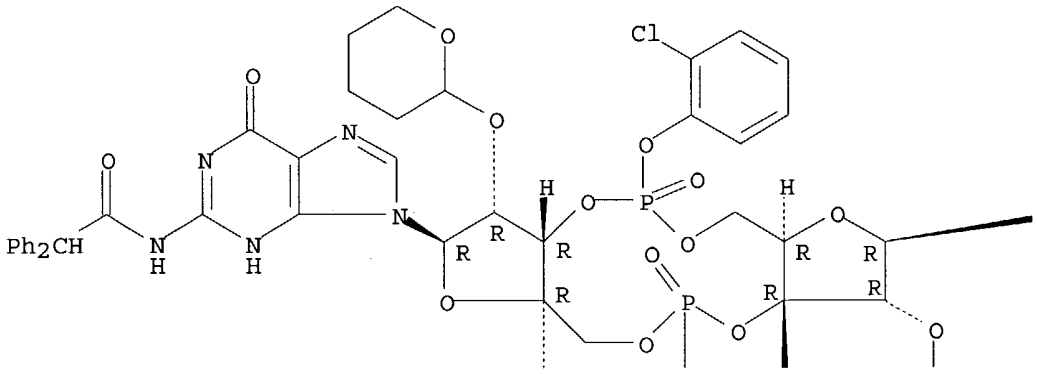
PAGE 2-B



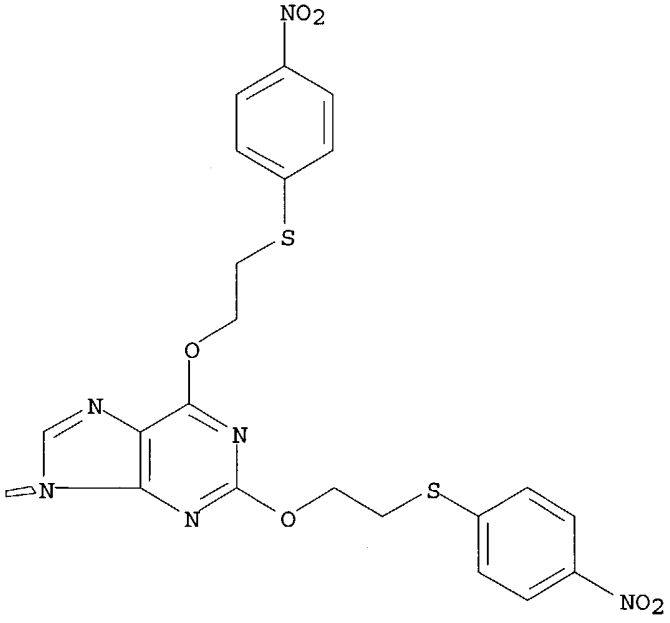
RN 132182-30-0 CAPLUS
CN 3'-Xanthylic acid, P-(2-chlorophenyl)-N-(diphenylacetyl)-2'-O-(tetrahydro-2H-pyran-2-yl)guanylyl-(3'→5')-2,6-bis-O-[2-[(4-nitrophenyl)thio]ethyl]-2'-O-(tetrahydro-2H-pyran-2-yl)-, cyclic nucleotide, 2-chlorophenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

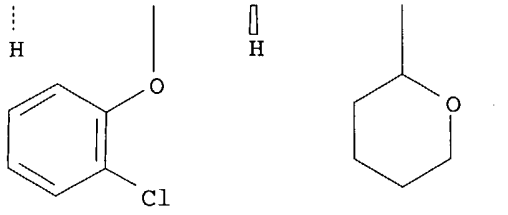
PAGE 1-A



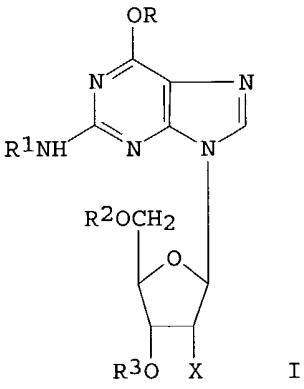
PAGE 1-B



PAGE 2-A



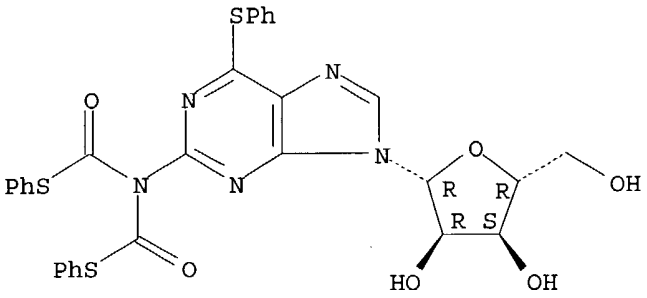
L4 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:631891 CAPLUS
DN 113:231891
TI The synthesis of 6-O-alkylguanosine synthons of the ribo- and deoxyribo series for the phosphotriester synthesis of oligonucleotides
AU Taktakishvili, M. O.; Tabdzhun, A.; Yartseva, I. V.
CS Tbilisi State Univ., Moscow, USSR
SO Bioorganicheskaya Khimiya (1990), 16(1), 59-68
CODEN: BIKHD7; ISSN: 0132-3423
DT Journal
LA Russian
GI



I

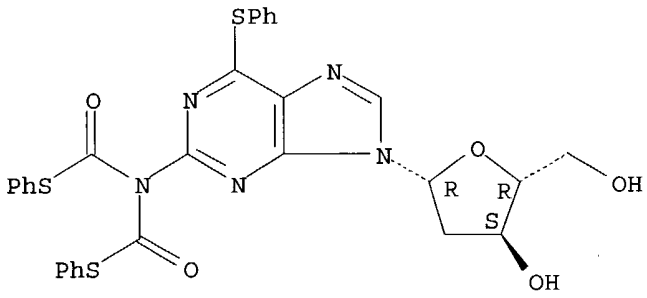
AB 6-O-Alkyl substituted deoxy- and riboguanosines of potential carcinogenic and mutagenic activity were prepared by reaction with PhSCOCl, followed by N-isobutyrylation, 5'-dimethoxytritylation and 3'-phosphorylation. The fully protected 6-O-alkylguanosine 3'-phosphates, e.g. I [R = Bu, C16H33, R1 = Me2CHCO, R2 = dimethoxytrityl, R3 = P(O)(OCH2CH2CN)] thus obtained are versatile G-monomers for oligonucleotide phosphotriester synthesis.
IT **129184-67-4P 129184-68-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with methanol)
RN 129184-67-4 CAPLUS
CN Thioimidodicarbonic acid ((HCOS)2NH), [6-(phenylthio)-9-β-D-ribofuranosyl-9H-purin-2-yl]-, S,S-diphenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



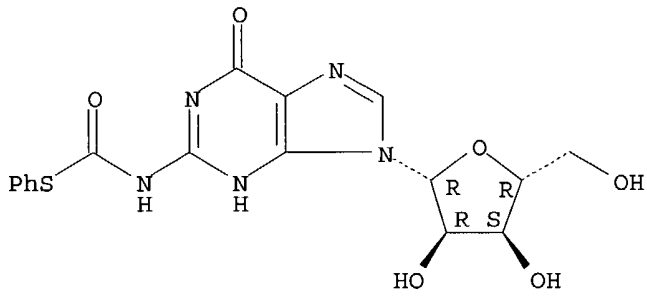
RN 129184-68-5 CAPLUS
CN Thioimidodicarbonic acid ((HCOS)2NH), [9-(2-deoxy-β-D-erythro-pentofuranosyl)-6-(phenylthio)-9H-purin-2-yl]-, S,S-diphenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **129184-65-2P 129184-66-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and thiocarbonylation of)
RN 129184-65-2 CAPLUS
CN Carbamothioic acid, (6,9-dihydro-6-oxo-9-β-D-ribofuranosyl-1H-purin-2-yl)-, S-phenyl ester (9CI) (CA INDEX NAME)

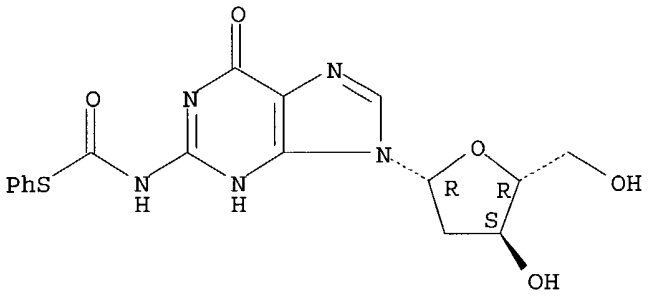
Absolute stereochemistry.



RN 129184-66-3 CAPLUS
CN Carbamothioic acid, [9-(2-deoxy-β-D-erythro-pentofuranosyl)-6,9-dihydro-6-oxo-1H-purin-2-yl]-, S-phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09567863

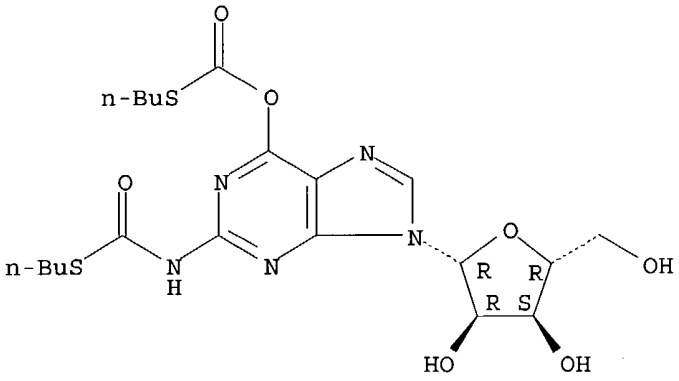


L4 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:56595 CAPLUS
DN 112:56595
TI New protective groups in the preparation of oligoribonucleotides
IN Takaku, Hiroshi; Fujii, Masaya; Yamakage, Shunichi; Horinochi, Juzo; Hata, Tsujiaki
PA Shin-Daikyowa Petrochemical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 01190697	A2	19890731	JP 1988-13983	19880125
PRAI JP 1988-13983		19880125		

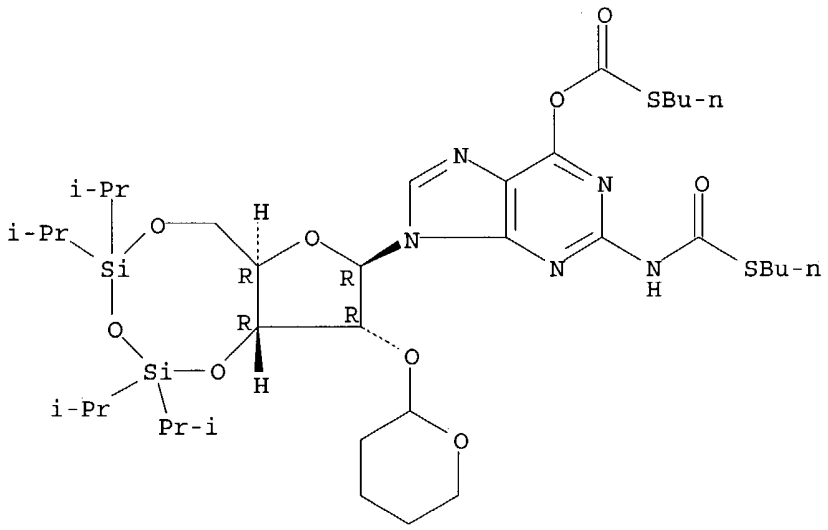
OS MARPAT 112:56595
GI For diagram(s), see printed CA Issue.
AB Oligoribonucleotides were prepared in high yields by condensation of protected (oligo)ribonucleotides I [DMTr = 4,4'-dimethoxytrityl, THP = tetrahydropyranyl; B1-B4 = Q1-Q4; R1 = C(O)SBu, C(O)CH2CHMe2; R2 = Q; m, n = 0-30; when m = 2-30, B2 can be ≥2 different kinds of Q1-Q4, when n = 2-30, B4 can be ≥2 different kinds of Q1-Q4] and II (R = H; R2 = Q), in the presence of condensing agents such as (a) 8-quinolinesulfonyl chloride and N-methylimidazole, (b) arenesulfonyl chloride and N-methylimidazole, and (c) arenesulfonyl azolide. The protective groups used in I and II were stable under condensation reaction conditions. Deprotection of the O- and N-protective group, i.e. C(O)SBu in Q1 and Q2 as well as other nucleoside base protective groups (e.g. Bz or anisoyl) was carried out simultaneously. Thus, 0.6 mmol I (B1 = Q4, R2 = Q, m = 0) and 0.26 mmol II [B3 = Q1, R1 = C(O)SBu, R2 = Q, n = 0] were dissolved in a small amount of dry pyridine and the solvent was evaporated in vacuo. The residue was dissolved in anhydrous pyridine and 1.8 nmol 8-quinolinesulfonyl chloride and 3.6 nmol N-methylimidazole were added. The mixture reacted 2 h at room temperature to give 82% of dinucleotide II [R = DMTr, R1 = C(O)SBu, R2 unchanged, B3 = Q4, B4 = Q1, n = 1]. Preparation of GACCGUCA was also described.
IT **116113-42-9P 116113-43-0P 116113-44-1P**
116113-48-5P 116113-52-1P 116135-04-7P
116135-05-8P 116135-06-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for oligoribonucleotides)
RN 116113-42-9 CAPLUS
CN Carbonothioic acid, S-butyl O-[2-[[(butylthio)carbonyl]amino]-9-β-D-ribofuranosyl-9H-purin-6-yl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



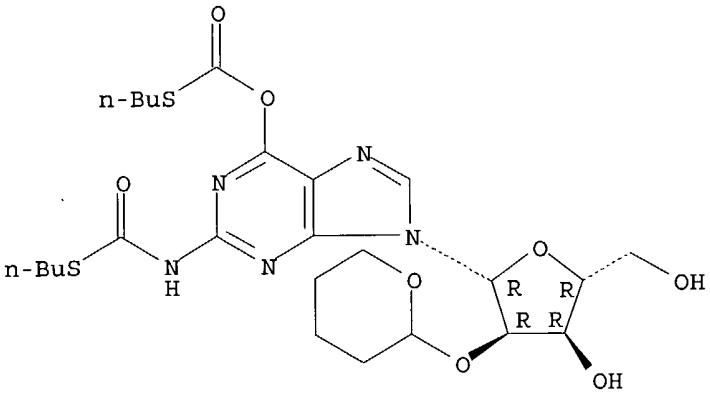
RN 116113-43-0 CAPLUS
CN Carbonothioic acid, S-butyl O-[2-[[(butylthio)carbonyl]amino]-9-[2-O-(tetrahydro-2H-pyran-2-yl)-3,5-O-[1,1,3,3-tetrakis(1-methylethyl)-1,3-disiloxanediyl]-β-D-ribofuranosyl]-9H-purin-6-yl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



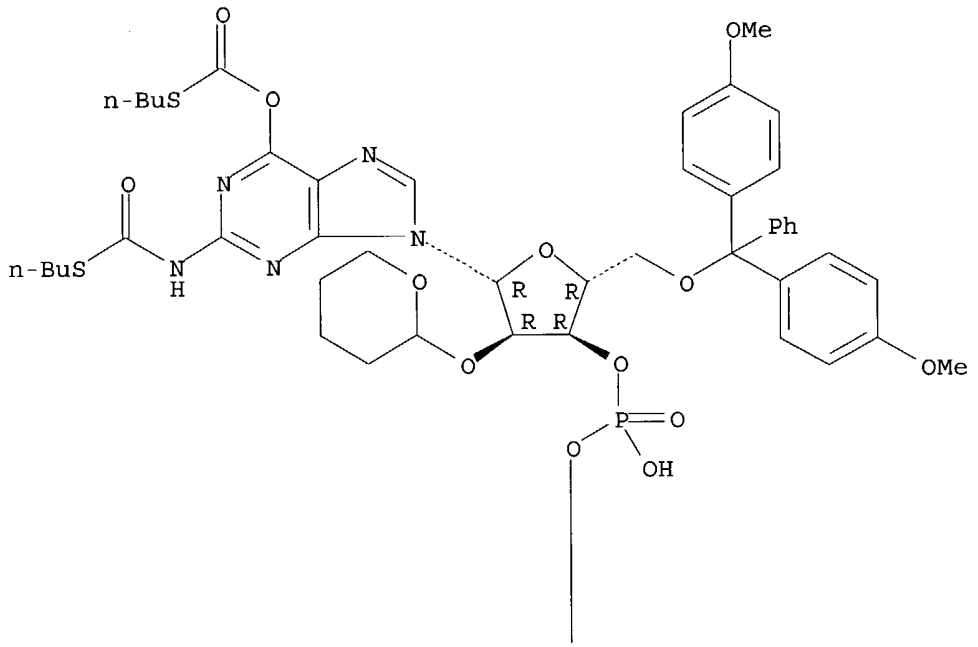
RN 116113-44-1 CAPLUS
CN Carbonothioic acid, S-butyl O-[2-[[(butylthio)carbonyl]amino]-9-[2-O-(tetrahydro-2H-pyran-2-yl)-β-D-ribofuranosyl]-9H-purin-6-yl] ester (9CI) (CA INDEX NAME)

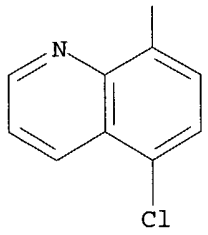
Absolute stereochemistry.



RN 116113-48-5 CAPLUS
CN Carbonothioic acid, O-[9-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[(5-chloro-8-quinolinyl)oxy]hydroxyphosphinyl]-2-O-(tetrahydro-2H-pyran-2-yl)-β-D-ribofuranosyl]-2-[[(butylthio)carbonyl]amino]-7-9H-purin-6-yl] S-butyl ester (9CI) (CA INDEX NAME)

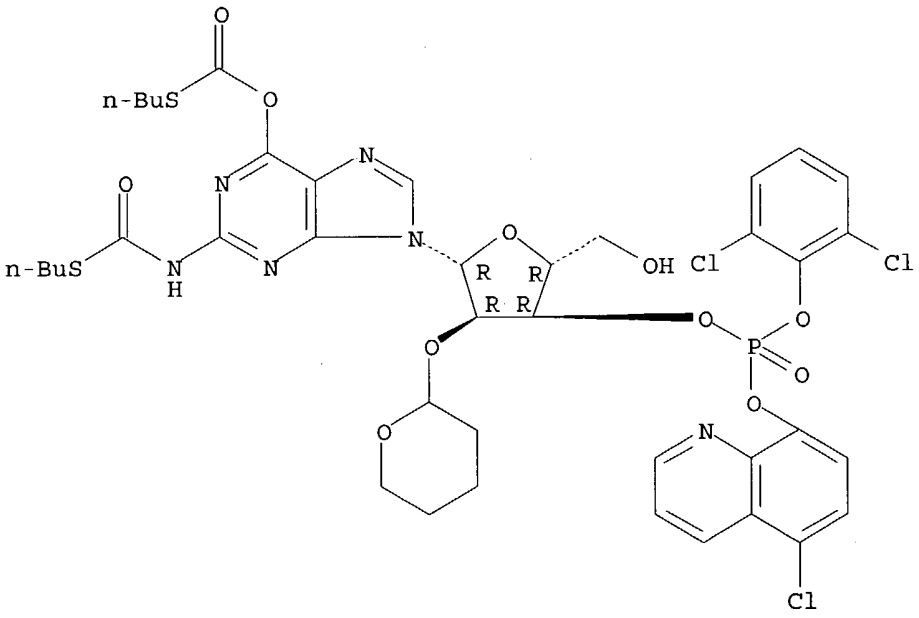
Absolute stereochemistry.





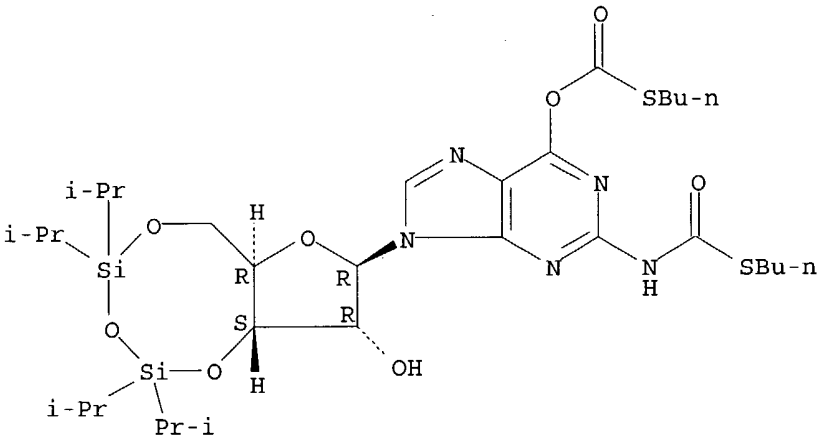
RN 116113-52-1 CAPLUS
CN Carbonothioic acid, S-butyl O-[2-[[(butylthio)carbonyl]amino]-9-[3-O-[[(5-chloro-8-quinolinyl)oxy](2,6-dichlorophenoxy)phosphinyl]-2-O-(tetrahydro-2H-pyran-2-yl)-β-D-ribofuranosyl]-9H-purin-6-yl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



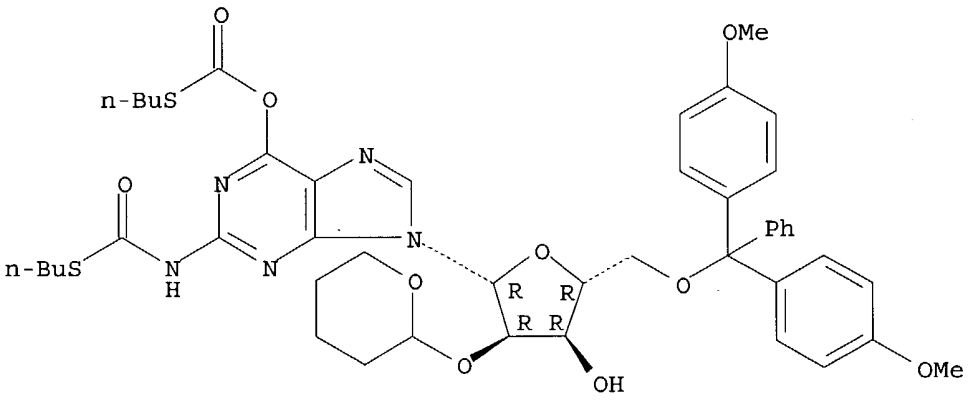
RN 116135-04-7 CAPLUS
CN Carbonothioic acid, S-butyl O-[2-[[(butylthio)carbonyl]amino]-9-[3,5-O-[1,1,3,3-tetrakis(1-methylethyl)-1,3-disiloxanediyl]-β-D-ribofuranosyl]-9H-purin-6-yl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 116135-05-8 CAPLUS
CN Carbonothioic acid, O-[9-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-2-O-(tetrahydro-2H-pyran-2-yl)-β-D-ribofuranosyl]-2-[[(butylthio)carbonyl]amino]-9H-purin-6-yl] S-butyl ester (9CI) (CA INDEX NAME)

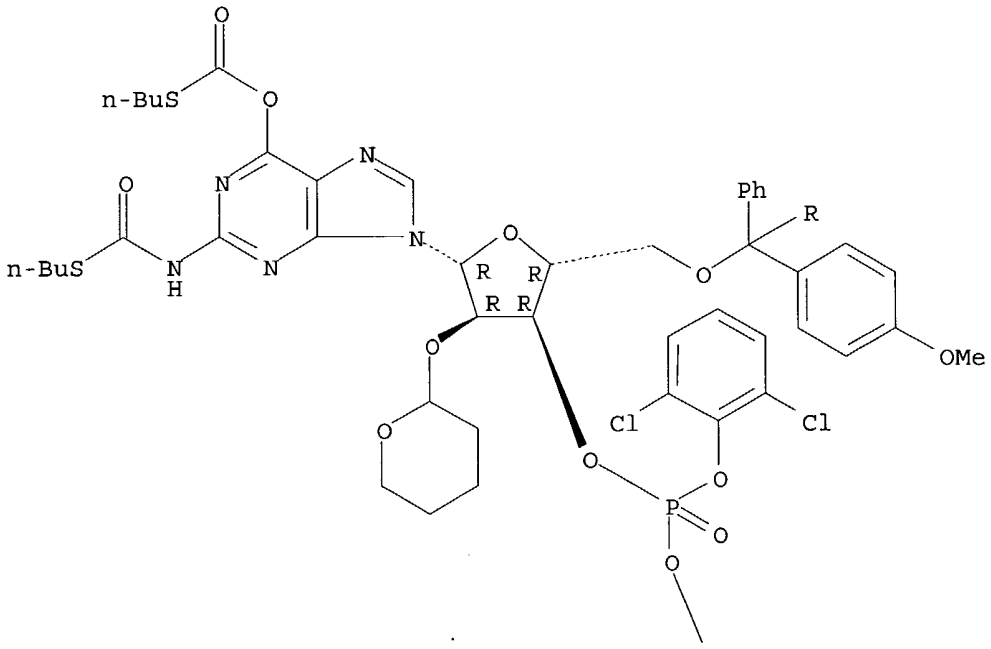
Absolute stereochemistry.



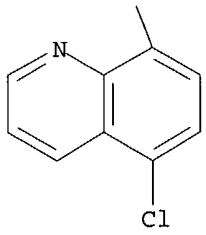
RN 116135-06-9 CAPLUS
CN Carbonothioic acid, O-[9-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[(5-chloro-8-quinolinyl)oxy](2,6-dichlorophenoxy)phosphinyl]-2-O-(tetrahydro-2H-pyran-2-yl)-β-D-ribofuranosyl]-2-[[(butylthio)carbonyl]amino]-9H-purin-6-yl] S-butyl ester (9CI) (CA INDEX NAME)

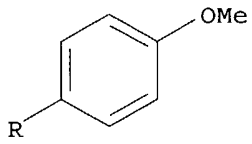
Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

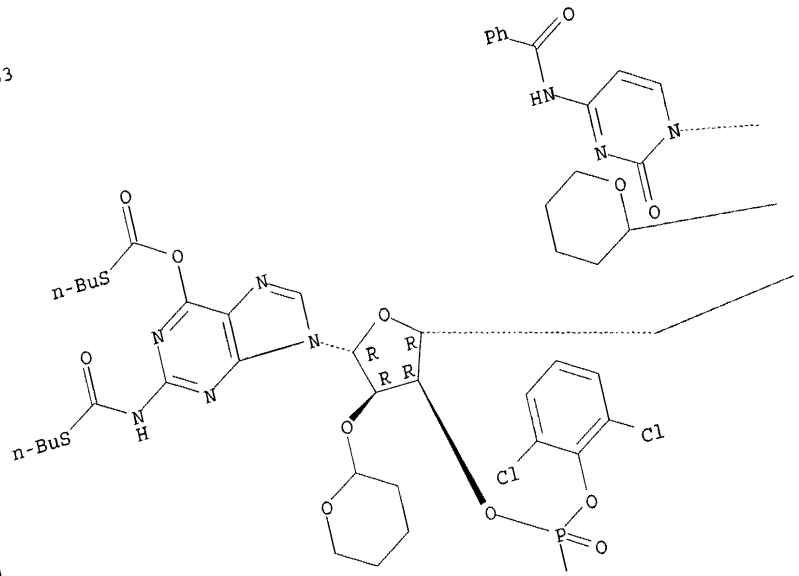




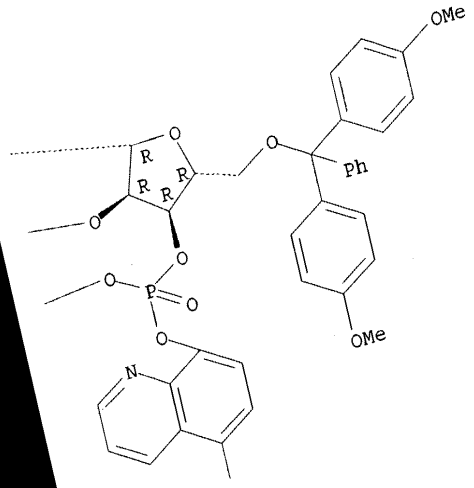
IT **116113-58-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, by phosphate triester method)
RN 116113-58-7 CAPLUS
CN 3'-Guanylic acid, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P-(5-chloro-8-quinolinyl)-2'-O-(tetrahydro-2H-pyran-2-yl)cytidylyl-(3'→5')-N-[(butylthio)carbonyl]-2'-O-(tetrahydro-2H-pyran-2-yl)-, 5-chloro-8-quinolinyl 2,6-dichlorophenyl ester, 6-(S-butyl carbonothioate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

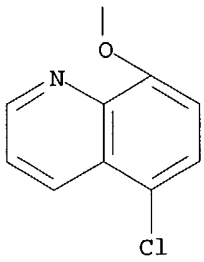
PAGE 1-A



PAGE 1-B



PAGE 2-A



PAGE 2-B

L4 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:56596 CAPLUS
DN 112:56596
TI Preparation of protected nucleosides and nucleotides as intermediates for oligoribonucleotides
IN Takaku, Hiroshi; Fujii, Masaya; Yamakage, Shunichi; Horinochi, Juzo; Hata, Tsujiaki
PA Shin-Daikyowa Petrochemical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 01190696	A2	19890731	JP 1988-13982	19880125
PRAI JP 1988-13982		19880125		

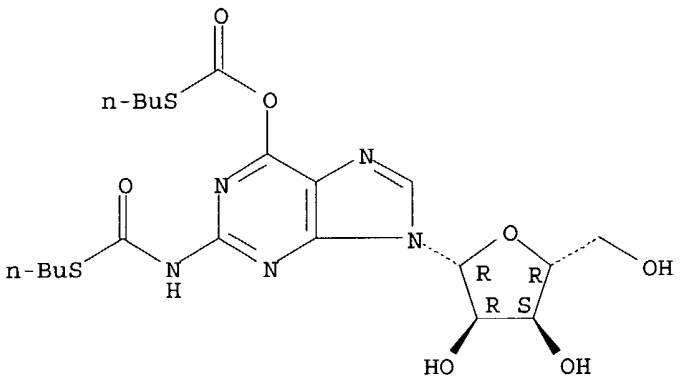
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = H, dimethoxytrityl; R2 = H, Bz, Q, Q1; R3 = H, Bz, tetrahydropyranyl; R1R2 = Si(CHMe2)2OSi(CHMe2)2; R4, R5 = H, C(O)CH2CHMe2, C(O)SBu; at least one of R4, R5 = C(O)SBu; B = Q2, Q3] which do not undergo side reactions, e.g. removal of protecting groups, under the conditions of oligonucleotide synthesis by the phosphotriester method, were prepared Thus, reaction of I (R1 = R2 = R3 = H, B = Q3) with 4,4'-dimethoxytrityl chloride (DMTrCl) in pyridine gave I (R1 = DMTr, R2, R3, B unchanged) which was treated with 5-chloro-8-quinolyl 1,3-dichlorophenyl phosphorochloridate (preparation in situ given), in the presence of N-methylimidazole to give 86% of guanosine 3'-phosphate derivative II (B = Q3).
IT **116113-42-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for oligonucleotides)
RN 116113-42-9 CAPLUS
CN Carbonothioic acid, S-butyl O-[2-[[(butylthio)carbonyl]amino]-9-β-D-ribofuranosyl-9H-purin-6-yl] ester (9CI) (CA INDEX NAME)

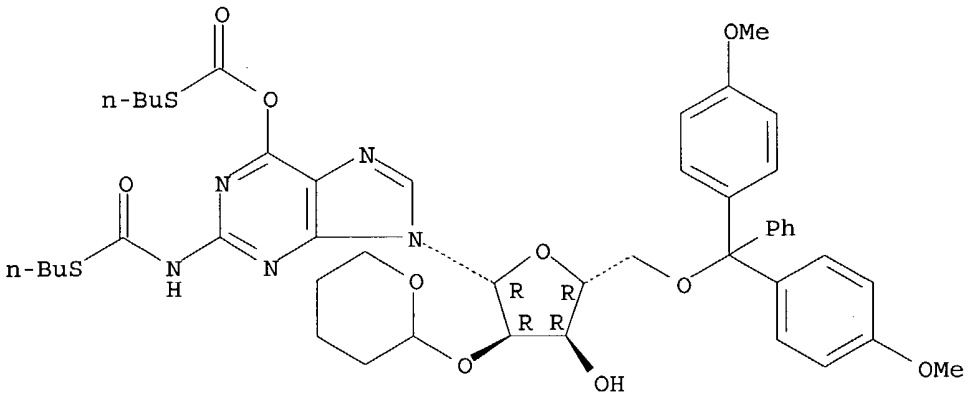
09567863

Absolute stereochemistry.



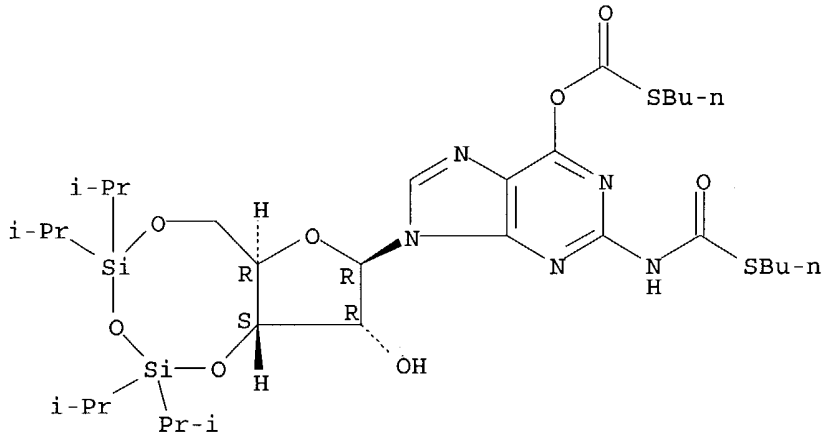
L4 ANSWER 16 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:179693 CAPLUS
DN 112:179693
TI 1,1,1,3,3,3-Hexafluoro-2-propyl group as a new phosphate protecting group for oligoribonucleotide synthesis in the phosphotriester approach
AU Yamakage, Shunichi; Fujii, Masayo; Takaku, Hiroshi; Uemura, Masaru
CS Dep. Ind. Chem., Chiba Inst. Technol., Narashino, 275, Japan
SO Tetrahedron (1989), 45(17), 5459-68
CODEN: TETRAB; ISSN: 0040-4020
DT Journal
LA English
OS CASREACT 112:179693
AB The 1,1,1,3,3,3-hexafluoro-2-propyl group can be used as a new class of phosphate protecting group for internucleotidic bonds in the oligonucleotide synthesis by the phosphotriester approach. This protecting group is removed easily by treatment with 0.3 M N1,N1,N3,N3-tetramethylguanidinium syn-2-pyridinealdoximate in pyridine-water. The butylthiocarbonyl group was chosen as the protecting group for the O6-amide and N2-amino functions of guanosine and the N3-imide group of uridine. The synthesis of UGUCGGUC, the box 9R sequence of r-RNA precursor of Tetrahymena, is described.
IT **116135-05-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(phosphorylation of, in synthesis of oligoribonucleotides)
RN 116135-05-8 CAPLUS
CN Carbonothioic acid, O-[9-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-2-O-(tetrahydro-2H-pyran-2-yl)-β-D-ribofuranosyl]-2-[[(butylthio)carbonyl]amino]-9H-purin-6-yl] S-butyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **116135-04-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and tetrahydropyranylation followed by desilylation of)
RN 116135-04-7 CAPLUS
CN Carbonothioic acid, S-butyl O-[2-[[(butylthio)carbonyl]amino]-9-[3,5-O-[1,1,3,3-tetrakis(1-methylethyl)-1,3-disiloxanediyl]-β-D-ribofuranosyl]-9H-purin-6-yl] ester (9CI) (CA INDEX NAME)

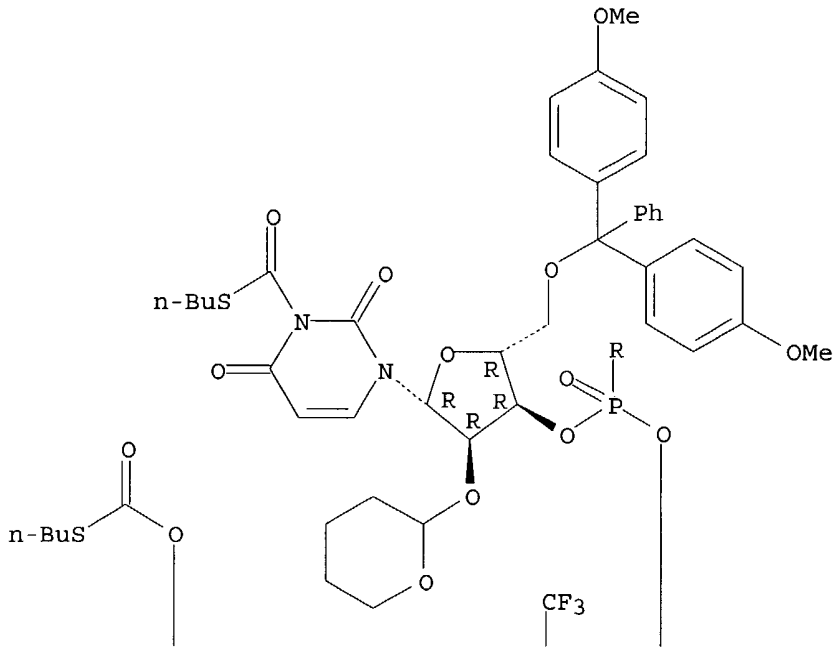
Absolute stereochemistry.



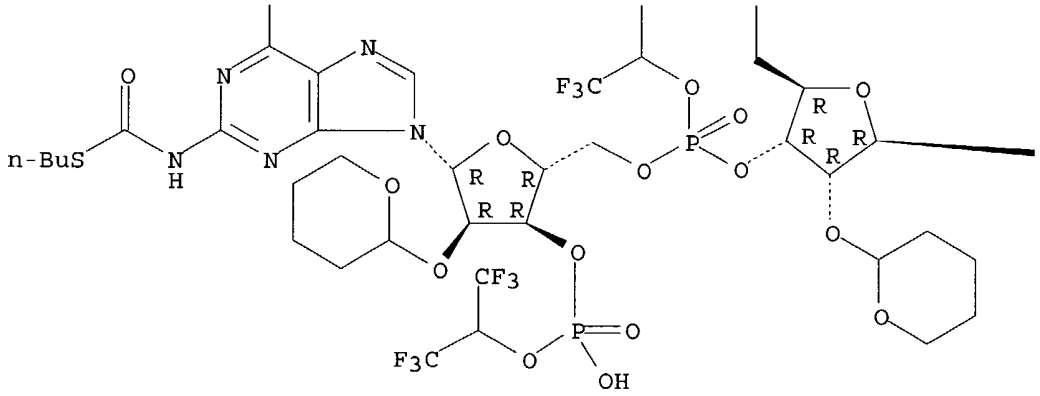
IT **126461-85-6P 126461-86-7P 126461-88-9P**
126461-89-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for oligoribonucleotide synthesis)
RN 126461-85-6 CAPLUS
CN 3'-Guanylic acid, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3-[(butylthio)carbonyl]-2'-O-(tetrahydro-2H-pyran-2-yl)-P-[2,2,2-trifluoro-1-(trifluoromethyl)ethyl]uridylyl-(3'→5')-N-benzoyl-2'-O-(tetrahydro-2H-pyran-2-yl)-P-[2,2,2-trifluoro-1-(trifluoromethyl)ethyl]cytidylyl-(3'→5')-N-[(butylthio)carbonyl]-2'-O-(tetrahydro-2H-pyran-2-yl)-, mono[2,2,2-trifluoro-1-(trifluoromethyl)ethyl] ester, 6-(S-butyl carbonothioate) (9CI) (CA INDEX NAME)

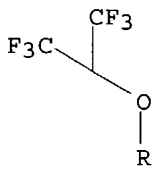
Absolute stereochemistry.

PAGE 1-A

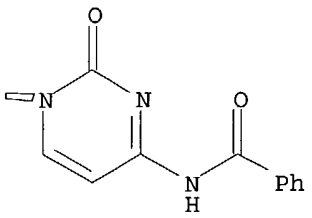


PAGE 2-A





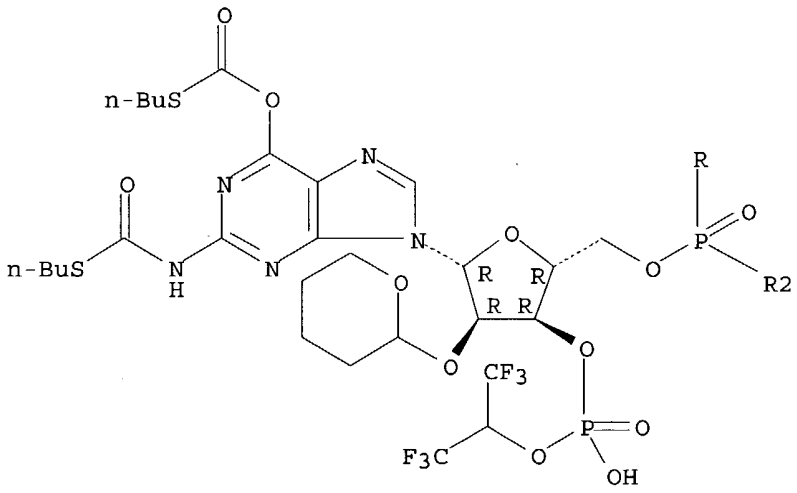
PAGE 2-B



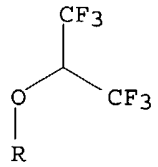
RN 126461-86-7 CAPLUS
CN 3'-Guanylic acid, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3-[(butylthio)carbonyl]-2'-O-(tetrahydro-2H-pyran-2-yl)-P-[2,2,2-trifluoro-1-(trifluoromethyl)ethyl]uridylyl-(3'→5')-N-[(butylthio)carbonyl]-2'-O-(tetrahydro-2H-pyran-2-yl)-, mono[2,2,2-trifluoro-1-(trifluoromethyl)ethyl] ester, 6-(S-butyl carbonothioate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

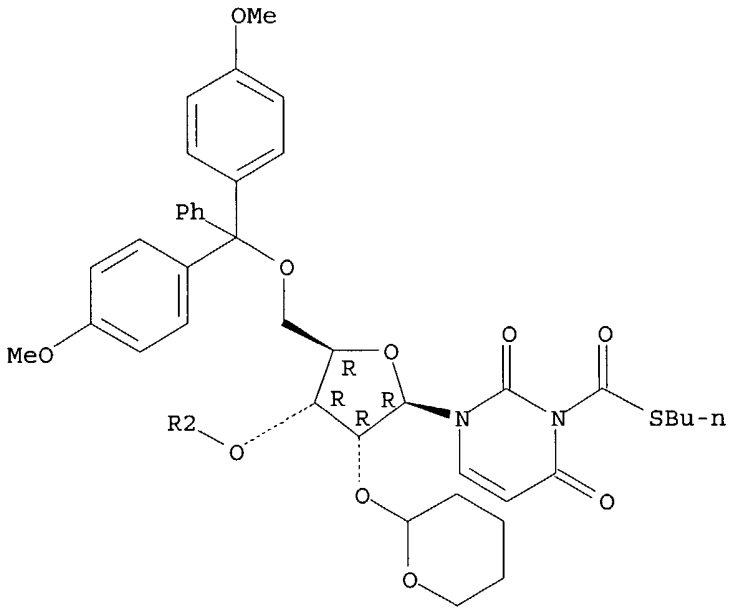
PAGE 1-A



PAGE 2-A



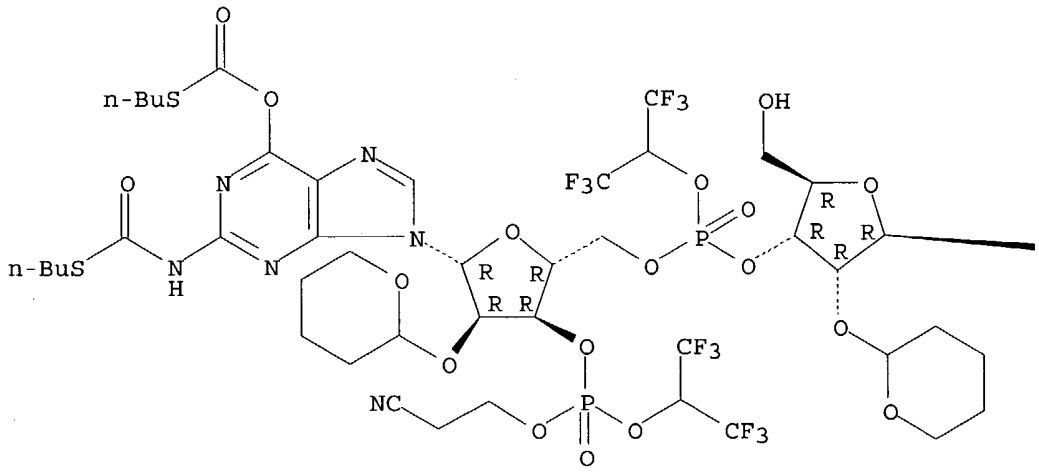
PAGE 3-A



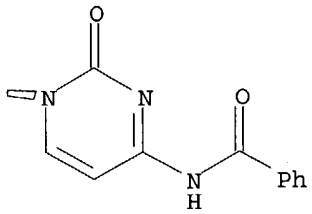
RN 126461-88-9 CAPLUS
CN 3'-Guanylic acid, N-benzoyl-2'-O-(tetrahydro-2H-pyran-2-yl)-P-[2,2,2-trifluoro-1-(trifluoromethyl)ethyl]cytidylyl-(3'→5')-N-[(butylthio)carbonyl]-2'-O-(tetrahydro-2H-pyran-2-yl)-, 2-cyanoethyl 2,2,2-trifluoro-1-(trifluoromethyl)ethyl ester, 6-(S-butyl carbonothioate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



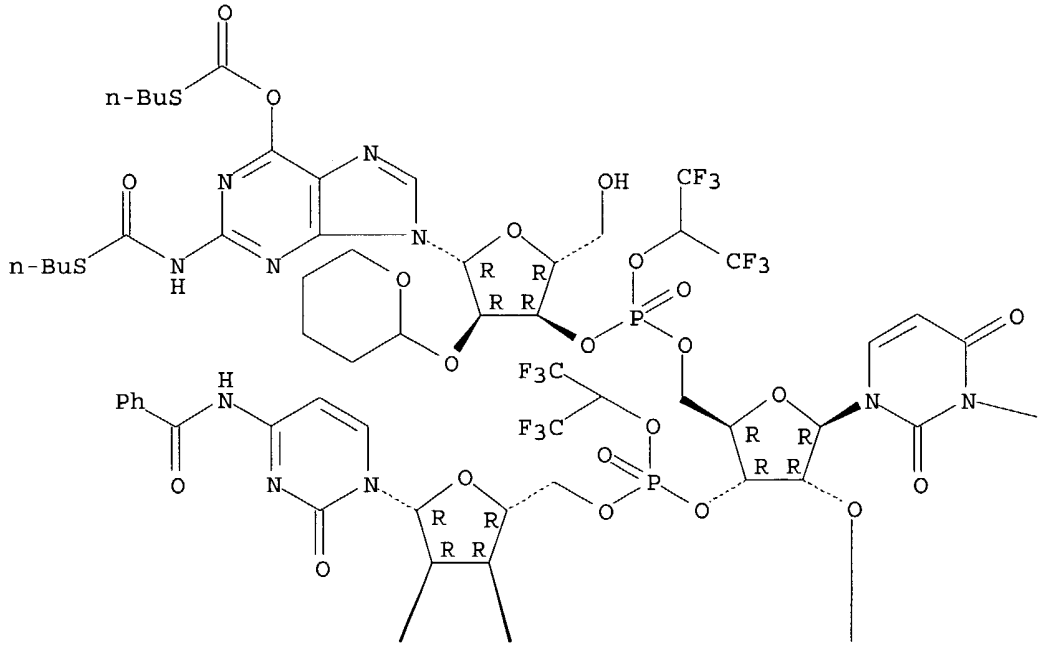
PAGE 1-B

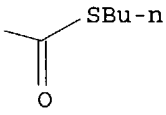


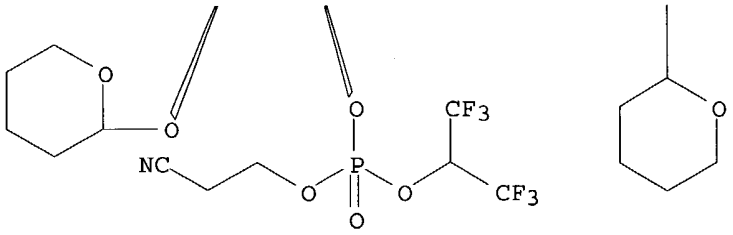
RN 126461-89-0 CAPLUS
CN 3'-Cytidylic acid, N-[(butylthio)carbonyl]-6-O-[(butylthio)carbonyl]-2'-O-(tetrahydro-2H-pyran-2-yl)-P-[2,2,2-trifluoro-1-(trifluoromethyl)ethyl]guanylyl-(3'→5')-3-[(butylthio)carbonyl]-2'-O-(tetrahydro-2H-pyran-2-yl)-P-[2,2,2-trifluoro-1-(trifluoromethyl)ethyl]uridylyl-(3'→5')-N-benzoyl-2'-O-(tetrahydro-2H-pyran-2-yl)-, 2-cyanoethyl 2,2,2-trifluoro-1-(trifluoromethyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





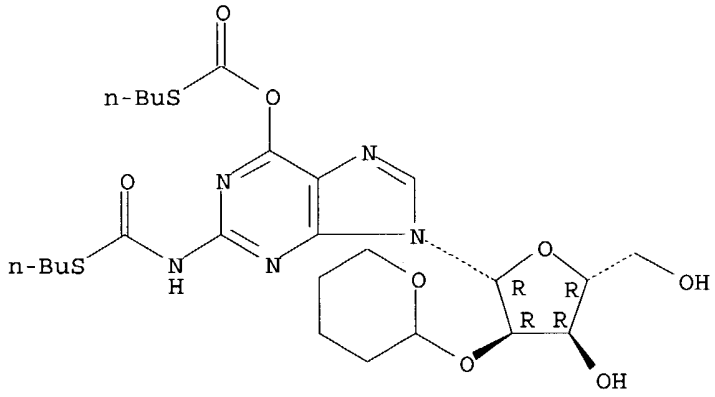


IT **116113-44-1P 126461-78-7P 126461-79-8P**
126461-84-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for synthesis of oligoribonucleotides)

RN 116113-44-1 CAPLUS

CN Carbonothioic acid, S-butyl O-[2-[[(butylthio)carbonyl]amino]-9-[2-O-(tetrahydro-2H-pyran-2-yl)-β-D-ribofuranosyl]-9H-purin-6-yl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

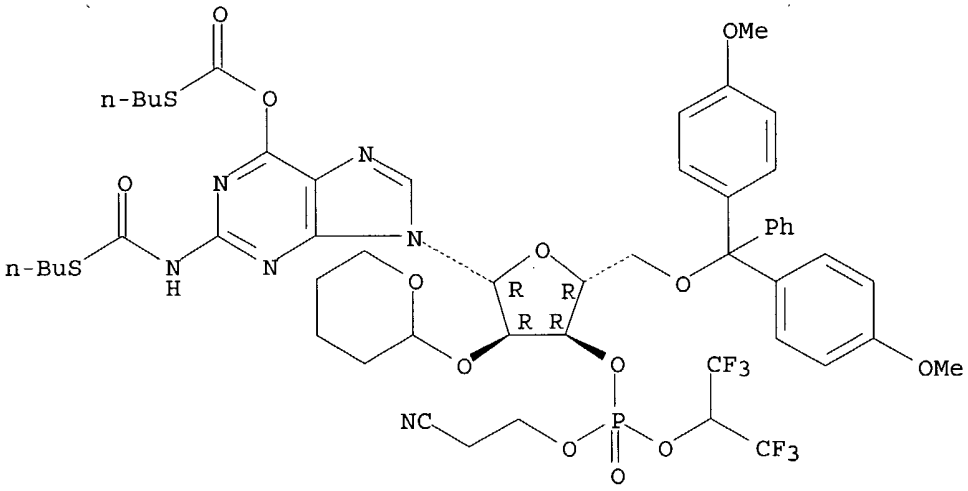


RN 126461-78-7 CAPLUS

09567863

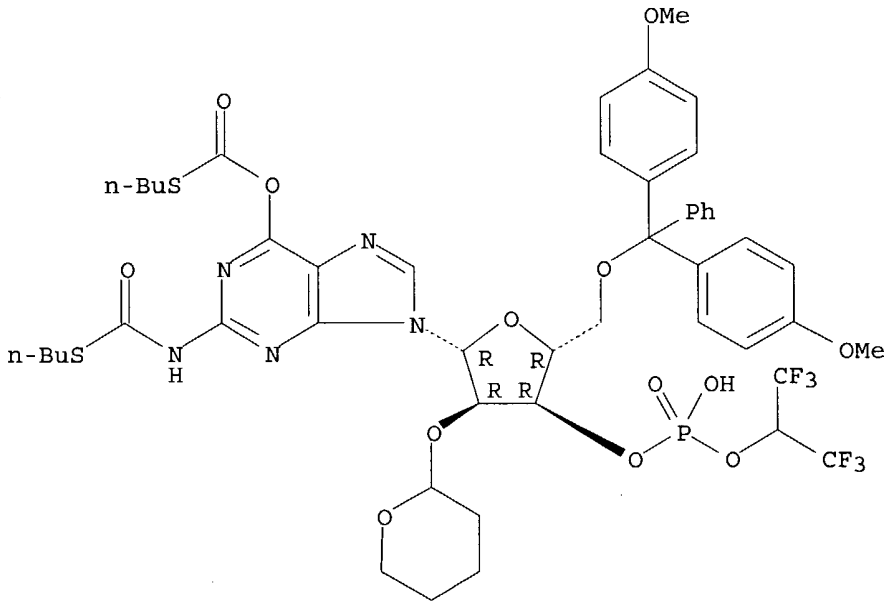
CN 3'-Guanylic acid, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-N-[(butylthio)carbonyl]-2'-O-(tetrahydro-2H-pyran-2-yl)-, 2-cyanoethyl 2,2,2-trifluoro-1-(trifluoromethyl)ethyl ester, 6-(S-butyl carbonothioate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 126461-79-8 CAPLUS
CN 3'-Guanylic acid, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-N-[(butylthio)carbonyl]-2'-O-(tetrahydro-2H-pyran-2-yl)-, mono[2,2,2-trifluoro-1-(trifluoromethyl)ethyl] ester, 6-(S-butyl carbonothioate) (9CI) (CA INDEX NAME)

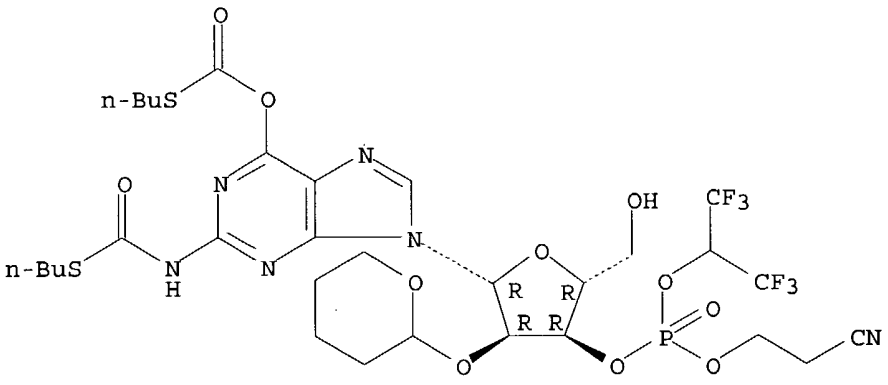
Absolute stereochemistry.



RN 126461-84-5 CAPLUS
CN 3'-Guanylic acid, N-[(butylthio)carbonyl]-2'-O-(tetrahydro-2H-pyran-2-yl)-, 2-cyanoethyl 2,2,2-trifluoro-1-(trifluoromethyl)ethyl ester, 6-(S-butyl

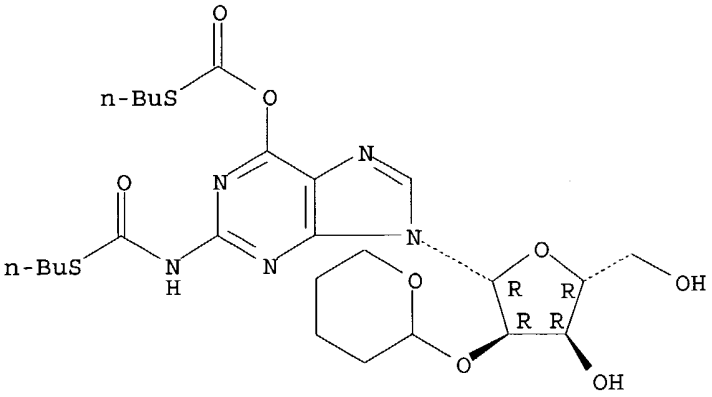
carbonothioate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



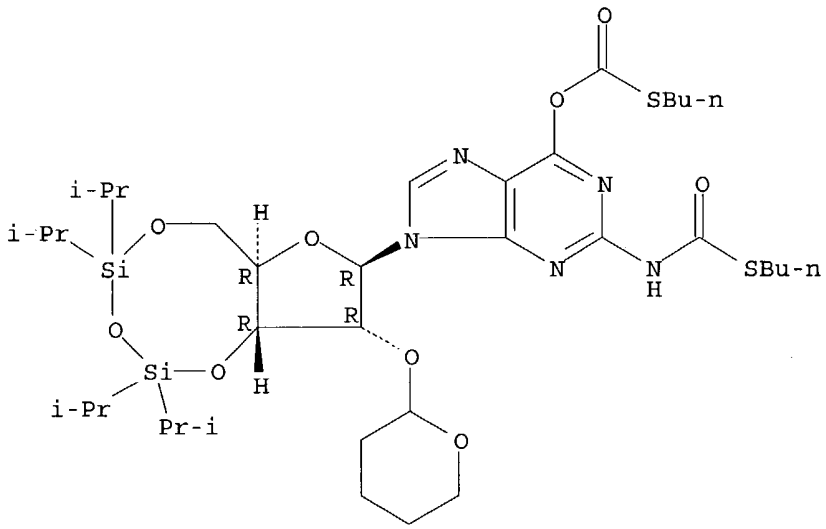
L4 ANSWER 17 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1988:510832 CAPLUS
DN 109:110832
TI (Butylthio)carbonyl group: a new protecting group for the guanine residue in oligoribonucleotide synthesis
AU Fujii, Masayo; Yamakage, Shunichi; Takaku, Hiroshi; Hata, Tsujiaki
CS Lab. Bioorg. Chem., Chiba Inst. Technol., Chiba, 275, Japan
SO Tetrahedron Letters (1987), 28(46), 5713-16
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
OS CASREACT 109:110832
AB The protection of the O6-amide and N2-amino groups of guanosine with the (butylthio)carbonyl group is described. This group could be rapidly introduced in good yields and removed very easily under the conventional deprotective condition for the exo-amino acyl groups of other nucleoside bases. Octaribonucleotide GACCGUCA was prepared using (butylthio)carbonyl protecting group.
IT **116113-44-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and dimethoxytritylation of)
RN 116113-44-1 CAPLUS
CN Carbonothioic acid, S-butyl O-[2-[[(butylthio)carbonyl]amino]-9-[2-O-(tetrahydro-2H-pyran-2-yl)-β-D-ribofuranosyl]-9H-purin-6-yl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



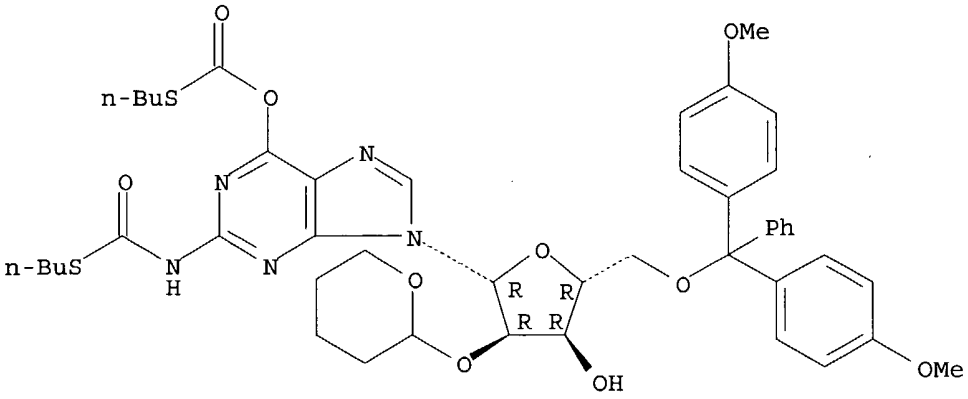
IT **116113-43-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and disilylation of)
RN 116113-43-0 CAPLUS
CN Carbonothioic acid, S-butyl O-[2-[[(butylthio)carbonyl]amino]-9-[2-O-(tetrahydro-2H-pyran-2-yl)-3,5-O-[1,1,3,3-tetrakis(1-methylethyl)-1,3-disiloxanediyl]-β-D-ribofuranosyl]-9H-purin-6-yl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



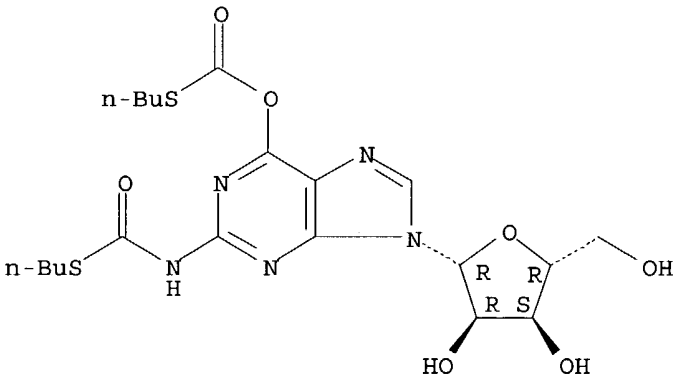
IT **116135-05-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and phosphorylation of)
RN 116135-05-8 CAPLUS
CN Carbonothioic acid, O-[9-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-2-O-(tetrahydro-2H-pyran-2-yl)-β-D-ribofuranosyl]-2-[[(butylthio)carbonyl]amino]-9H-purin-6-yl] S-butyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



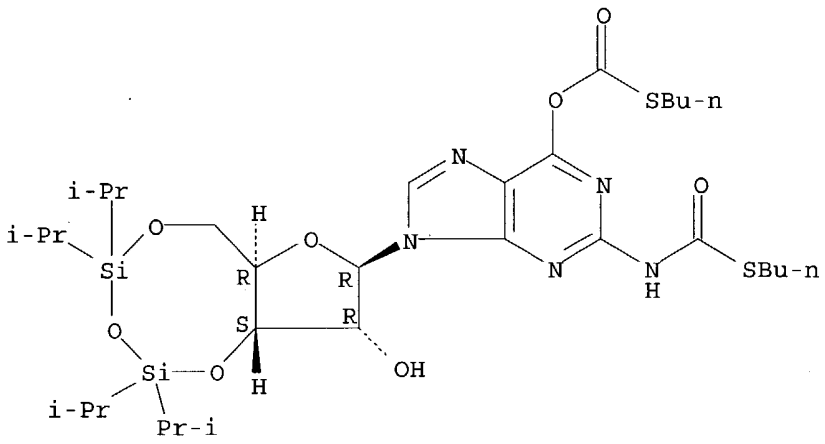
IT **116113-42-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and silylation of)
RN 116113-42-9 CAPLUS
CN Carbonothioic acid, S-butyl O-[2-[[(butylthio)carbonyl]amino]-9-β-D-ribofuranosyl-9H-purin-6-yl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **116135-04-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and tetrahydropyranylation of)
RN 116135-04-7 CAPLUS
CN Carbonothioic acid, S-butyl O-[2-[[(butylthio)carbonyl]amino]-9-[3,5-O-[1,1,3,3-tetrakis(1-methylethyl)-1,3-disiloxanediyl]-β-D-ribofuranosyl]-9H-purin-6-yl] ester (9CI) (CA INDEX NAME)

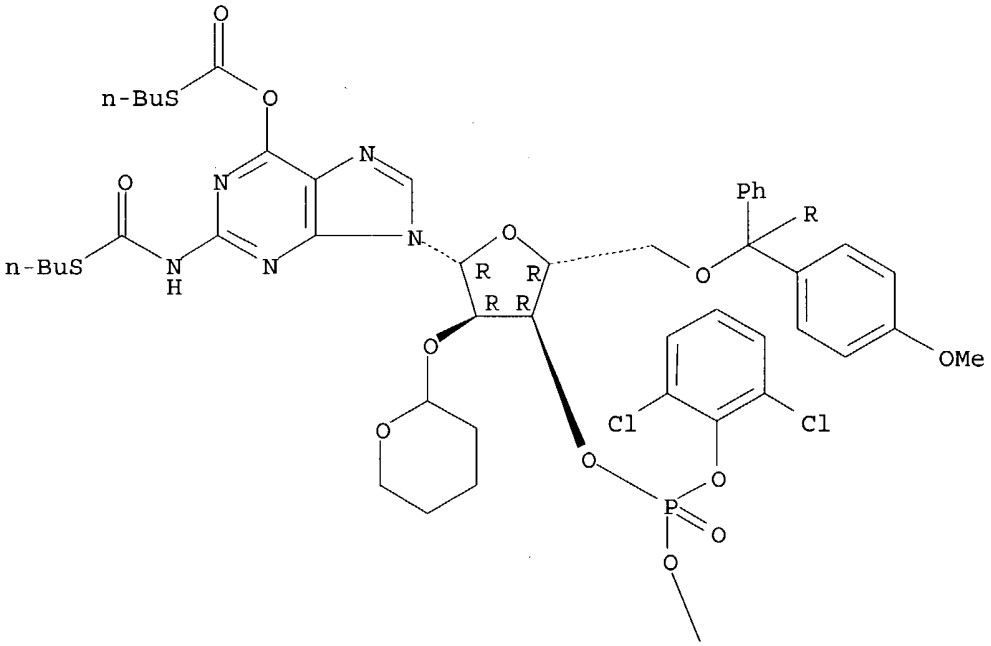
Absolute stereochemistry.



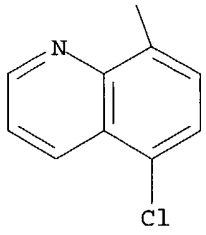
IT **116135-06-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, intermediate in oligoribonucleotide synthesis)
RN 116135-06-9 CAPLUS
CN Carbonothioic acid, O-[9-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[(5-chloro-8-quinolinyl)oxy](2,6-dichlorophenoxy)phosphinyl]-2-O-(tetrahydro-2H-pyran-2-yl)-β-D-ribofuranosyl]-2-[[(butylthio)carbonyl]amino]-9H-purin-6-yl] S-butyl ester (9CI) (CA INDEX NAME)

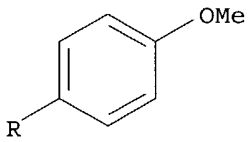
Absolute stereochemistry.

PAGE 1-A



PAGE 2-A





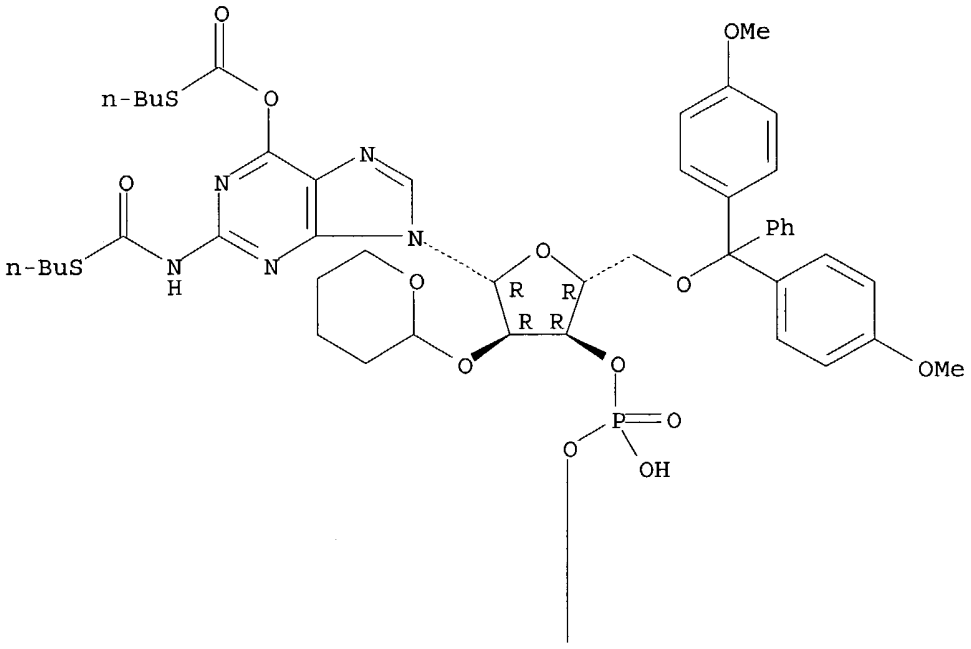
IT **116113-48-5P 116113-49-6P 116113-50-9P**
116113-52-1P 116113-56-5P 116113-58-7P
116113-61-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, intermediate in synthesis of octaoligoribonucleotide)

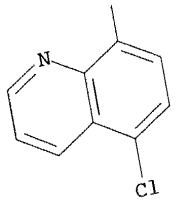
RN 116113-48-5 CAPLUS

CN Carbonothioic acid, O-[9-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[(5-chloro-8-quinolinyl)oxy]hydroxyphosphinyl]-2-O-(tetrahydro-2H-pyran-2-yl)-β-D-ribofuranosyl]-2-[[(butylthio)carbonyl]amino]-7-9H-purin-6-yl] S-butyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

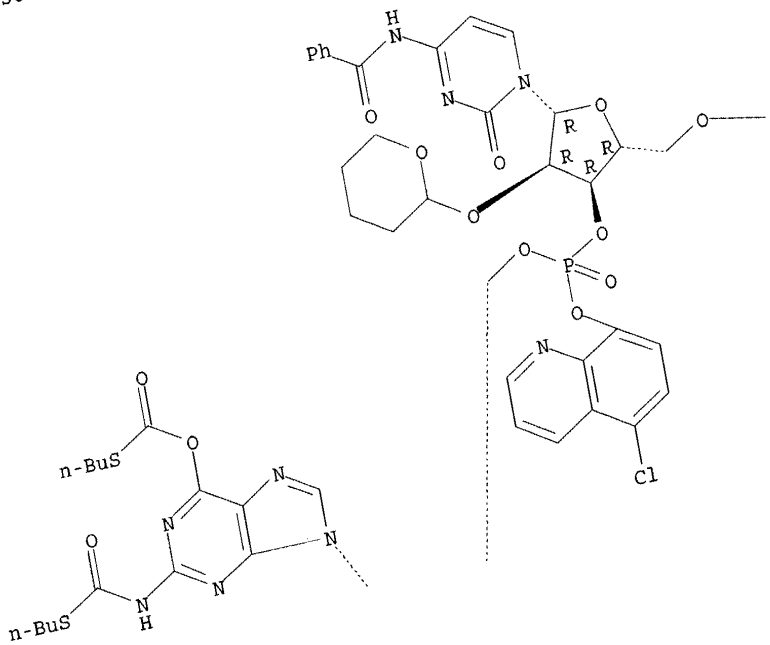
PAGE 1-A



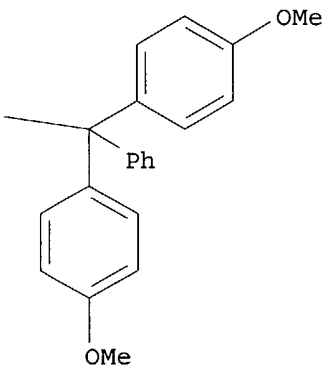


RN 116113-49-6 CAPLUS
CN 3'-Guanylic acid, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P-(5-chloro-8-quinolinyl)-2'-O-(tetrahydro-2H-pyran-2-yl)cytidylyl-(3'→5')-N-[(butylthio)carbonyl]-2'-O-(tetrahydro-2H-pyran-2-yl)-, mono(5-chloro-8-quinolinyl) ester, 6-(S-butyl carbonothioate) (9CI) (CA INDEX NAME)

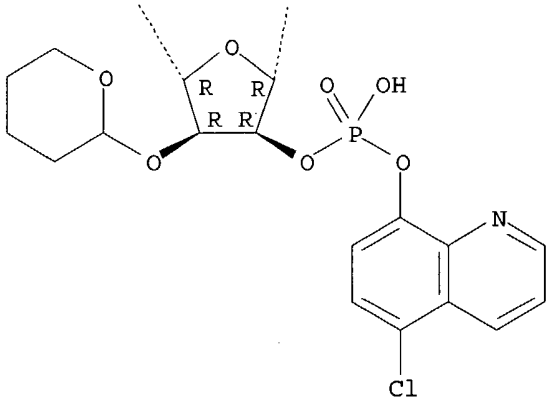
Absolute stereochemistry.



PAGE 1-B



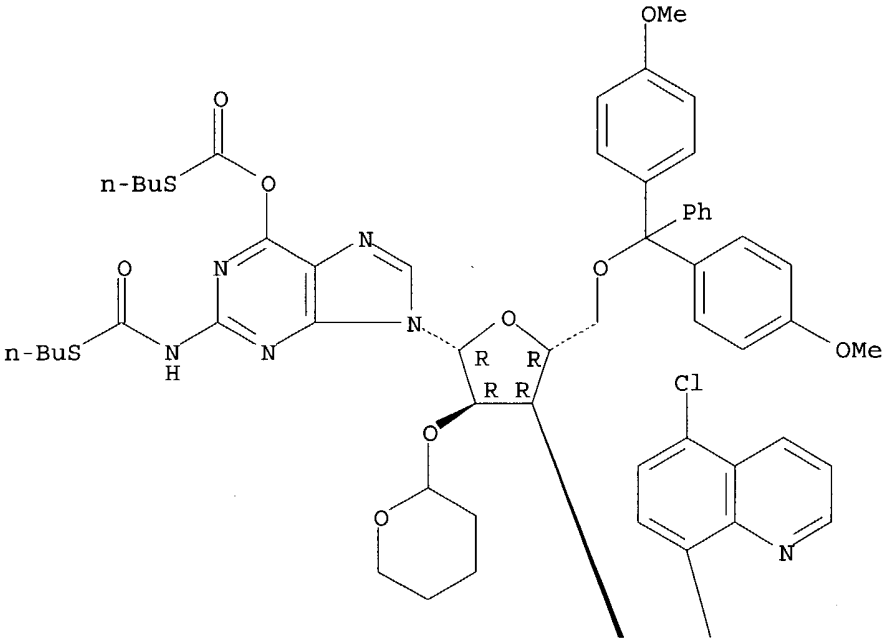
PAGE 2-A



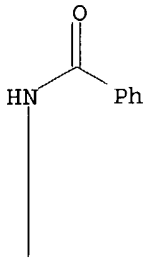
RN 116113-50-9 CAPLUS
CN 3'-Cytidylic acid, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-N-[(butylthio)carbonyl]-6-O-[(butylthio)carbonyl]-P-(5-chloro-8-quinolinyl)-2'-O-(tetrahydro-2H-pyran-2-yl)guanylyl-(3'→5')-N-benzoyl-P-(5-chloro-8-quinolinyl)-2'-O-(tetrahydro-2H-pyran-2-yl)adenylyl-(3'→5')-N-benzoyl-2'-O-(tetrahydro-2H-pyran-2-yl)-, mono(5-chloro-8-quinolinyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

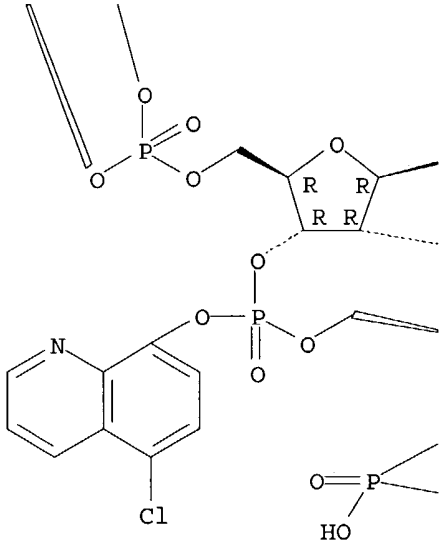
PAGE 1-A



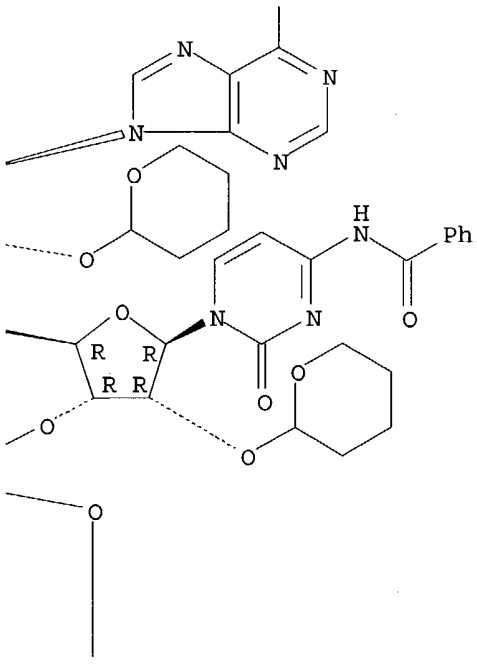
PAGE 1-B



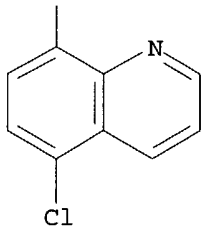
PAGE 2-A



PAGE 2-B



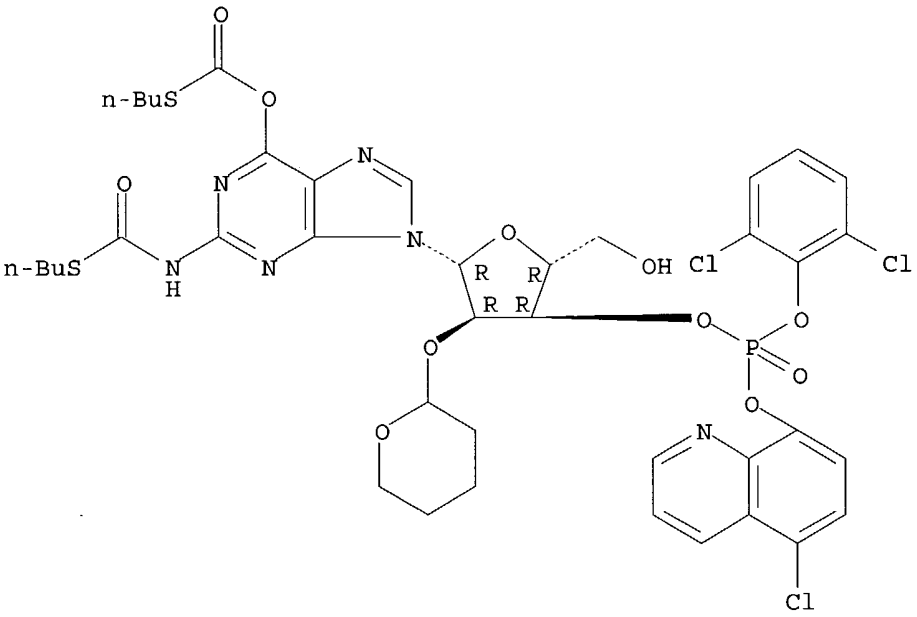
PAGE 3-B



09567863

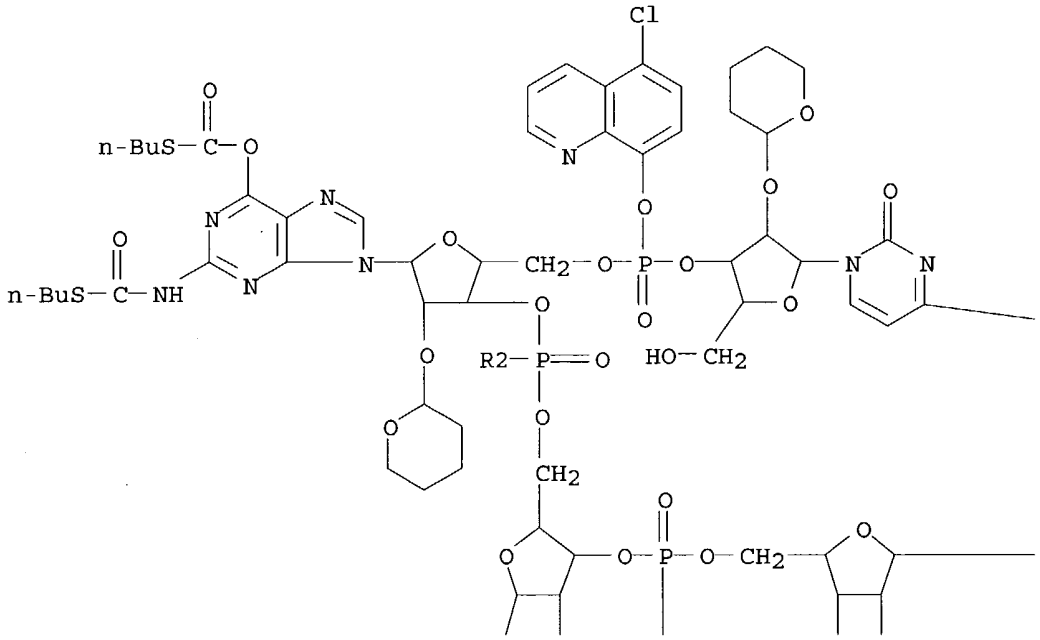
RN 116113-52-1 CAPLUS
CN Carbonothioic acid, S-butyl O-[2-[[(butylthio)carbonyl]amino]-9-[3-O-[[(5-chloro-8-quinolinyl)oxy](2,6-dichlorophenoxy)phosphinyl]-2-O-(tetrahydro-2H-pyran-2-yl)-β-D-ribofuranosyl]-9H-purin-6-yl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

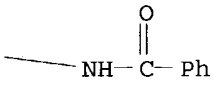


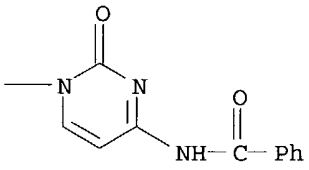
RN 116113-56-5 CAPLUS
CN 3'-Adenylic acid, N-benzoyl-P-(5-chloro-8-quinolinyl)-2'-O-(tetrahydro-2H-pyran-2-yl)cytidylyl-(3'→5')-N-[(butylthio)carbonyl]-6-O-[(butylthio)carbonyl]-P-(5-chloro-8-quinolinyl)-2'-O-(tetrahydro-2H-pyran-2-yl)guanylyl-(3'→5')-3-[(butylthio)carbonyl]-P-(5-chloro-8-quinolinyl)-2'-O-(tetrahydro-2H-pyran-2-yl)uridylyl-(3'→5')-N-benzoyl-P-(5-chloro-8-quinolinyl)-2'-O-(tetrahydro-2H-pyran-2-yl)cytidylyl-(3'→5')-N-benzoyl-2'-O-(tetrahydro-2H-pyran-2-yl)-, 5-chloro-8-quinolinyl 2,6-dichlorophenyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

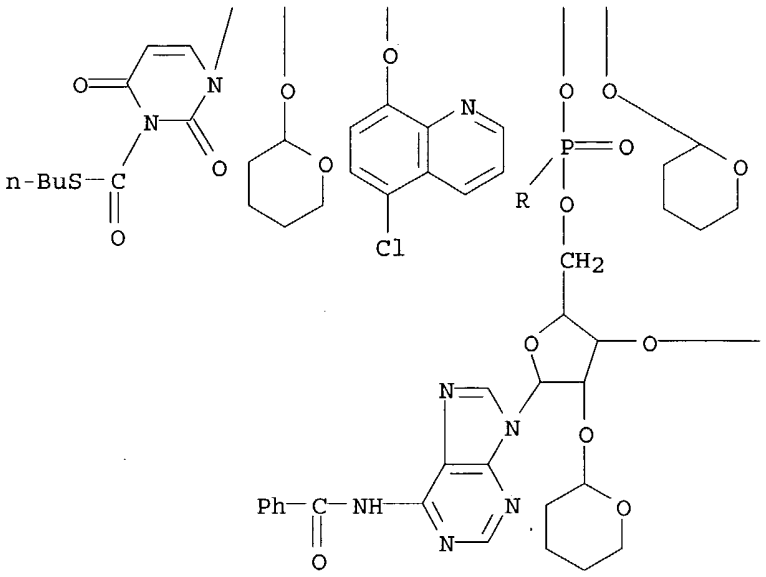


PAGE 1-B

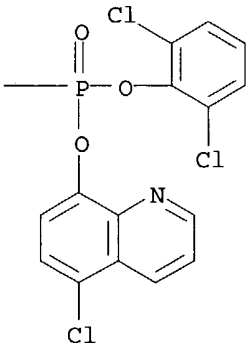




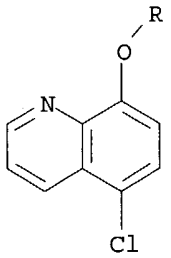
PAGE 2-A

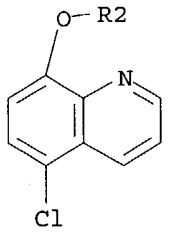


PAGE 2-B



PAGE 3-A

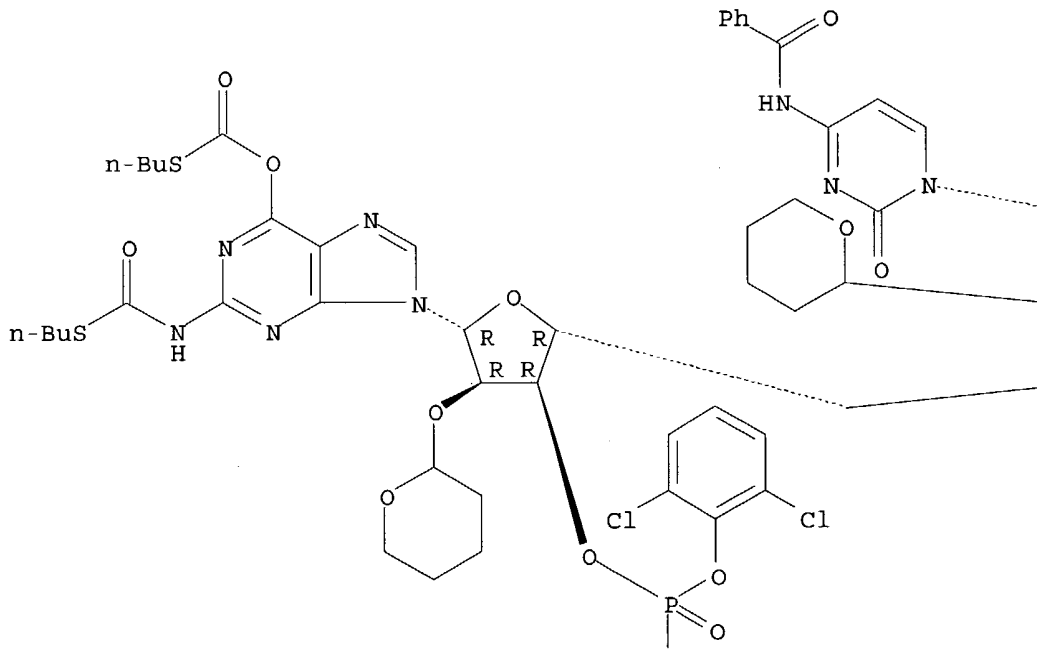




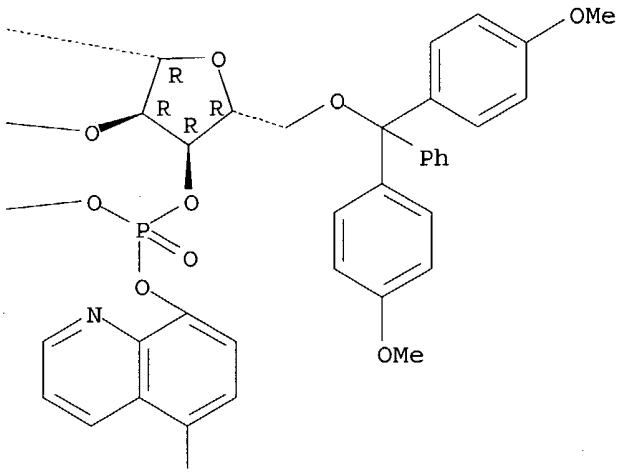
RN 116113-58-7 CAPLUS
CN 3'-Guanylic acid, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P-(5-chloro-8-quinolinyl)-2'-O-(tetrahydro-2H-pyran-2-yl)cytidylyl-(3'→5')-N-[(butylthio)carbonyl]-2'-O-(tetrahydro-2H-pyran-2-yl)-, 5-chloro-8-quinolinyl 2,6-dichlorophenyl ester, 6-(S-butyl carbonothioate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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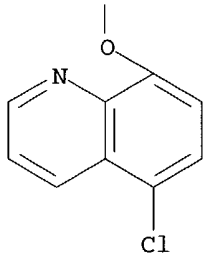

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RN 116113-61-2 CAPLUS
CN 3'-Cytidylic acid, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-N-[(butylthio)carbonyl]-6-O-[(butylthio)carbonyl]-P-(5-chloro-8-quinolinyl)-2'-O-(tetrahydro-2H-pyran-2-yl)guanylyl-(3'→5')-N-benzoyl-P-(5-chloro-8-quinolinyl)-2'-O-(tetrahydro-2H-pyran-2-yl)adenylyl-(3'→5')-N-benzoyl-2'-O-(tetrahydro-2H-pyran-2-yl)-, 5-chloro-8-quinolinyl 2,6-dichlorophenyl ester (9CI) (CA INDEX NAME)

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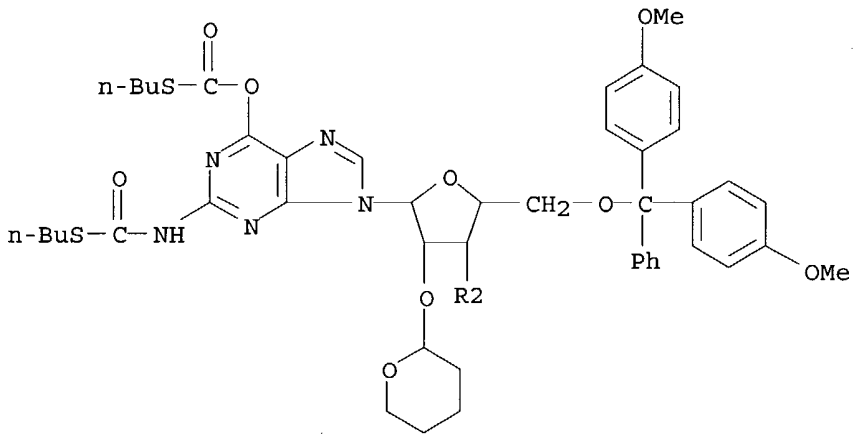
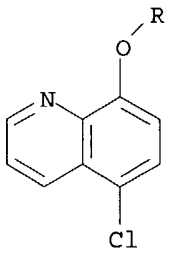


PAGE 2-A



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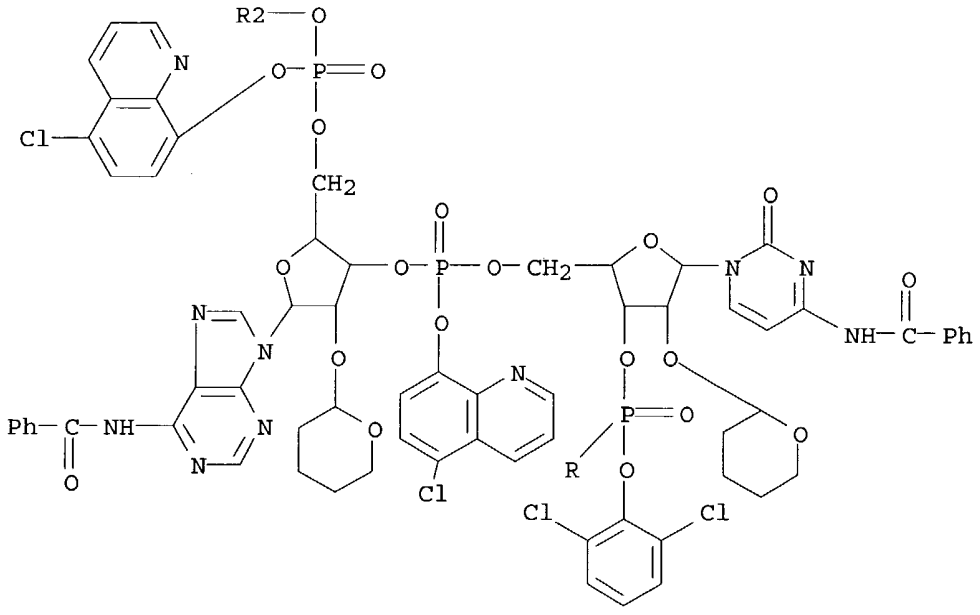
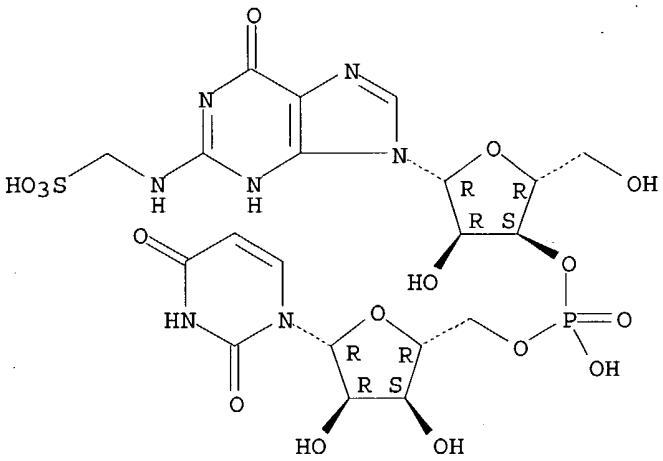


09567863

L4 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1983:89805 CAPLUS
DN 98:89805
TI N-Sulfomethylation of guanine, adenine and cytosine with formaldehyde-bisulfite. A selective modification of guanine in DNA
AU Hayatsu, Hikoya; Yamashita, Yasuhiro; Yui, Seiko; Yamagata, Yuriko; Tomita, Kenichi; Negishi, Kazuo
CS Fac. Pharm. Sci., Okayama Univ., Okayama, 700, Japan
SO Nucleic Acids Research (1982), 10(20), 6281-93
CODEN: NARHAD; ISSN: 0305-1048
DT Journal
LA English
AB Treatment of guanine-, adenine- and cytosine-nucleosides and nucleotides with HCHO and then with bisulfite gave stable N-sulfomethyl compds. N2-Sulfomethylguanine, N6-sulfomethyladenine, N4-sulfomethylcytosine and N6-sulfomethyl-9-β-D-arabinofuranosyladenine were isolated as crystals and characterized. A guanine-specific sulfomethylation was brought about by treatment of denatured single-stranded DNA with HCHO and then with bisulfite at pH 7 and 4°. Since native double-stranded DNA was not modified by this treatment, this new method of modification is expected to be useful as a conformational probe for polynucleotides.
IT **84757-89-1P 84757-92-6P 84757-95-9P**
84757-98-2P 84758-01-0P 84758-04-3P
84758-07-6P 84779-76-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 84757-89-1 CAPLUS
CN Uridine, N-(sulfomethyl)guanylyl-(3'→5')- (9CI) (CA INDEX NAME)

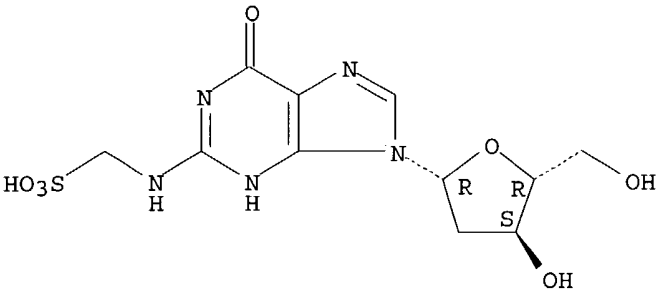
Absolute stereochemistry.



RN 84757-92-6 CAPLUS
CN Guanosine, 2'-deoxy-N-(sulfomethyl)- (9CI) (CA INDEX NAME)

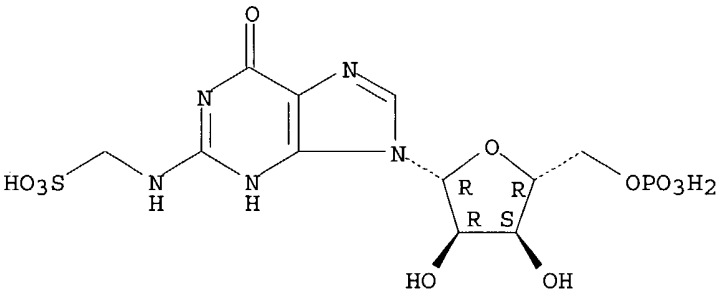
Absolute stereochemistry.

09567863



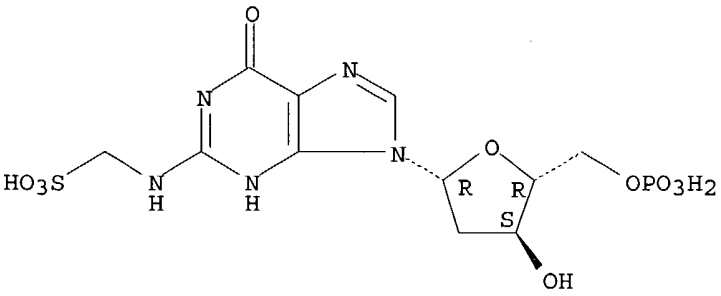
RN 84757-95-9 CAPLUS
CN Methanesulfonic acid, [[6,9-dihydro-6-oxo-9-(5-O-phosphono-β-D-ribofuranosyl)-1H-purin-2-yl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



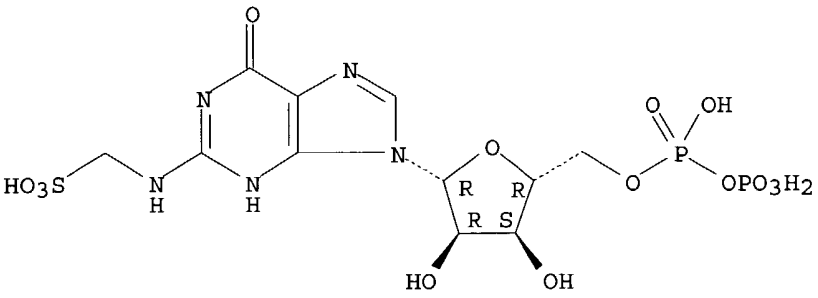
RN 84757-98-2 CAPLUS
CN Methanesulfonic acid, [[9-(2-deoxy-5-O-phosphono-β-D-erythro-pentofuranosyl)-6,9-dihydro-6-oxo-1H-purin-2-yl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



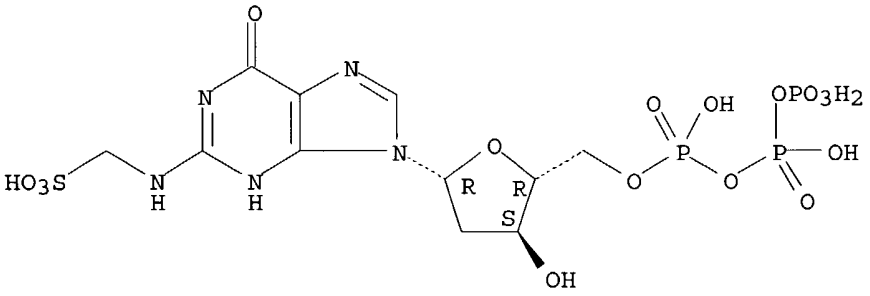
RN 84758-01-0 CAPLUS
CN Methanesulfonic acid, [[6,9-dihydro-9-[5-O-[hydroxy(phosphonooxy)phosphinyl]-β-D-ribofuranosyl]-6-oxo-1H-purin-2-yl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



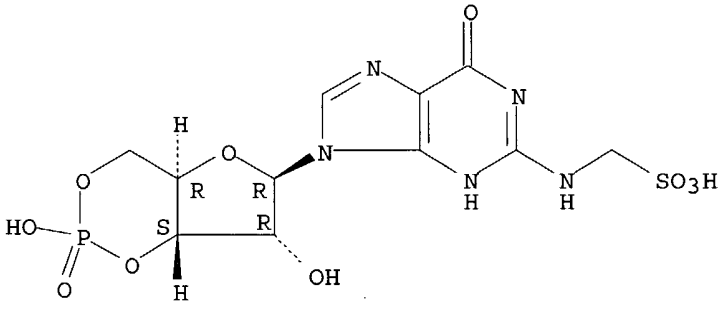
RN 84758-04-3 CAPLUS
CN Methanesulfonic acid, [[9-[2-deoxy-5-O-[hydroxy[[hydroxy(phosphonooxy)phosphinyl]oxy]phosphinyl]-β-D-erythro-pentofuranosyl]-6,9-dihydro-6-oxo-1H-purin-2-yl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



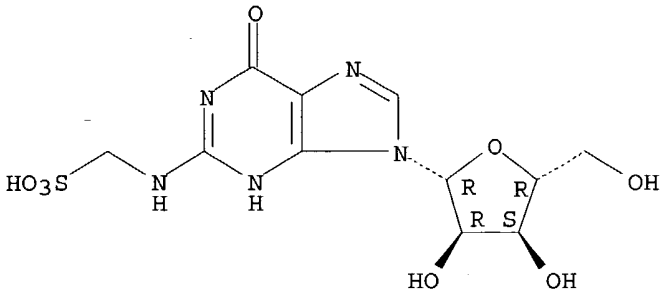
RN 84758-07-6 CAPLUS
CN Methanesulfonic acid, [[6,9-dihydro-6-oxo-9-(3,5-O-phosphinico-β-D-ribofuranosyl)-1H-purin-2-yl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



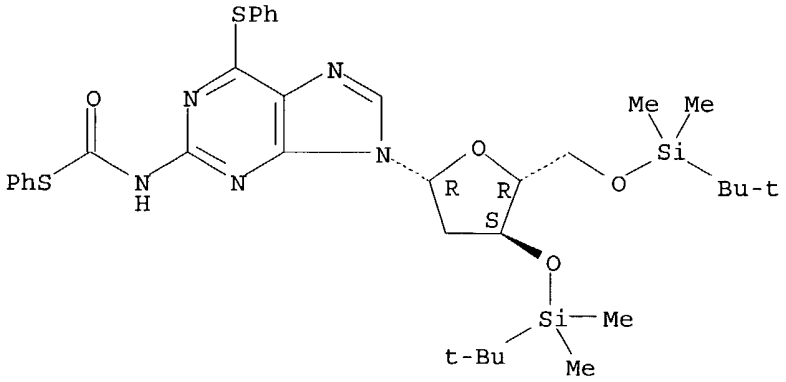
RN 84779-76-0 CAPLUS
CN Methanesulfonic acid, [(6,9-dihydro-6-oxo-9-β-D-ribofuranosyl-1H-purin-2-yl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 19 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1982:563402 CAPLUS
DN 97:163402
TI Synthesis of oligodeoxyribonucleotides using N-(benzyloxycarbonyl)-blocked nucleosides
AU Watkins, Bruce E.; Kiely, John S.; Rapoport, Henry
CS Dep. Chem., Univ. California, Berkeley, CA, 94720, USA
SO Journal of the American Chemical Society (1982), 104(21), 5702-8
CODEN: JACSAT; ISSN: 0002-7863
DT Journal
LA English
AB The exo-amino groups of 2'-deoxyadenosine and 2'-deoxycytidine have been blocked as the benzyl carbamates, and 2'-deoxyguanosine has been blocked as its 2-N-(benzyloxycarbonyl)carbamate and 6-O-benzyl ether. These blocked nucleosides have been incorporated into an efficient oligodeoxyribonucleotide synthetic scheme, and the resulting oligomer has been successfully deblocked by using transfer hydrogenation. The deblocking conditions result in no reduction of the pyrimidine bases.
IT **82892-58-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion of, to benzyl(benzyloxycarbonyl)deoxyguanosine)
RN 82892-58-8 CAPLUS
CN Carbamothioic acid, [9-[2-deoxy-3,5-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-β-D-erythro-pentofuranosyl]-6-(phenylthio)-9H-purin-2-yl]-, S-phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 20 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1983:34889 CAPLUS
DN 98:34889

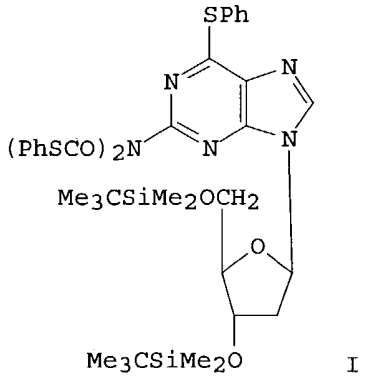
09567863

TI Synthesis of benzyl and benzyloxycarbonyl base-blocked 2'-deoxyribonucleosides

AU Watkins, Bruce E.; Rapoport, Henry
CS Lawrence Berkeley Lab., Univ. California, Berkeley, CA, 94720, USA

SO Journal of Organic Chemistry (1982), 47(23), 4471-7
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English

GI



I

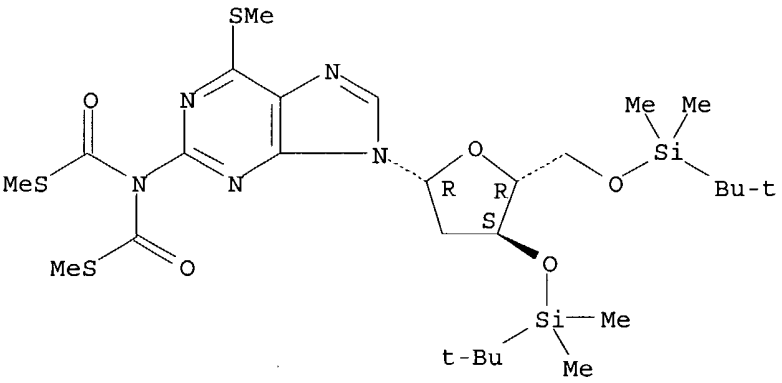
AB Acylimidazoles were alkylated with trialkyloxonium tetrafluoroborates to form acylimidazolium salts. These salts, particularly (benzyloxycarbonyl)imidazolium salts, are effective agents for the direct, mono-N-protection of deoxynucleotides as their acyl derivs. These acyl nucleosides are also available via thiocarbamate intermediates. Thus 3',5'-bis(tert-butyldimethylsilyl)-2'-deoxyguanosine, on treatment with PhSCOCl, gave I. The PhS group of I was replaced by H, NH2, and alkoxy groups to give a variety of substituted purine deoxyribonucleosides.

IT **82995-99-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with methanol)

RN 82995-99-1 CAPLUS

CN Thioimidodicarbonic acid ([(HS)C(O)]2NH), [9-[2-deoxy-3,5-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-β-D-erythro-pentofuranosyl]-6-(methylthio)-9H-purin-2-yl]-, dimethyl ester (9CI) (CA INDEX NAME)

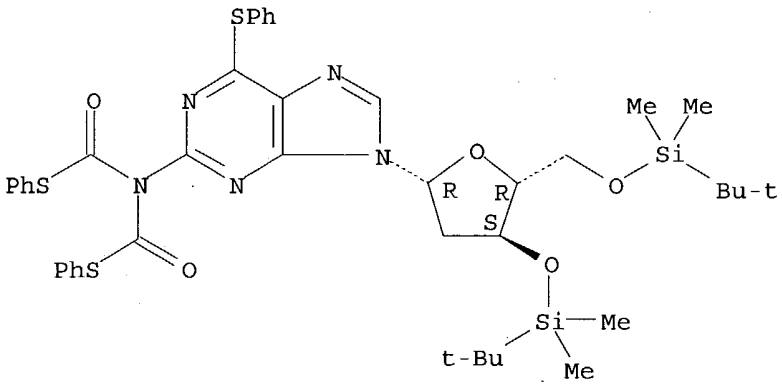
Absolute stereochemistry.



09567863

IT **82995-88-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reactions of)
RN 82995-88-8 CAPLUS
CN Thioimidodicarbonic acid ([(HS)C(O)]2NH), [9-[2-deoxy-3,5-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-β-D-erythro-pentofuranosyl]-6-(phenylthio)-9H-purin-2-yl]-, diphenyl ester (9CI) (CA INDEX NAME)

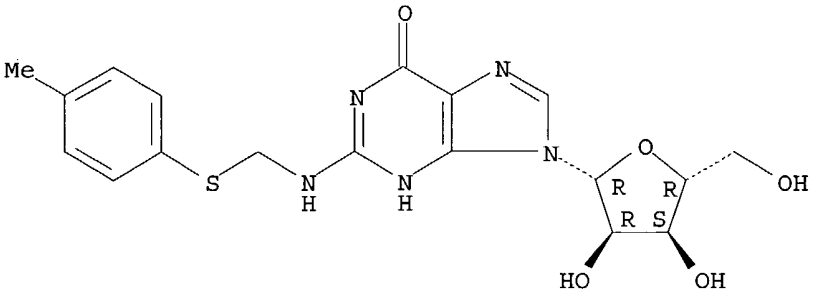
Absolute stereochemistry.



L4 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1981:175417 CAPLUS
DN 94:175417
TI Sodium borohydride reduction of products obtained from reactions between ribonucleosides, p-thiocresol, and aldehydes; synthesis of N-alkyl nucleosides
AU Kemal, Aeznur; Reese, Colin B.
CS Dep. Chem., King's Coll., Strand/London, WC2R 2LS, UK
SO Synthesis (1980), (12), 1025-8
CODEN: SYNTBF; ISSN: 0039-7881
DT Journal
LA English
OS CASREACT 94:175417
AB Protected or unprotected adenosine, cytidine, or guanosine was treated with p-MeC6H4SH and an aldehyde (HCHO, MeCHO, EtCHO, or PhCHO) and the resultant N-(p-tolylthioalkyl) derivative of the nucleoside was reduced with NaBH4 to give the corresponding N-alkylnucleoside. Among the compds. prepared were 6-N-methyladenosine, 4-N-methylcytidine, and 2-N-ethylguanosine.
IT **77312-37-9P 77312-38-0P 77312-42-6P**
77312-43-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and borohydride reduction of)
RN 77312-37-9 CAPLUS
CN Guanosine, N-[[(4-methylphenyl)thio]methyl]- (9CI) (CA INDEX NAME)

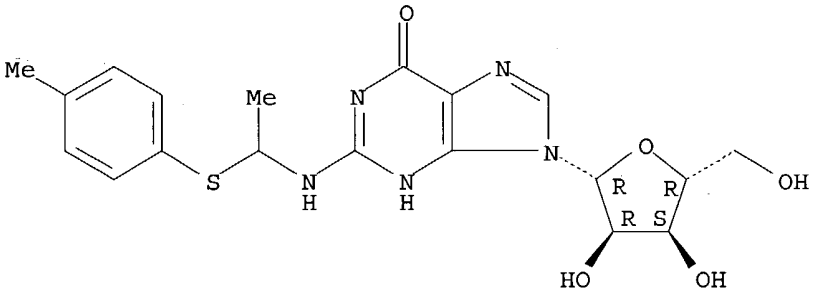
Absolute stereochemistry.

09567863



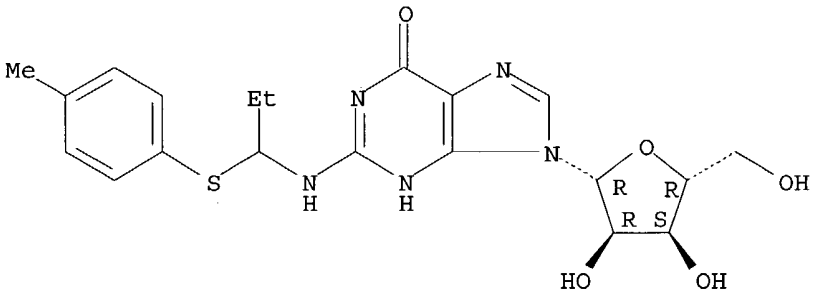
RN 77312-38-0 CAPLUS
CN Guanosine, N-[1-[(4-methylphenyl)thio]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 77312-42-6 CAPLUS
CN Guanosine, N-[1-[(4-methylphenyl)thio]propyl]- (9CI) (CA INDEX NAME)

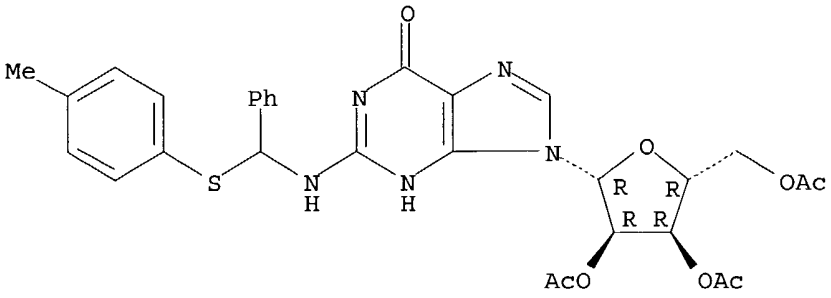
Absolute stereochemistry.



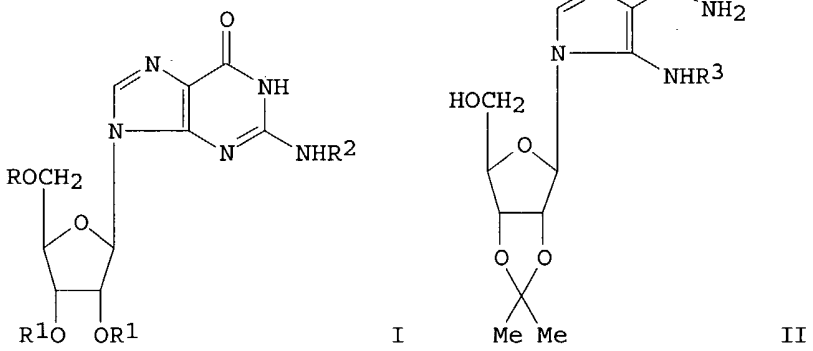
RN 77312-43-7 CAPLUS
CN Guanosine, N-[[(4-methylphenyl)thio]phenylmethyl]-, 2',3',5'-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09567863



L4 ANSWER 22 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1980:147097 CAPLUS
DN 92:147097
TI A novel method for the methylation of heterocyclic amino groups. Conversion of guanosine into its 2-N-methyl- and 2-N,2-N-dimethyl derivatives
AU Bridson, Peter K.; Reese, Colin B.
CS Dep. Chem., King's Coll., London, WC2R 2LS, UK
SO Bioorganic Chemistry (1979), 8(3), 339-49
CODEN: BOCMBM; ISSN: 0045-2068
DT Journal
LA English
GI



I II

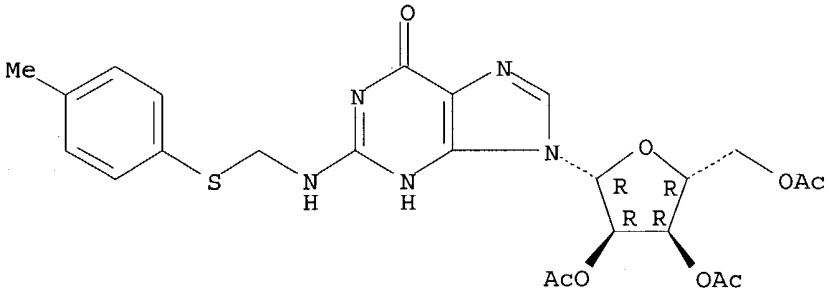
AB The heterocyclic amino-compds. I (R = R1 = Ac, R2 = H; R = R2 = H, R1R1 = Me2C) and II (R3 = H) reacted with HCHO and p-MeC6H4SH in alc. solution to give I (R, R1 as before R2 as before R2 = p-MeC6H4SCH2) and II (R3 = p-MeC6H4SCH2) in satisfactory to good yields. The reactions were catalyzed by AcOH. 2-N-Methylguanosine was obtained in good yield by treatment of I (R = H, R1R1 = Me2C, R2 = p-MeC6H4SCH2) with sodium borohydride followed by acidic hydrolysis, or alternatively by Raney nickel desulfurization of I (R = R1 = Ac, R2 = p-MeC6H4S = CH2) followed by ammonolysis of the product. Sodium borohydride reduction of II (R3 = p-MeC6H4SCH2) gave II (R3 = Me) in good yield. 2-N,2-N-Dimethylguanosine was obtained from 2',3',5'-tri-O-acetyl-2-N-methylguanosine in three steps.

IT **73196-81-3P 73196-82-4P 73196-86-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

09567863

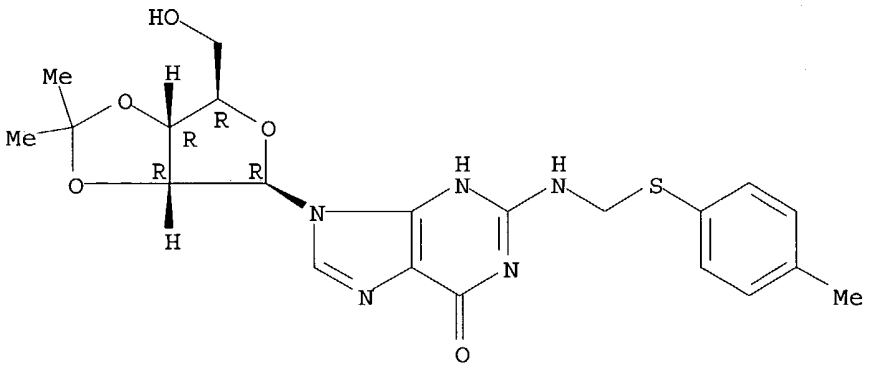
(preparation and reduction of)
RN 73196-81-3 CAPLUS
CN Guanosine, N-[[(4-methylphenyl)thio]methyl]-, 2',3',5'-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



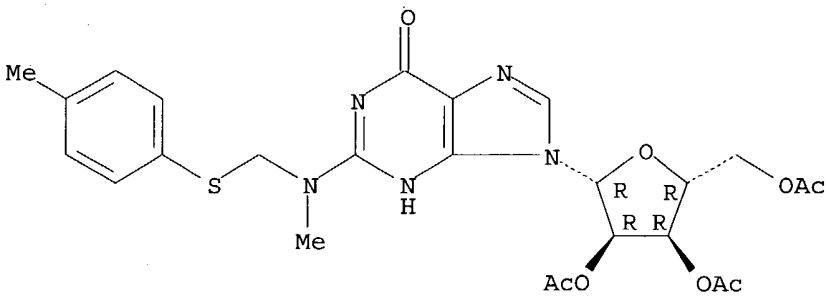
RN 73196-82-4 CAPLUS
CN Guanosine, 2',3'-O-(1-methylethylidene)-N-[[(4-methylphenyl)thio]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 73196-86-8 CAPLUS
CN Guanosine, N-methyl-N-[[(4-methylphenyl)thio]methyl]-, 2',3',5'-triacetate (9CI) (CA INDEX NAME)

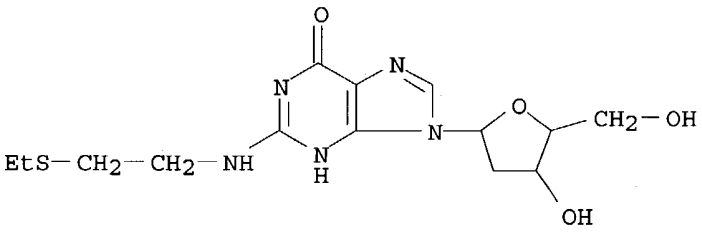
Absolute stereochemistry.



L4 ANSWER 23 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN

09567863

AN 1978:580269 CAPLUS
DN 89:180269
TI Characterization of the products of alkylation of 2'-deoxyadenosine and 2'-deoxyguanosine by chloroethyl ethyl sulfide
AU Sack, George H., Jr.; Fenselau, Catherine; Kan, Man-Na N.; Kan, Lou S.; Wood, Gordon W.; Lau, Pui-Yan
CS Johns Hopkins Med. Inst., Baltimore, MD, USA
SO Journal of Organic Chemistry (1978), 43(20), 3932-6
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
AB Alkylation of 2'-deoxyadenosine by Cl(CH2)2SEt in aqueous solns. at pH 6.0 and 25° gave 2 products, which were characterized, on the basis of mass spectrometry, NMR spectroscopy, UV spectroscopy as 2'-deoxy-1-[2-(ethylthio)ethyl]adenosine and 2'-deoxy-N6-[2-(ethylthio)ethyl]adenosine. The products formed from 2'-deoxyguanosine under these same conditions were 2'-deoxy-7-[2-(ethylthio)ethyl]guanosine and 2'-deoxy-N2-[2-(ethylthio)ethyl]guanosine, and the corresponding pair of deribosylated alkylated purines.
IT **66792-47-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 66792-47-0 CAPLUS
CN Guanosine, 2'-deoxy-N-[2-(ethylthio)ethyl]- (9CI) (CA INDEX NAME)



=> s l4 and oligonucleo?
L5 23 S L4
69666 OLIGONUCLEO?
L6 6 L5 AND OLIGONUCLEO?

=> d l6 bib abs hitstr 1-6

L6 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:651365 CAPLUS
DN 136:1809
TI Methylglyoxal, an endogenous aldehyde, crosslinks DNA polymerase and the substrate DNA
AU Murata-Kamiya, Naoko; Kamiya, Hiroyuki
CS Institute of Industrial Ecological Sciences, University of Occupational and Environmental Health, Kitakyushu, 807-8555, Japan
SO Nucleic Acids Research (2001), 29(16), 3433-3438
CODEN: NARHAD; ISSN: 0305-1048
PB Oxford University Press
DT Journal
LA English
AB Methylglyoxal, a known endogenous and environmental mutagen, is a reactive α-ketoaldehyde that can modify both DNA and proteins. To investigate the possibility that methylglyoxal induces a crosslink between DNA and DNA polymerase, we treated a 'primed template' DNA and the

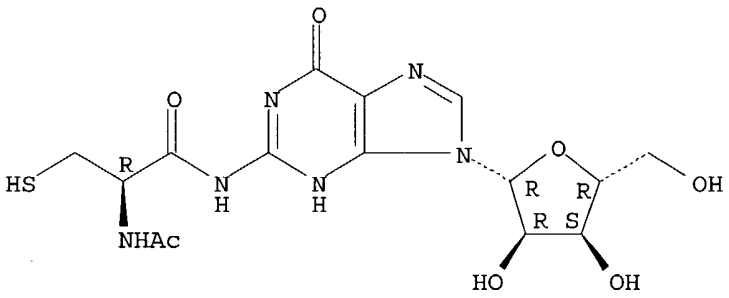
exonuclease-deficient Klenow fragment (KFexo-) of DNA polymerase I with methylglyoxal in vitro. When the reaction mixts. were analyzed by SDS-PAGE, we found that methylglyoxal induced a DNA-KFexo- crosslink. The specific binding complex of KFexo- and 'primed template' DNA was necessary for formation of the DNA-KFexo- crosslink. Methylglyoxal reacted with guanine residues in the single-stranded portion of the template DNA. When 2'-deoxyguanosine was incubated with Nα-acetyllysine or N-acetylcysteine in the presence of methylglyoxal, a crosslinked product was formed. No other amino acid derivs. tested could generate a crosslinked product. These results suggest that methylglyoxal crosslinks a guanine residue of the substrate DNA and lysine and cysteine residues near the binding site of the DNA polymerase during DNA synthesis and that DNA replication is severely inhibited by the methylglyoxal-induced DNA-DNA polymerase crosslink.

IT **376631-10-6**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(methylglyoxal, endogenous aldehyde, crosslinks DNA polymerase and substrate DNA)

RN 376631-10-6 CAPLUS

CN Guanosine, N-[(2R)-2-(acetylamino)-3-mercapto-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1999:297434 CAPLUS

DN 130:338349

TI Preparation of antisense oligodeoxyribonucleotides containing modified nucleoside having anti-AIDS activity

IN Koizumi, Makoto; Kaneko, Masakatsu; Ohmine, Toshinori; Furukawa, Hidehiko; Nishigaki, Takashi

PA Sankyo Company, Ltd., Japan

SO PCT Int. Appl., 125 pp.
CODEN: PIXXD2

DT Patent

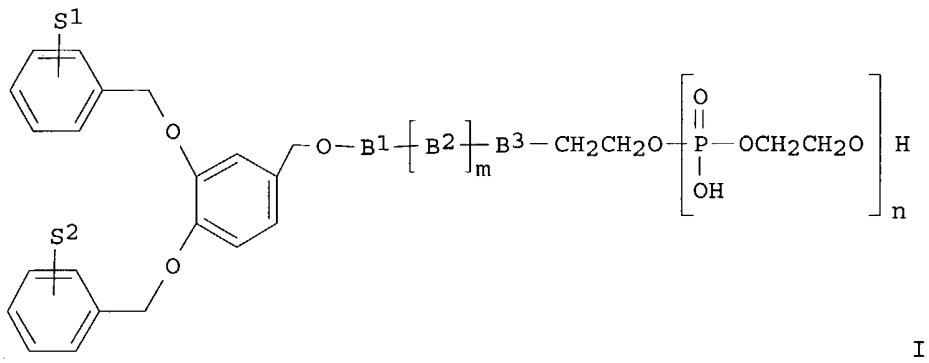
LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9921874	A1	19990506	WO 1998-JP4863	19981027
	W: AU, BR, CA, CN, CZ, HU, ID, IL, KR, MX, NO, NZ, PL, RU, TR, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9896489	A1	19990517	AU 1998-96489	19981027
	JP 11199597	A2	19990727	JP 1998-304999	19981027
PRAI	JP 1997-293821		19971027		

09567863

WO 1998-JP4863 19981027
OS MARPAT 130:338349
GI



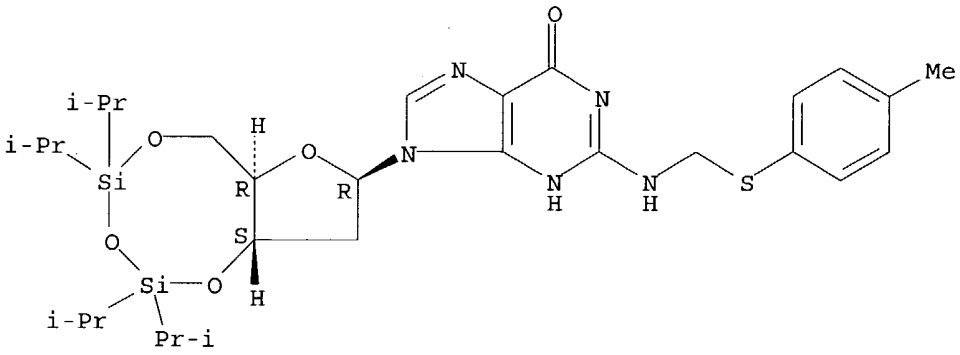
I

AB Novel modified oligodeoxynucleotides represented by general formula (I) or pharmacol. acceptable salts thereof (wherein B1, B2, and B3 are the same or different and each is A, G, C, T, a, g, c, t, M, X, etc.; m is an integer of 0 to 7; S1 and S2 each represents hydrogen, alkyl, alkoxy, or halogeno; n is an integer of 0 to 9; in the m repetitions of B2, the B2's may be the same or different; a, g, c, and t resp. represent A, G, C, and T each bonded at the 3' end; M represents 2-N-methyl-G; and X represents 2'-methoxy-G) having an excellent activity against human immunodeficiency virus (HIV-1) and a reduced toxicity against normal host cells are prepared I (S1 = S2 = H, B1-(B2)m-B3 = Tgggg, n = 0), which was prepared by the phosphoramidite method using a 392 DNA/RNA synthesizer, showed IC50 of 0.23 μg/mL for inhibiting the cell damage of MT-4 cells infected with HIV-1. A tablet, a hard capsule, a capsule, a soft capsule formulation containing I (S1 = S2 = H, B1-(B2)m-B3 = TMMMAG, n = 0) were described.

IT **224302-74-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of antisense oligodeoxyribonucleotides containing modified nucleoside having anti-AIDS activity)

RN 224302-74-3 CAPLUS
CN Guanosine, 2'-deoxy-N-[[(4-methylphenyl)thio]methyl]-3',5'-O-[1,1,3,3-tetrakis(1-methylethyl)-1,3-disiloxanediyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



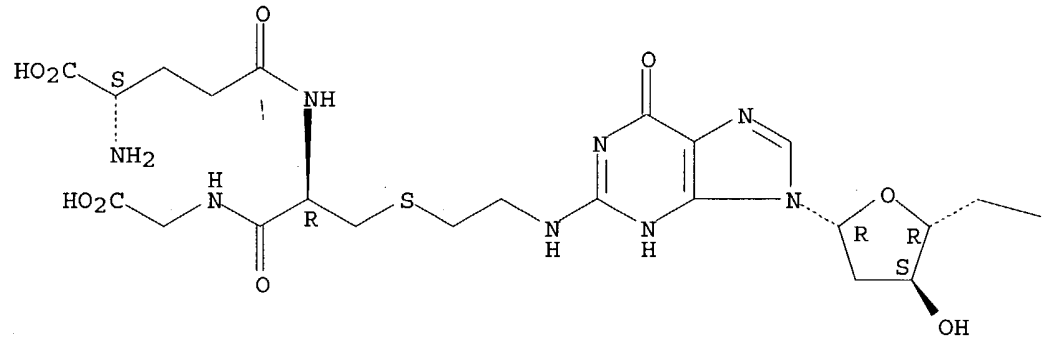
RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:671190 CAPLUS
DN 127:304235
TI Synthesis of **Oligonucleotides** Containing the Ethylene Dibromide-Derived DNA Adducts S-[2-(N7-Guanyl)ethyl]glutathione, S-[2-(N2-Guanyl)ethyl]glutathione, and S-[2-(O6-Guanyl)ethyl]glutathione at a Single Site
AU Kim, Mi-Sook; Guengerich, F. Peter
CS Department of Biochemistry and Center in Molecular Toxicology, Vanderbilt University School of Medicine, Nashville, TN, 37232-0146, USA
SO Chemical Research in Toxicology (1997), 10(10), 1133-1143
CODEN: CRTOEC; ISSN: 0893-228X
PB American Chemical Society
DT Journal
LA English
AB The carcinogen ethylene dibromide (EDB) is activated by enzymic conjugation with GSH to form S-(2-bromoethyl)GSH, which reacts with DNA via an episulfonium ion. S-[2-(N7-guanyl)ethyl]GSH has been incorporated at the G* site in d(5'-TGCTG*CAAG-3'), a site previously found to show GC to AT transitions following treatment of M13 phage with S-(2-chloroethyl)GSH, and the desired product was separated by HPLC. This was ligated to d(5'-GGTACCGAG-3') to yield d(5'-TGCTG*CAAGGGTACCGAG-3'). S-[2-(N2-guanyl)ethyl]GSH was incorporated into the G* site of the **oligonucleotide** in d(5'-TGCTG*CAAGGGTACCGAG-3') by reacting S-(2-aminoethyl)GSH with an oligomer containing 2-fluoro-O6-[(trimethylsilyl)ethoxy]deoxyinosine at the target site. The 5'-(dimethoxytrityl)-N2-(phenoxyacetyl)-N-[(fluorenylmethyl)formyl] derivative of S-[2-(O6-deoxyguanosyl)ethyl]GSH di-Me ester was synthesized by Mitsunobu alkylation of 5'-(dimethoxytrityl)-N2-(phenoxyacetyl)deoxyguanosine with N-[(fluorenylmethyl)formyl]-S-(2-hydroxyethyl)GSH di-Me ester, modified to form the phosphoramidite derivative, and incorporated at the G* site of d(5'-TGCTG*CAAGGGTACCGAG-3'). The protective groups were removed with 0.10 N NaOH to give the modified **oligonucleotide** containing S-[2-(O6-guanyl)ethyl]GSH. Although the overall yields were low, the synthesis of a single set of target site **oligonucleotides** containing these three known guanyl adducts allows for in vitro site-specific misincorporation studies.
IT **142182-36-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of **oligonucleotides** containing ethylene dibromide-derived DNA adducts [(guanyl)ethyl]glutathiones at single site)
RN 142182-36-3 CAPLUS
CN Glycine, N-[S-[2-[[9-(2-deoxy-β-D-erythro-pentofuranosyl)-6,9-dihydro-6-oxo-1H-purin-2-yl]amino]ethyl]-N-L-γ-glutamyl-L-cysteinyl]- (9CI) (CA INDEX NAME)

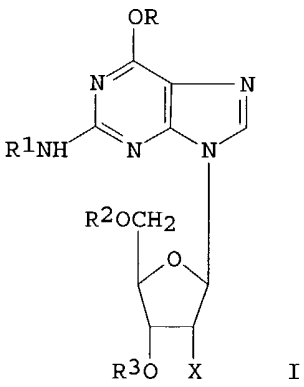
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:631891 CAPLUS
DN 113:231891
TI The synthesis of 6-O-alkylguanosine synthons of the ribo- and deoxyribo series for the phosphotriester synthesis of **oligonucleotides**
AU Taktakishvili, M. O.; Tabdzhun, A.; Yartseva, I. V.
CS Tbilisi State Univ., Moscow, USSR
SO Bioorganicheskaya Khimiya (1990), 16(1), 59-68
CODEN: BIKHD7; ISSN: 0132-3423
DT Journal
LA Russian
GI



I

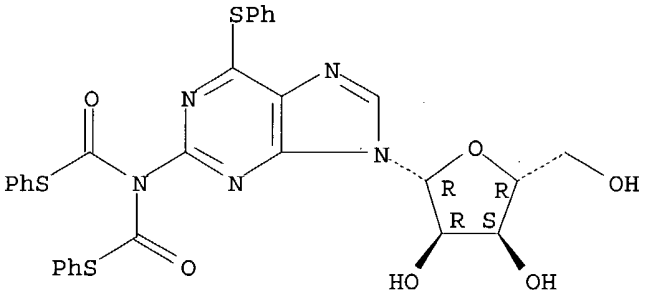
AB 6-O-Alkyl substituted deoxy- and riboguanosines of potential carcinogenic

and mutagenic activity were prepared by reaction with PhSCOCl, followed by N-isobutyrylation, 5'-dimethoxytritylation and 3'-phosphorylation. The fully protected 6-O-alkylguanosine 3'-phosphates, e.g. I [R = Bu, C16H33, R1 = Me2CHCO, R2 = dimethoxytrityl, R3 = P(O)(OCH2CH2CN)] thus obtained are versatile G-monomers for **oligonucleotide** phosphotriester synthesis.

IT **129184-67-4P 129184-68-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with methanol)

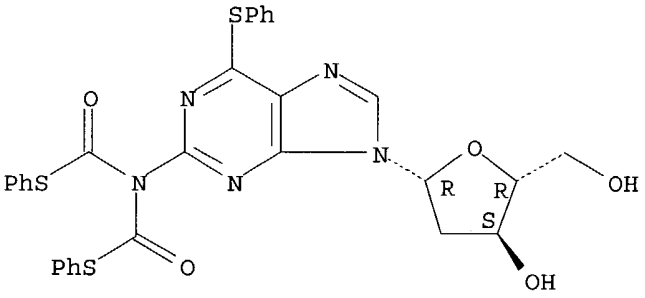
RN 129184-67-4 CAPLUS
CN Thioimidodicarbonic acid ((HCOS)2NH), [6-(phenylthio)-9-β-D-ribofuranosyl-9H-purin-2-yl]-, S,S-diphenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 129184-68-5 CAPLUS
CN Thioimidodicarbonic acid ((HCOS)2NH), [9-(2-deoxy-β-D-erythro-pentofuranosyl)-6-(phenylthio)-9H-purin-2-yl]-, S,S-diphenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

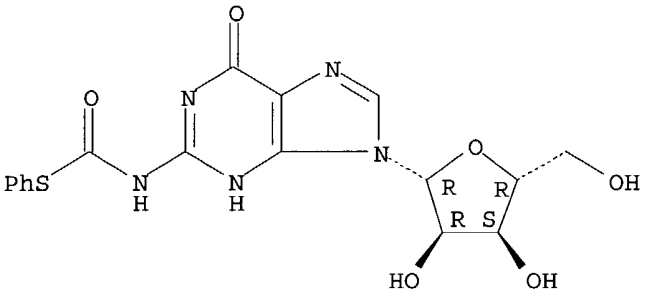


IT **129184-65-2P 129184-66-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and thiocarbonylation of)

RN 129184-65-2 CAPLUS
CN Carbamothioic acid, (6,9-dihydro-6-oxo-9-β-D-ribofuranosyl-1H-purin-2-yl)-, S-phenyl ester (9CI) (CA INDEX NAME)

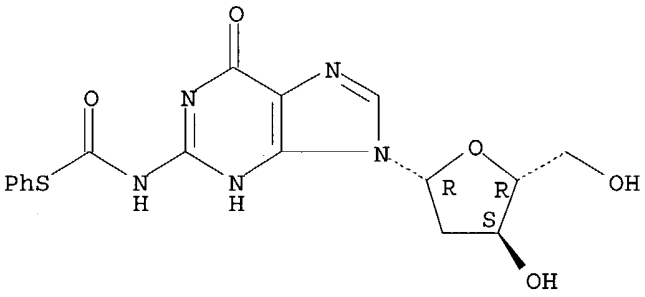
Absolute stereochemistry.

09567863



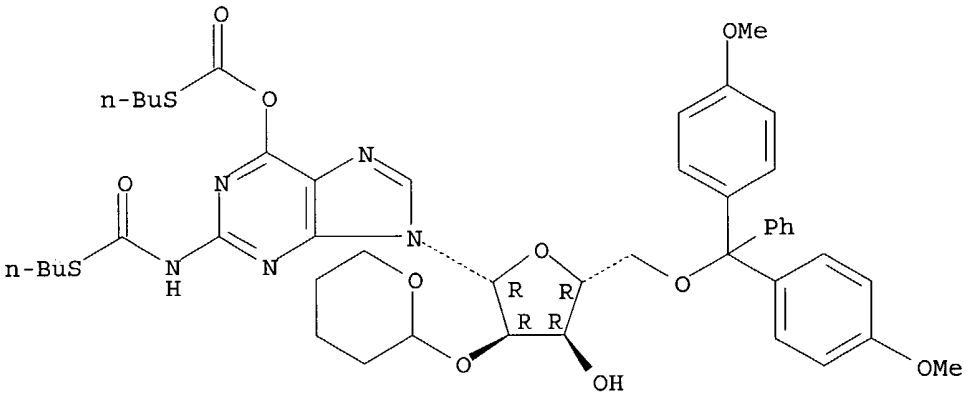
RN 129184-66-3 CAPLUS
CN Carbamothioic acid, [9-(2-deoxy-β-D-erythro-pentofuranosyl)-6,9-dihydro-6-oxo-1H-purin-2-yl]-, S-phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



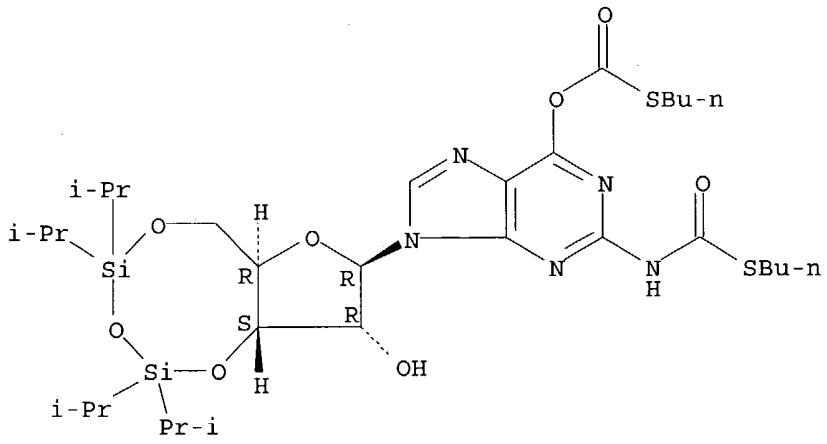
L6 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:179693 CAPLUS
DN 112:179693
TI 1,1,1,3,3,3-Hexafluoro-2-propyl group as a new phosphate protecting group for oligoribonucleotide synthesis in the phosphotriester approach
AU Yamakage, Shunichi; Fujii, Masayo; Takaku, Hiroshi; Uemura, Masaru
CS Dep. Ind. Chem., Chiba Inst. Technol., Narashino, 275, Japan
SO Tetrahedron (1989), 45(17), 5459-68
CODEN: TETRAB; ISSN: 0040-4020
DT Journal
LA English
OS CASREACT 112:179693
AB The 1,1,1,3,3,3-hexafluoro-2-propyl group can be used as a new class of phosphate protecting group for internucleotidic bonds in the **oligonucleotide** synthesis by the phosphotriester approach. This protecting group is removed easily by treatment with 0.3 M N1,N1,N3,N3-tetramethylguanidinium syn-2-pyridinealdoximate in pyridine-water. The butylthiocarbonyl group was chosen as the protecting group for the O6-amide and N2-amino functions of guanosine and the N3-imide group of uridine. The synthesis of UGUCGGUC, the box 9R sequence of r-RNA precursor of Tetrahymena, is described.
IT **116135-05-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(phosphorylation of, in synthesis of oligoribonucleotides)
RN 116135-05-8 CAPLUS
CN Carbonothioic acid, O-[9-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-2-O-(tetrahydro-2H-pyran-2-yl)-β-D-ribofuranosyl]-2-[[(butylthio)carbonyl]amino]-9H-purin-6-yl] S-butyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **116135-04-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and tetrahydropyranylation followed by desilylation of)
RN 116135-04-7 CAPLUS
CN Carbonothioic acid, S-butyl O-[2-[[(butylthio)carbonyl]amino]-9-[3,5-O-[1,1,3,3-tetrakis(1-methylethyl)-1,3-disiloxanediyl]-β-D-ribofuranosyl]-9H-purin-6-yl] ester (9CI) (CA INDEX NAME)

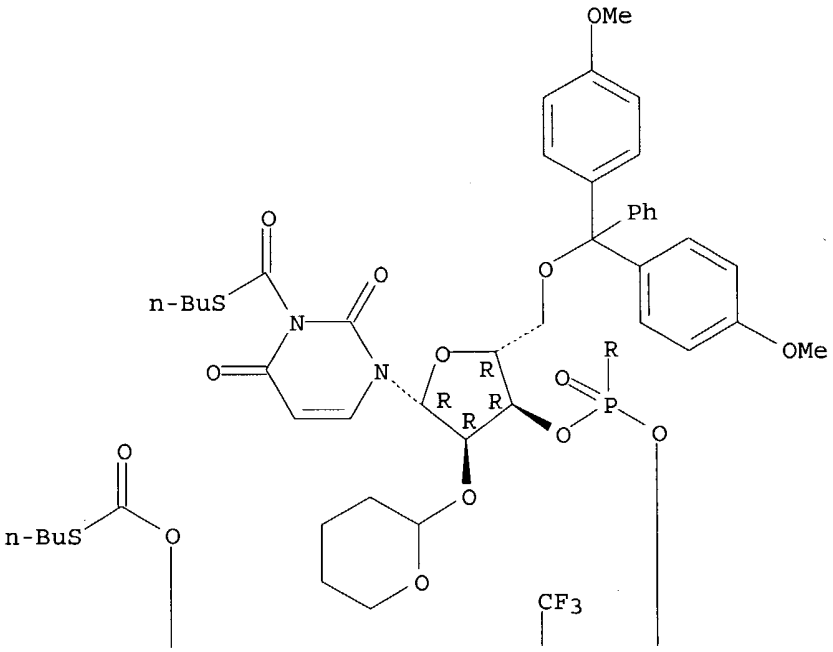
Absolute stereochemistry.



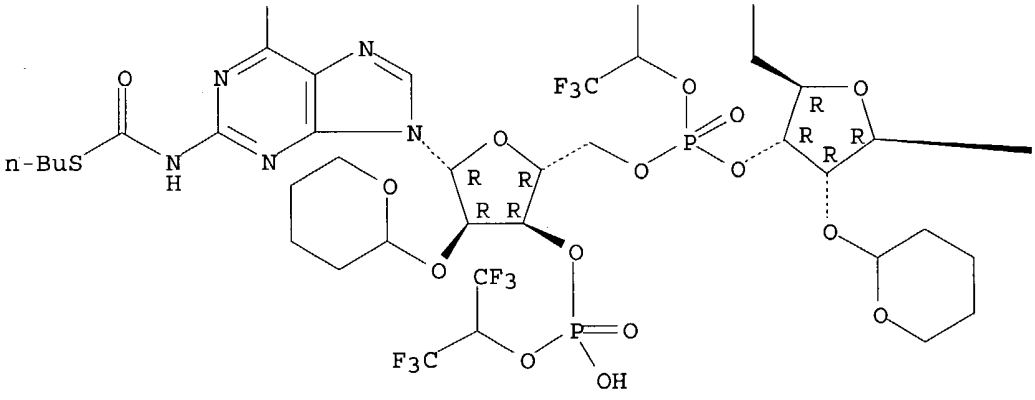
IT **126461-85-6P 126461-86-7P 126461-88-9P**
126461-89-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for oligoribonucleotide synthesis)
RN 126461-85-6 CAPLUS
CN 3'-Guanylic acid, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3-[(butylthio)carbonyl]-2'-O-(tetrahydro-2H-pyran-2-yl)-P-[2,2,2-trifluoro-1-(trifluoromethyl)ethyl]uridylyl-(3'→5')-N-benzoyl-2'-O-(tetrahydro-2H-pyran-2-yl)-P-[2,2,2-trifluoro-1-(trifluoromethyl)ethyl]cytidylyl-(3'→5')-N-[(butylthio)carbonyl]-2'-O-(tetrahydro-2H-pyran-2-yl)-, mono[2,2,2-trifluoro-1-(trifluoromethyl)ethyl] ester, 6-(S-butyl carbonothioate) (9CI) (CA INDEX NAME)

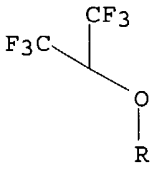
Absolute stereochemistry.

PAGE 1-A

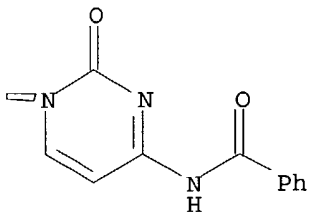


PAGE 2-A





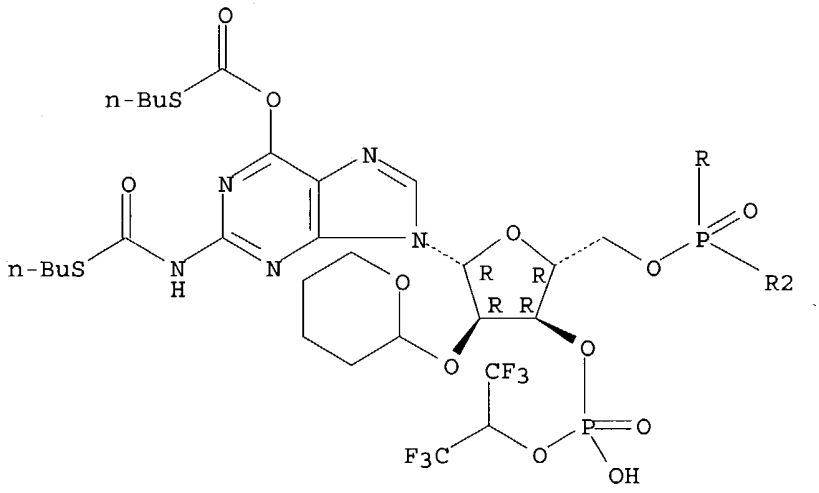
PAGE 2-B



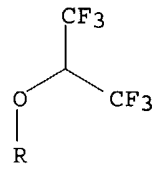
RN 126461-86-7 CAPLUS
CN 3'-Guanylic acid, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3-[(butylthio)carbonyl]-2'-O-(tetrahydro-2H-pyran-2-yl)-P-[2,2,2-trifluoro-1-(trifluoromethyl)ethyl]uridylyl-(3'→5')-N-[(butylthio)carbonyl]-2'-O-(tetrahydro-2H-pyran-2-yl)-, mono[2,2,2-trifluoro-1-(trifluoromethyl)ethyl] ester, 6-(S-butyl carbonothioate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

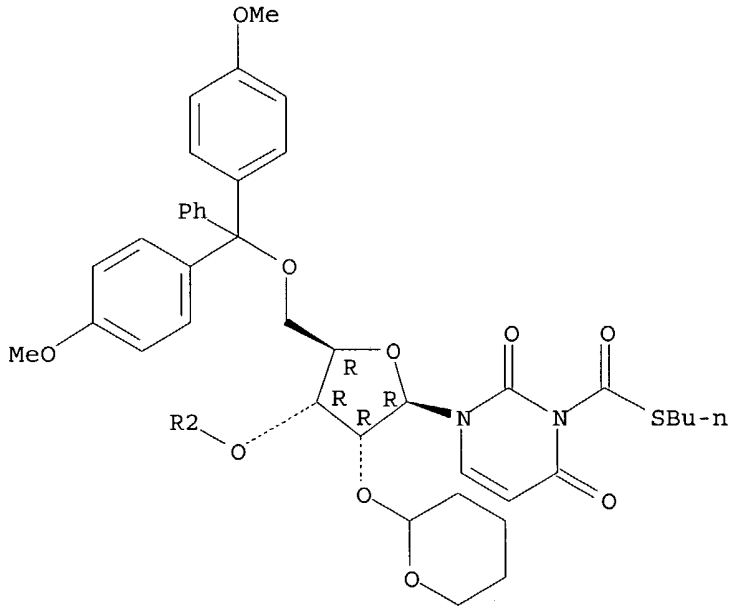
PAGE 1-A



PAGE 2-A



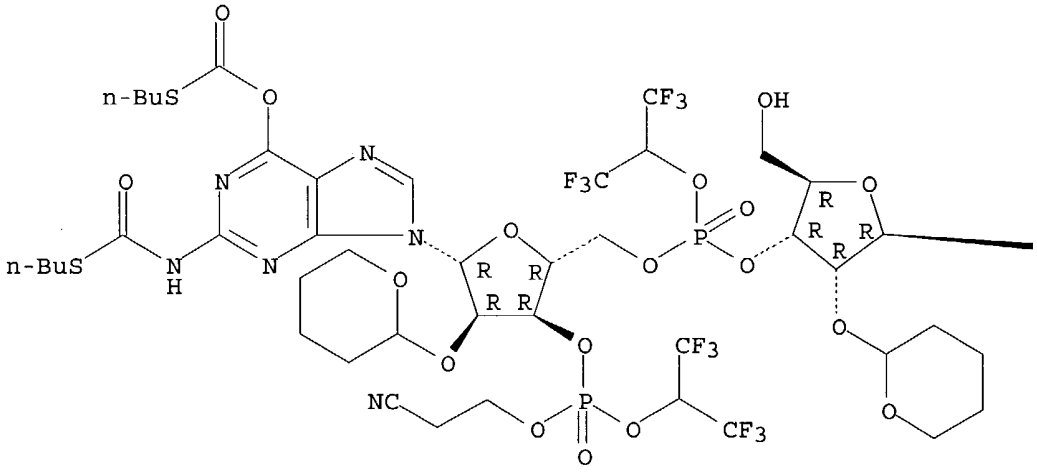
PAGE 3-A



RN 126461-88-9 CAPLUS
CN 3'-Guanylic acid, N-benzoyl-2'-O-(tetrahydro-2H-pyran-2-yl)-P-[2,2,2-trifluoro-1-(trifluoromethyl)ethyl]cytidylyl-(3'→5')-N-[(butylthio)carbonyl]-2'-O-(tetrahydro-2H-pyran-2-yl)-, 2-cyanoethyl 2,2,2-trifluoro-1-(trifluoromethyl)ethyl ester, 6-(S-butyl carbonothioate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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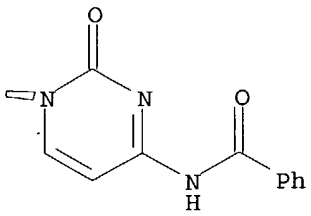
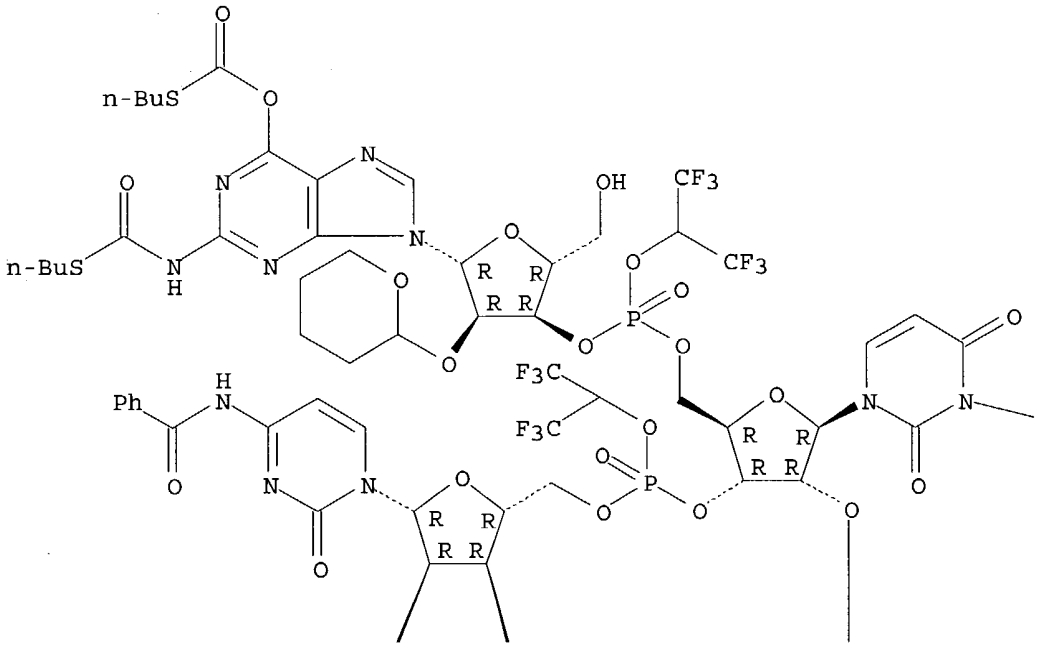
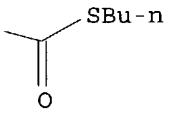


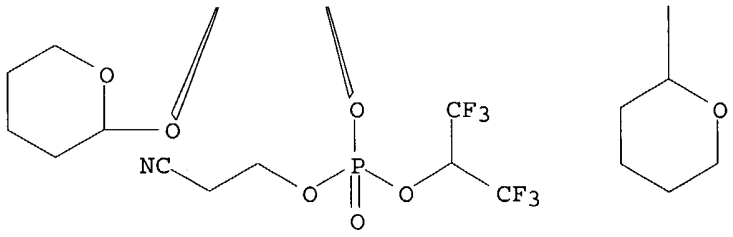
RN 126461-89-0 CAPLUS
CN 3'-Cytidylic acid, N-[(butylthio)carbonyl]-6-O-[(butylthio)carbonyl]-2'-O-(tetrahydro-2H-pyran-2-yl)-P-[2,2,2-trifluoro-1-(trifluoromethyl)ethyl]guanylyl-(3'→5')-3-[(butylthio)carbonyl]-2'-O-(tetrahydro-2H-pyran-2-yl)-P-[2,2,2-trifluoro-1-(trifluoromethyl)ethyl]uridylyl-(3'→5')-N-benzoyl-2'-O-(tetrahydro-2H-pyran-2-yl)-, 2-cyanoethyl 2,2,2-trifluoro-1-(trifluoromethyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





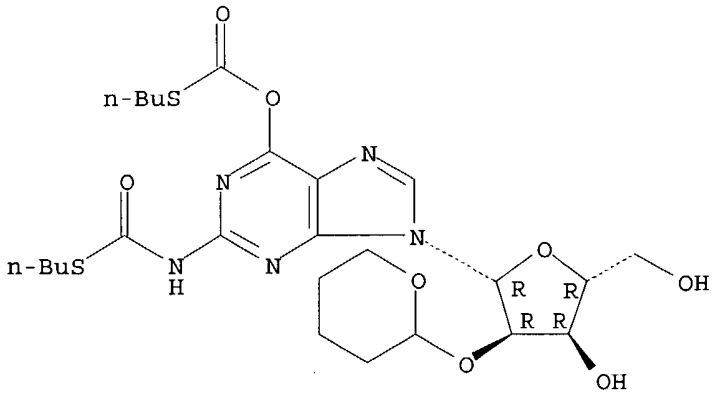


IT **116113-44-1P 126461-78-7P 126461-79-8P**
126461-84-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for synthesis of oligoribonucleotides)

RN 116113-44-1 CAPLUS

CN Carbonothioic acid, S-butyl O-[2-[[(butylthio)carbonyl]amino]-9-[2-O-(tetrahydro-2H-pyran-2-yl)-β-D-ribofuranosyl]-9H-purin-6-yl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

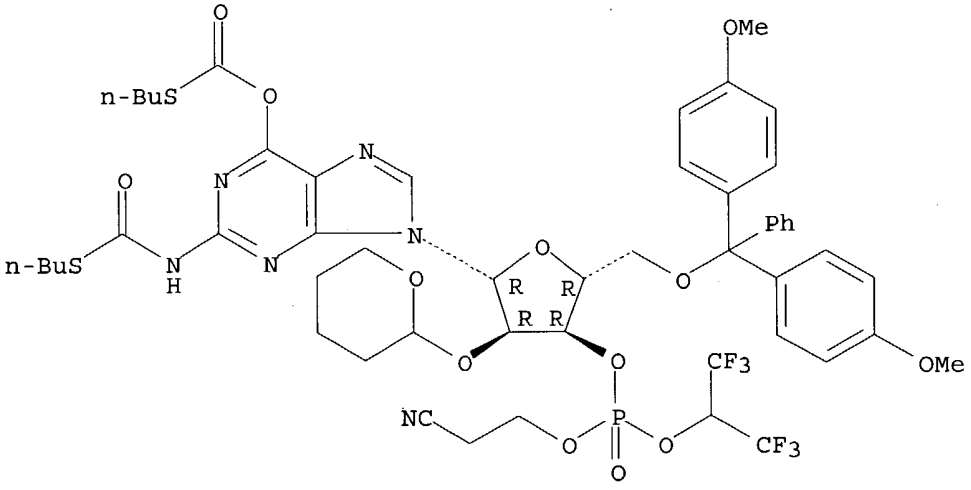


RN 126461-78-7 CAPLUS

09567863

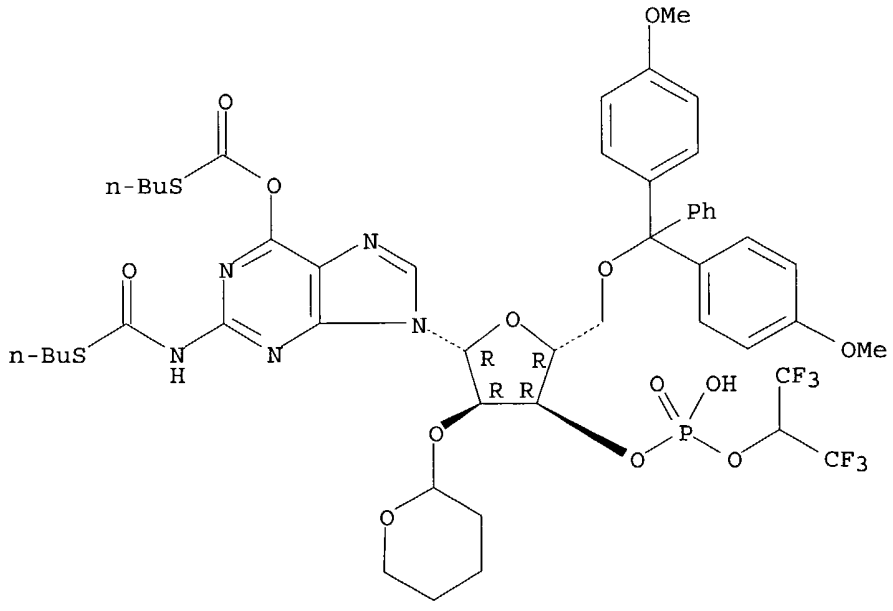
CN 3'-Guanylic acid, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-N-[(butylthio)carbonyl]-2'-O-(tetrahydro-2H-pyran-2-yl)-, 2-cyanoethyl 2,2,2-trifluoro-1-(trifluoromethyl)ethyl ester, 6-(S-butyl carbonothioate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 126461-79-8 CAPLUS
CN 3'-Guanylic acid, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-N-[(butylthio)carbonyl]-2'-O-(tetrahydro-2H-pyran-2-yl)-, mono[2,2,2-trifluoro-1-(trifluoromethyl)ethyl] ester, 6-(S-butyl carbonothioate) (9CI) (CA INDEX NAME)

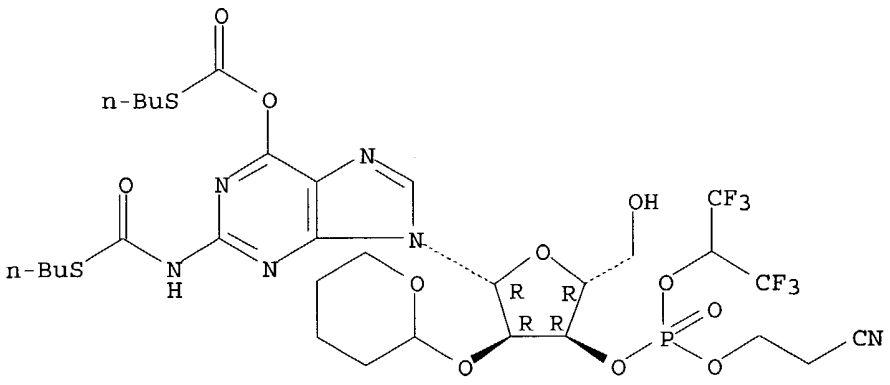
Absolute stereochemistry.



RN 126461-84-5 CAPLUS
CN 3'-Guanylic acid, N-[(butylthio)carbonyl]-2'-O-(tetrahydro-2H-pyran-2-yl)-, 2-cyanoethyl 2,2,2-trifluoro-1-(trifluoromethyl)ethyl ester, 6-(S-butyl

carbonothioate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:56596 CAPLUS
DN 112:56596
TI Preparation of protected nucleosides and nucleotides as intermediates for oligoribonucleotides
IN Takaku, Hiroshi; Fujii, Masaya; Yamakage, Shunichi; Horinochi, Juzo; Hata, Tsujiaki
PA Shin-Daikyowa Petrochemical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 01190696	A2	19890731	JP 1988-13982	19880125
PRAI JP 1988-13982		19880125		

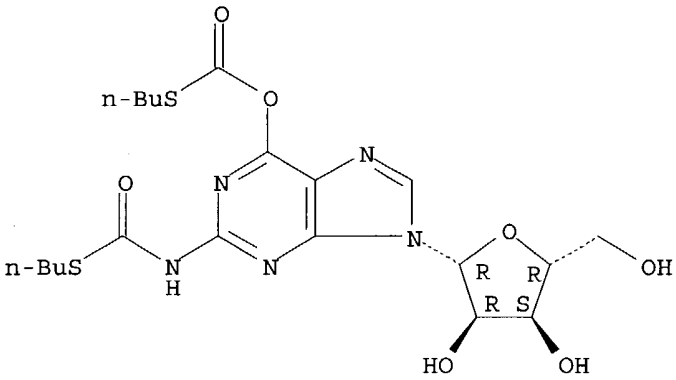
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = H, dimethoxytrityl; R2 = H, Bz, Q, Q1; R3 = H, Bz, tetrahydropyranyl; R1R2 = Si(CHMe2)2OSi(CHMe2)2; R4, R5 = H, C(O)CH2CHMe2, C(O)SBu; at least one of R4, R5 = C(O)SBu; B = Q2, Q3] which do not undergo side reactions, e.g. removal of protecting groups, under the conditions of **oligonucleotide** synthesis by the phosphotriester method, were prepared Thus, reaction of I (R1 = R2 = R3 = H, B = Q3) with 4,4'-dimethoxytrityl chloride (DMTrCl) in pyridine gave I (R1 = DMTr, R2, R3, B unchanged) which was treated with 5-chloro-8-quinolyl 1,3-dichlorophenyl phosphorochloridate (preparation in situ given), in the presence of N-methylimidazole to give 86% of guanosine 3'-phosphate derivative II (B = Q3).
IT **116113-42-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for **oligonucleotides**)
RN 116113-42-9 CAPLUS
CN Carbonothioic acid, S-butyl O-[2-[[(butylthio)carbonyl]amino]-9-β-D-ribofuranosyl-9H-purin-6-yl] ester (9CI) (CA INDEX NAME)

09567863

Absolute stereochemistry.



=> d his

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L2 55 S L1 FULL

FILE 'CAPLUS' ENTERED AT 13:47:21 ON 29 APR 2004
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L4 23 DUP REM L3 (0 DUPLICATES REMOVED)
L5 23 S L4
L6 6 S L4 AND OLIGONUCLEO?

09567863

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=> s l6 and phosphorothio?
         15676 PHOSPHOROTHIO?
L7           0 L6 AND PHOSPHOROTHIO?

=> s l5 and phosphorothio?
         15676 PHOSPHOROTHIO?
L8           1 L5 AND PHOSPHOROTHIO?
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10/058,740

09567863

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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   219.47     375.10

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                   -36.73     -36.73

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DICTIONARY FILE UPDATES:  27 APR 2004  HIGHEST RN 677274-15-6

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  Please note that search-term pricing does apply when
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Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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=>
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L9      STRUCTURE UPLOADED

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L9 HAS NO ANSWERS
L9              STR
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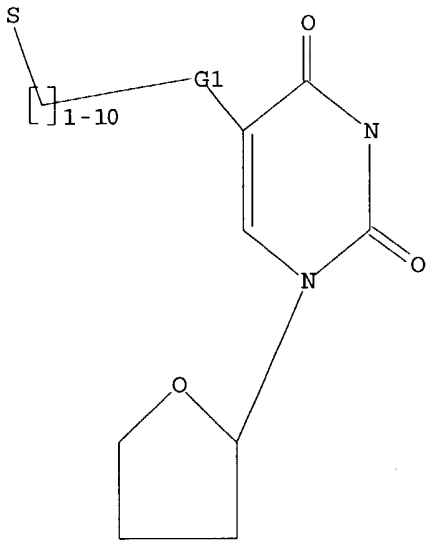
09567863



G1 O,N

Structure attributes must be viewed using STN Express query preparation.

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FULL SEARCH INITIATED 14:04:11 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 432 TO ITERATE

100.0% PROCESSED 432 ITERATIONS 7 ANSWERS
SEARCH TIME: 00.00.01

L10 7 SEA SSS FUL L9

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.42	530.52

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CA SUBSCRIBER PRICE	0.00	-36.73

FILE 'CAPLUS' ENTERED AT 14:04:17 ON 29 APR 2004
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FILE COVERS 1907 - 29 Apr 2004 VOL 140 ISS 18

09567863

FILE LAST UPDATED: 28 Apr 2004 (20040428/ED)

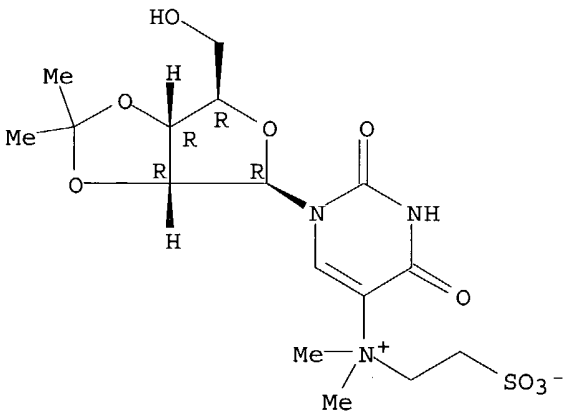
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l10
L11 5 L10

=> d l11 bib abs hitstr 1-5

L11 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:211709 CAPLUS
DN 126:251346
TI Synthesis of some aminonaphthalene and 5-substituted uracil nucleosides derivatives
AU Sayed Ahmed, A. F.; Milad, A.
CS Faculty of Science, Zagazig University, Zagazig, Egypt
SO Egyptian Journal of Pharmaceutical Sciences (1996), 37(1-6), 493-500
CODEN: EJPSBZ; ISSN: 0301-5068
PB National Information and Documentation Centre
DT Journal
LA English
AB Some aminonaphthalene nucleosides were prepared by the reaction of both of 1-amino, 1-aminomethyl, 1,5-diamino and 2,6-bis(aminomethyl)naphthalene derivs. with 2,3,5-tri-O-acetylribofuranosyl chloride. On the other hand, the reactions of 2',3'-O-isopropylidene-5-aminouridine and its 5-aminomethyl analog with gluconolactone and the reactions of 2',3'-O-isopropylidene-5-chloromethyluridine with sodium N-methyltaurinate have been described.
IT **182808-15-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of aminonaphthalene uracil nucleosides via coupling reaction)
RN 182808-15-7 CAPLUS
CN 5-Pyrimidinaminium, 1,2,3,4-tetrahydro-N,N-dimethyl-1-[2,3-O-(1-methylethylidene)-β-D-ribofuranosyl]-2,4-dioxo-N-(2-sulfoethyl)-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

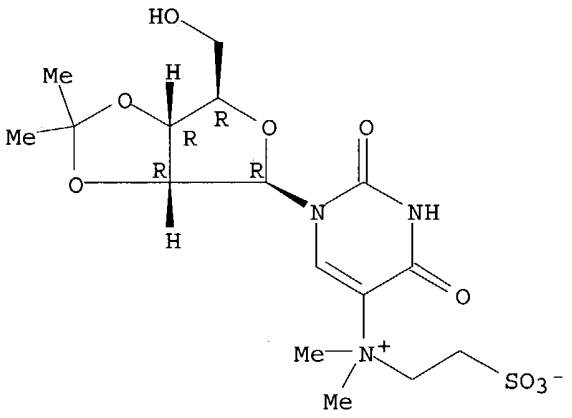


L11 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:613912 CAPLUS
DN 125:301481
TI Synthesis of some aminonaphthalene and 5-substituted uracil nucleosides

09567863

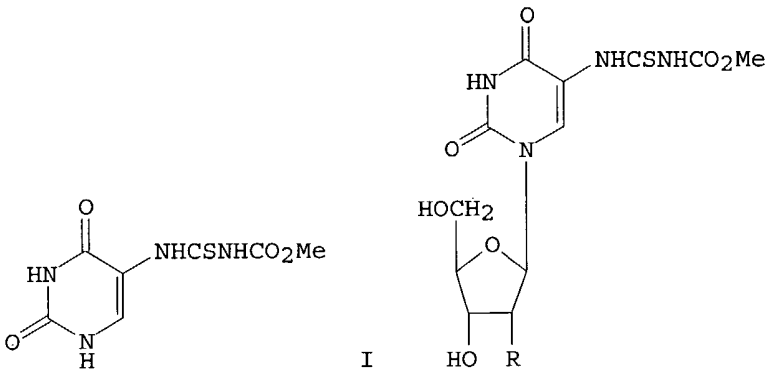
derivatives
AU Ahmed, A. F. Sayed; Milad, A.
CS Faculty Science, Zagazig University, Zagazig, Egypt
SO Chimica Acta Turcica (1996), 24(2), 101-104
CODEN: CATUA9; ISSN: 0379-5896
PB Istanbul Universitesi, Muhendislik Fakultesi Dekanligi, Kimya Muhendisligi Bolumu
DT Journal
LA English
AB Some amino naphthalene nucleosides were prepared by the reaction of both of 1-amino, 1-aminomethyl, 1,5-diamino and 2,6-bis (aminomethyl) naphthalene derivs. with 2, 3, 5-tri-O-acetyl ribofuranosyl chloride. On the other hand, the reactions of 2',3'-O-isopropylidene-5-aminouridine and its 5-aminomethyl analog with gluconolactone and the reactions of 2',3'-O-isopropylidene-5-chloromethyl uridine with sodium N-Me taurinate have been described.
IT **182808-15-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of aminonaphthalene nucleosides)
RN 182808-15-7 CAPLUS
CN 5-Pyrimidinaminium, 1,2,3,4-tetrahydro-N,N-dimethyl-1-[2,3-O-(1-methylethylidene)-β-D-ribofuranosyl]-2,4-dioxo-N-(2-sulfoethyl)-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1988:631459 CAPLUS
DN 109:231459
TI Synthesis of 5-substituted uracils, uridines and 2'-deoxyuridine analogs
AU Chern, Ji Wang; Wise, Dean S.; Butler, William; Townsend, Leroy B.
CS Coll. Pharm., Univ. Michigan, Ann Arbor, MI, 48109-1065, USA
SO Journal of Organic Chemistry (1988), 53(24), 5622-8
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
OS CASREACT 109:231459
GI

09567863



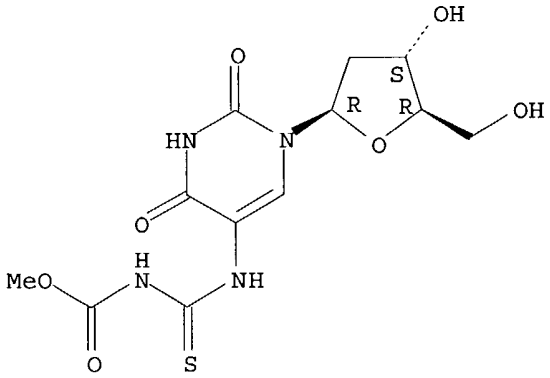
AB Reactions of 5-aminouracil, 5-aminouridine, and 2'-deoxy-5-aminouridine with methoxycarbonyl isothiocyanate afforded 5-[3-(methoxycarbonyl)thioureido]uracil (I), 5-[3-(methoxycarbonyl)thioureido]uridine (II, R = OH), and deoxyuridine (II, R = H) in near quant. yields. Treatment of I or II (R = OH) with 1 equivalent of MeI furnished 5-[3-(methoxycarbonyl)-S-methylpseudothioureido]uracil and 5-[3-(methoxycarbonyl)-S-methylpseudothioureido]uridine, resp. I reacted with alcs., amines, and ethanethiol in the presence of dicyclohexylcarbodiimide to afford several 5-[3-(methoxycarbonyl)-O-alkylpseudoureido]uracil, 5-[3-(methoxycarbonyl)guanidino]uracil, and 5-[3-(methoxycarbonyl)-S-ethylpseudothioureido]uracil, resp. Similar, reactions with II (R = OH) resulted in the formation of 5-[3-(methoxycarbonyl)-O-ethylpseudoureido]uridine, 5-[3-(methoxycarbonyl)ureido]uridine, and 5-[3-(methoxycarbonyl)-S-ethylpseudothioureido]uridine. The synthesis of 5-[3-(methoxycarbonyl)-S-ethylpseudothioureido]-2'-deoxyuridine was also carried out. 1H- and 13C-NMR spectra of these compds. and the X-ray crystallog. of pseudoureidouracil derivative and pseudothioureidouracil derivative are discussed.

IT **116910-05-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with ethanethiol)

RN 116910-05-5 CAPLUS

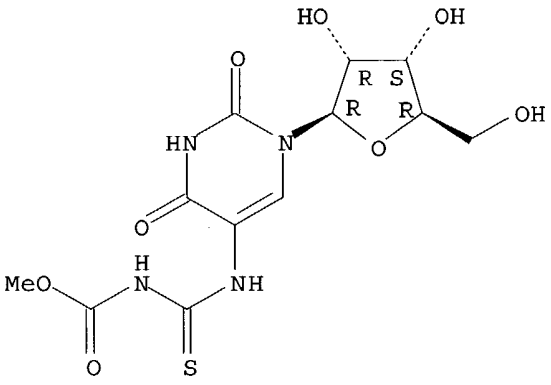
CN Carbamic acid, [[[1-(2-deoxy-β-D-erythro-pentofuranosyl)-1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl]amino]thioxomethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



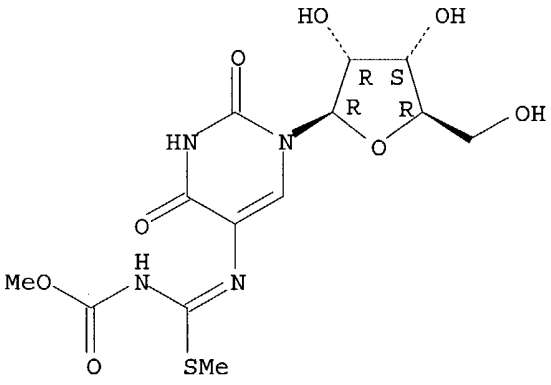
IT **116888-42-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reactions of)
RN 116888-42-7 CAPLUS
CN Carbamic acid, [[(1,2,3,4-tetrahydro-2,4-dioxo-1-β-D-ribofuranosyl-5-pyrimidinyl)amino]thioxomethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **116888-43-8P 116888-46-1P 116910-06-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 116888-43-8 CAPLUS
CN Carbamic acid, [(methylthio)[(1,2,3,4-tetrahydro-2,4-dioxo-1-β-D-ribofuranosyl-5-pyrimidinyl)amino]methylene]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 116888-46-1 CAPLUS
CN Carbamic acid, [(ethylthio)[(1,2,3,4-tetrahydro-2,4-dioxo-1-β-D-ribofuranosyl-5-pyrimidinyl)amino]methylene]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

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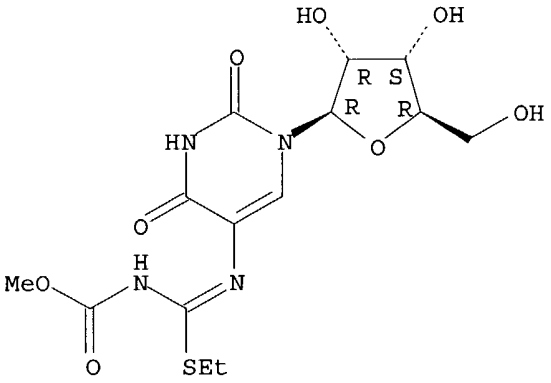
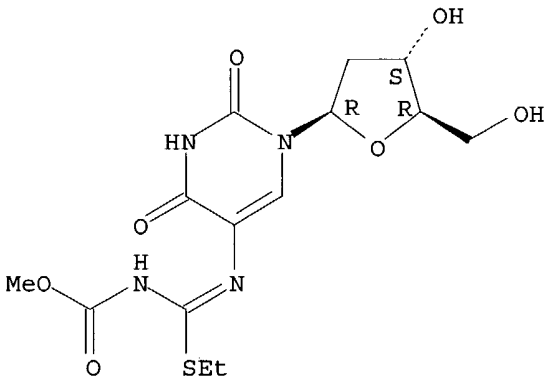


RN 116910-06-6 CAPLUS
CN Carbamic acid, [[[1-(2-deoxy-β-D-erythro-pentofuranosyl)-1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl]amino](ethylthio)methylene]-, methyl ester (9CI) (CA INDEX NAME)

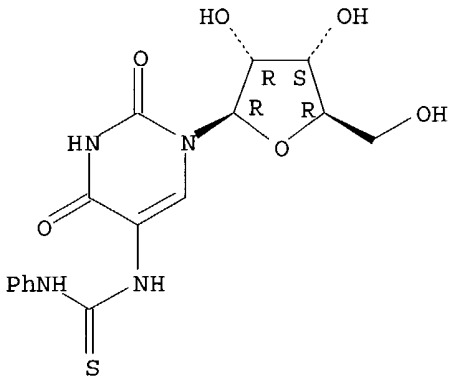
Absolute stereochemistry.
Double bond geometry unknown.



L11 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1975:453192 CAPLUS
DN 83:53192
TI Antitumor activity of derivatives of 5-aminouridine
AU Hoshi, Akio; Ohhira, Yasuko; Kuretani, Kazuo
CS Pharmacol. Div., Natl. Cancer Cent. Res., Tokyo, Japan
SO Oyo Yakuri (1974), 8(9), 1315-17
CODEN: OYYAA2; ISSN: 0300-8533
DT Journal
LA Japanese
GI For diagram(s), see printed CA Issue.
AB The 15 derivs. of 5-aminouridine (I) [2149-76-0] tested were either inactive or weakly active against L1210 leukemia in mice.
IT **40089-61-0**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(neoplasm inhibiting activity of)
RN 40089-61-0 CAPLUS
CN Uridine, 5-[[(phenylamino)thioxomethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09567863

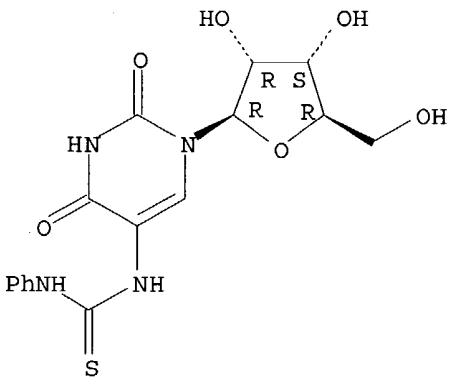


L11 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1973:72527 CAPLUS
DN 78:72527
TI Carbamoylaminouridines
IN Awaya, Akira; Ueno, Kisaburo; Tsukushiro, Mitsuji
PA Mitsui Toatsu Chemicals Co., Ltd.
SO Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 48000581	B4	19730106	JP 1971-36317	19710528

AB 5-Aminouridine in AcOH-H2O was heated 1 hr at 80-90° with KNCO and let stand overnight to give 5-carbamoylaminouridine. Similarly prepared were 5-methylcarbamoylaminouridine, 5-phenylcarbamoylaminouridine, 5-phenylthiocarbamoylaminouridine, and 5-(p-nitrophenylcarbamoyl)aminourid ine. The products were antibacterials.
IT **40089-61-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 40089-61-0 CAPLUS
CN Uridine, 5-[[(phenylamino)thioxomethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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=>

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                                                           ENTRY    SESSION
FULL ESTIMATED COST                                        13.13     168.76

FILE 'REGISTRY' ENTERED AT 15:44:23 ON 29 APR 2004
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provided by InfoChem.

STRUCTURE FILE UPDATES:   27 APR 2004  HIGHEST RN 677274-15-6
DICTIONARY FILE UPDATES:  27 APR 2004  HIGHEST RN 677274-15-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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=>
Uploading C:\Program Files\Stnexp\Queries\100587401-.str

L6      STRUCTURE UPLOADED

=> d l6
L6 HAS NO ANSWERS
L6                STR
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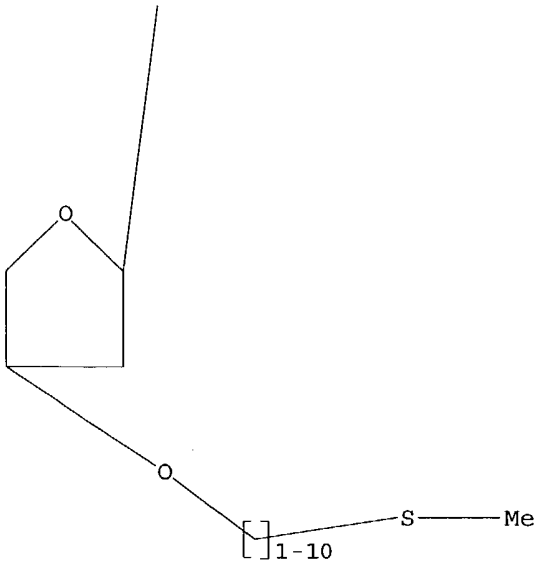

09567863

Structure attributes must be viewed using STN Express query preparation.

=> s l6 full
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FULL SCREEN SEARCH COMPLETED - 12143 TO ITERATE

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SEARCH TIME: 00.00.01

L7 191 SEA SSS FUL L6

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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 29 Apr 2004 VOL 140 ISS 18
FILE LAST UPDATED: 28 Apr 2004 (20040428/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l7
L8 92 L7

=> s l8 and oligo?
265215 OLIGO?
L9 25 L8 AND OLIGO?

=> s l9 and phosphorothio?
15676 PHOSPHOROTHIO?
L10 0 L9 AND PHOSPHOROTHIO?

=> d l9 bib abs hitstr 1-25

L9 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:570996 CAPLUS
DN 139:101374
TI Methods and compositions for aminoacyl-tRNA synthesis
IN Zhang, Biliang; Cui, Zhiyong
PA University of Massachusetts, USA
SO PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DT Patent

09567863

LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003059926	A2	20030724	WO 2002-US41064	20021220
	WO 2003059926	A3	20030828		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

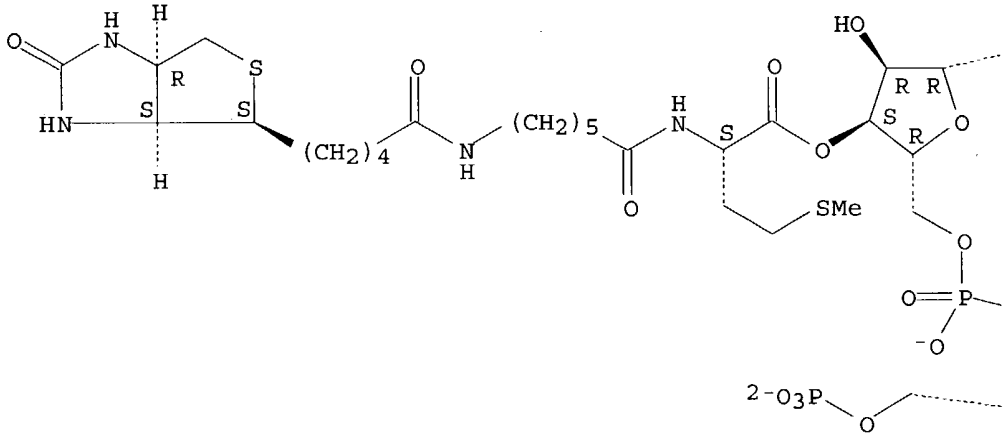
PRAI US 2001-343353P P 20011221
OS CASREACT 139:101374
AB Methods and compns. are disclosed for the high yield chemical synthesis of 2'(3')-O-aminoacylated **oligonucleotides**, 2'(3')-O-aminoacylated pCpA derivs. and 2'(3')-aminoacyl-tRNA's. The present invention discloses the use of tetrahydrofuranyl group (THF) as a stable protecting group in the production of aminoacyl-**oligonucleotides**. THF can also be used in conjunction with a removable protecting group, such as dimethoxytrityl group (DMTr). The mild conditions employed for the removal of the THF group are compatible with the integrity of the aminoacyl linkage as well as tRNA, which makes it possible to utilize the methods of the present invention to form aminoacyl-tRNA's. The present invention discloses an efficient route for synthesizing 2'(3')-aminoacyl-pCpA, which can be used to load any natural amino acid, unnatural amino acid, labeled amino acid, reporter group or derivative thereof onto a tRNA mol. through an aminoacyl linkage.
IT **557790-25-7D**, tRNA derivs.
RL: BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)
(methods and compns. for aminoacyl-tRNA synthesis which can be used to load a amino acid onto tRNA using THF as a stable protecting group)
RN 557790-25-7 CAPLUS
CN L-Methionine, N-[6-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]-1-oxohexyl]-, 3'-ester with 5'-O-phosphono-2'-O-(tetrahydro-2-furanyl)cytidylyl-(3'→5')-adenosine, ion(3-), tris(N,N,N-tributyl-1-butanaminium) (9CI) (CA INDEX NAME)

CM 1

CRN 557790-24-6
CMF C44 H63 N12 O19 P2 S2

Absolute stereochemistry.

PAGE 1-A



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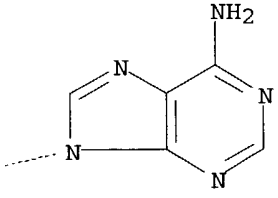
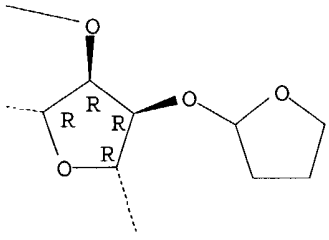
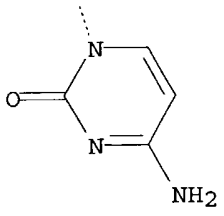
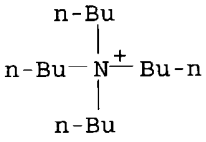






CM 2

CRN 10549-76-5
CMF C16 H36 N



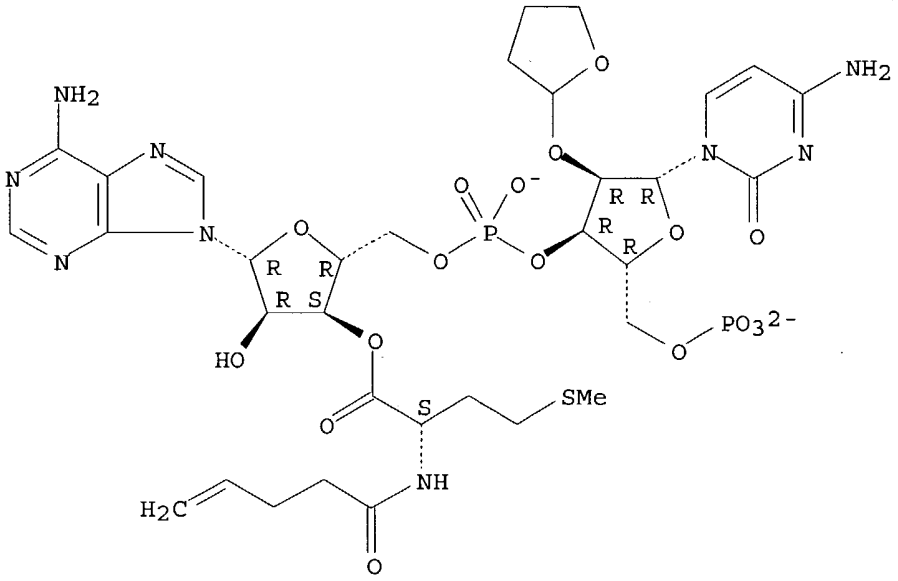
IT **557790-10-0P 557790-15-5P 557790-25-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(methods and compns. for aminoacyl-tRNA synthesis which can be used to load a amino acid onto tRNA using THF as a stable protecting group)

RN 557790-10-0 CAPLUS
CN L-Methionine, N-(1-oxo-4-pentenyl)-, 3'-ester with 5'-O-phosphono-2'-O-(tetrahydro-2-furanyl)cytidylyl-(3'→5')-adenosine, ion(3-), tris(N,N,N-tributyl-1-butanaminium) (9CI) (CA INDEX NAME)

CM 1

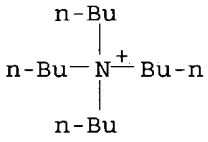
CRN 557790-09-7
CMF C33 H44 N9 O17 P2 S

Absolute stereochemistry.



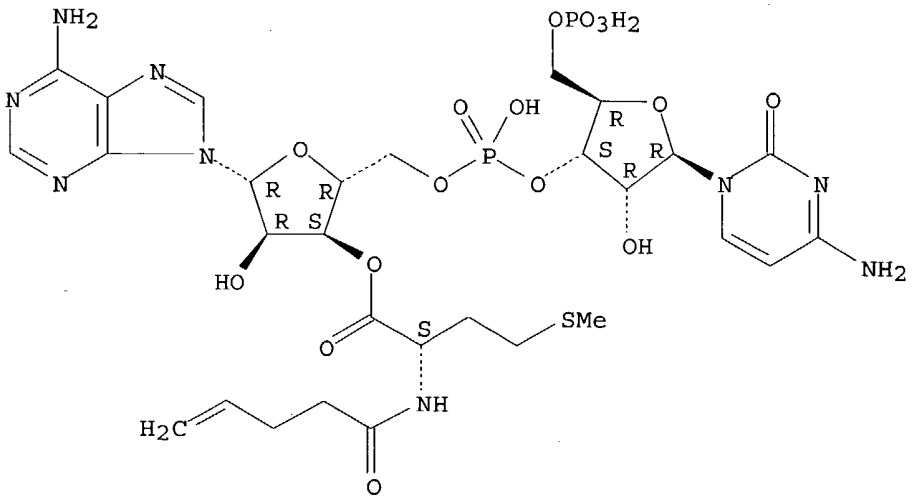
CM 2

CRN 10549-76-5
CMF C16 H36 N



RN 557790-15-5 CAPLUS
CN L-Methionine, N-(1-oxo-4-pentenyl)-, 3'-ester with 5'-O-phosphonocytidylyl-(3'→5')-adenosine (9CI) (CA INDEX NAME)

Absolute stereochemistry.



09567863

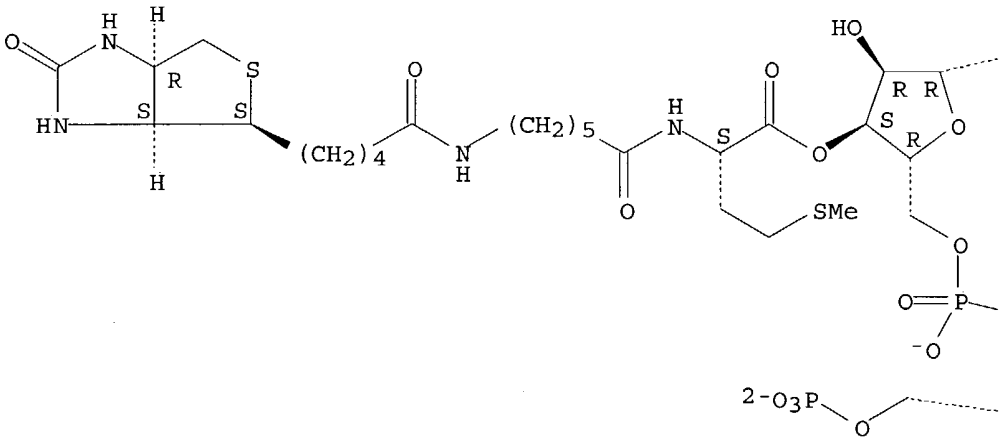
RN 557790-25-7 CAPLUS
CN L-Methionine, N-[6-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]-1-oxohexyl]-, 3'-ester with 5'-O-phosphono-2'-O-(tetrahydro-2-furanyl)cytidylyl-(3'→5')-adenosine, ion(3-), tris(N,N,N-tributyl-1-butanaminium) (9CI) (CA INDEX NAME)

CM 1

CRN 557790-24-6
CMF C44 H63 N12 O19 P2 S2

Absolute stereochemistry.

PAGE 1-A



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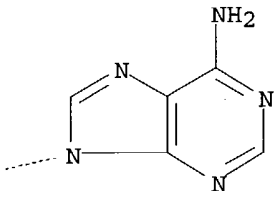

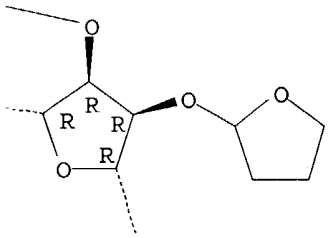

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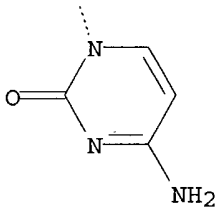
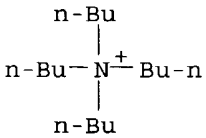


CM 2

CRN 10549-76-5
CMF C16 H36 N

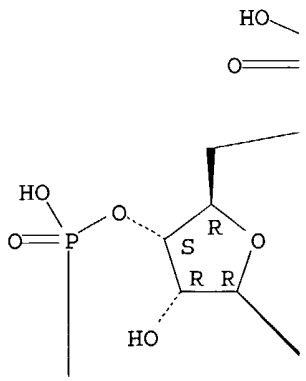


L9 ANSWER 2 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:418740 CAPLUS
DN 137:151639
TI Minihelix-loop RNAs: Minimal structures for aminoacylation catalysts
AU Ramaswamy, Krishna; Wei, Kenneth; Suga, Hiroaki
CS Department of Biological Sciences, University at Buffalo, State University of New York, Buffalo, NY, 14260-3000, USA

09567863

SO Nucleic Acids Research (2002), 30(10), 2162-2171
CODEN: NARHAD; ISSN: 0305-1048
PB Oxford University Press
DT Journal
LA English
AB We report here an in vitro selected ribozyme, KL17, which is active in charging amino acids on its own 5'-OH group. The ribozyme consists of two catalytic domains, one of which (consisting of P5/P6/L6) recognizes amino acid substrates based on the steric environment of the side chain, whereas the other recognizes an aminoacylated **oligonucleotide**. The secondary structure of this ambidextrous ribozyme arranges into a pseudoknot, where L6 docks onto the 3'-terminal single-stranded region. The formation of this pseudoknot structure brings the P6 region, in which the essential catalytic core is most likely embedded, into the proximity of the 5'-OH group. Our studies show that the P6-L6 domain can be separated from the main body of KL17 and the derived P6-L6 minihelix-loop RNA can act as a transaminoacylation catalyst. In this report, we also compare this ribozyme with an analogous aminoacylation system previously characterized in our laboratory and illuminate the similarities and differences between these catalytic systems.
IT **211188-16-8**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(minihelix-loop RNAs and minimal structures for aminoacylation catalysts)
RN 211188-16-8 CAPLUS
CN L-Methionine, N-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]-, 3'-ester with cytidylyl-(3'→5')-adenylyl-(3'→5')-adenylyl-(3'→5')-cytidylyl-(3'→5')-cytidylyl-(3'→5')-adenosine (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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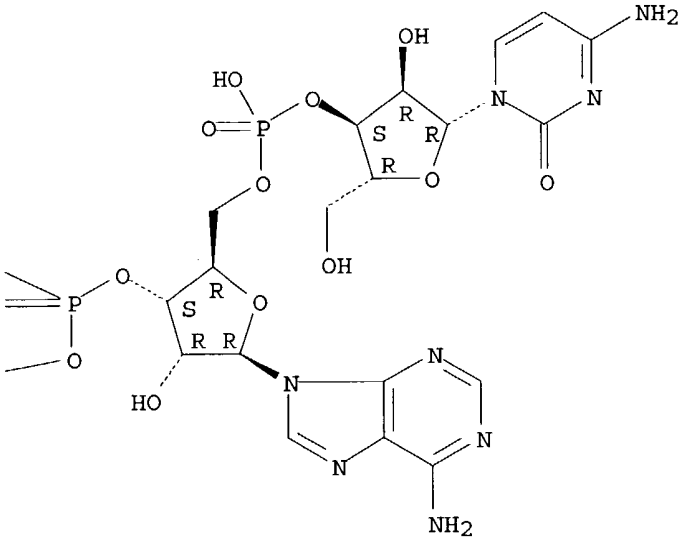

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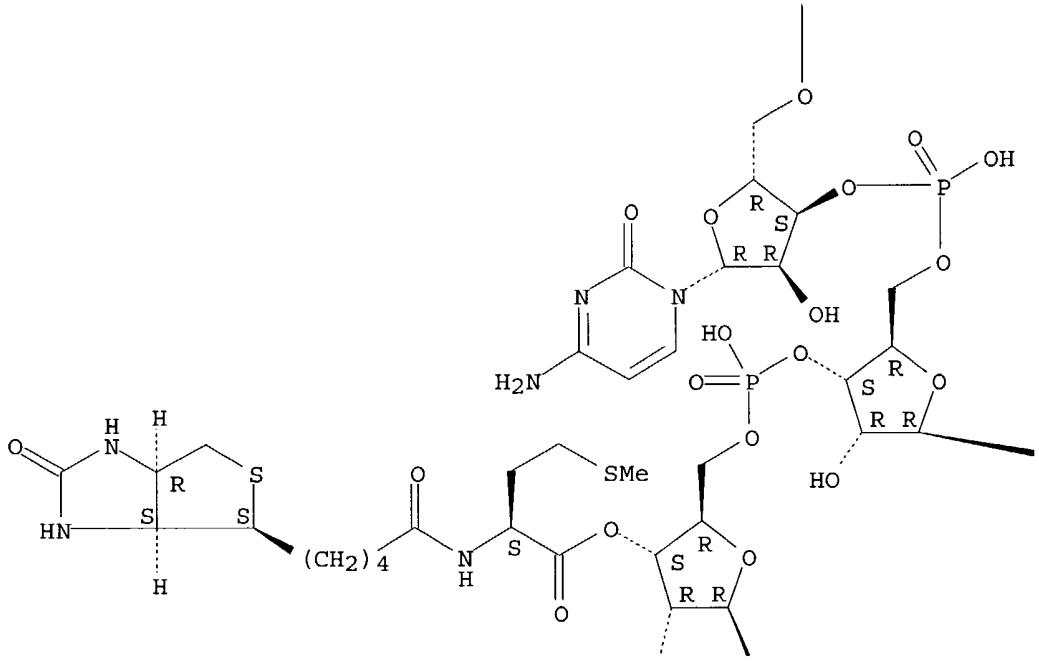

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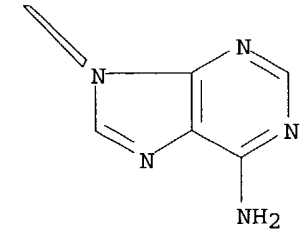
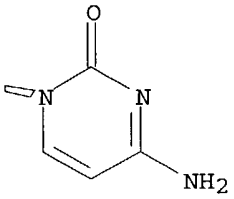
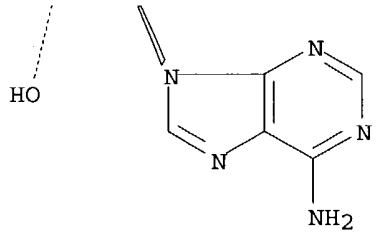




PAGE 3-A



RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:265430 CAPLUS
DN 134:266522
TI Preparation of nucleosides as synthons of **oligodeoxyribonucleotides****
*** using hydroxyl protecting groups**
IN Kwiatkowski, Marek
PA Quiatech AB, Swed.
SO PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

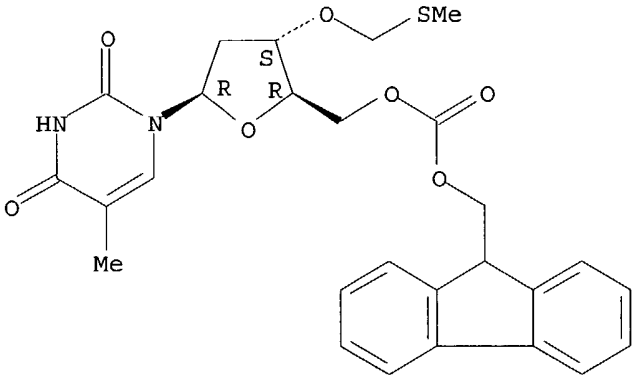
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001025247	A1	20010412	WO 2000-SE1929	20001005

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,

CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
US 6309836 B1 20011030 US 1999-412171 19991005
EP 1218391 A1 20020703 EP 2000-970403 20001005
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL
JP 2003511386 T2 20030325 JP 2001-528191 20001005
US 2002015961 A1 20020207 US 2001-952719 20010912
US 6639088 B2 20031028
PRAI US 1999-412171 A 19991005
WO 2000-SE1929 W 20001005
OS MARPAT 134:266522
AB **A hydrocarbyldithiomethyl-modified compd. of the Formula R1-O--CH2-S-S-R2, or a salt thereof wherein R1 is an org. mol. and R2 is a hydrocarbyl, is useful for protecting and/or blocking hydroxyl groups in org. mols. such as nucleotides. The hydrocarbyldithiomethyl-modified compds. can also be used for chem. synthesizing ***oligonucleotides** and for sequencing nucleic acid compds. Thus, 5'-O-FMOC-3'-O-(4-methylphenylthiosulfonatemethyl)thymidine was prepd. as synthons of **oligodeoxyribonucleotides**.
IT **331823-75-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of nucleosides as synthons of **oligodeoxyribonucleotides** using hydroxyl protecting groups)
RN 331823-75-7 CAPLUS
CN Thymidine, 3'-O-[(methylthio)methyl]-, 5'-(9H-fluoren-9-ylmethyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:580575 CAPLUS
DN 131:299639
TI A versatile approach to the synthesis of **oligonucleotide** analogs containing neutral 5'-thioformacetal internucleoside linkages
AU Ducharme, Yves; Harrison, Kimberly A.
CS Merck Frosst Centre for Therapeutic Research, Pointe Claire-Dorval, QC, H9R 4P8, Can.
SO Canadian Journal of Chemistry (1999), 77(8), 1410-1418
CODEN: CJCHAG; ISSN: 0008-4042
PB National Research Council of Canada
DT Journal
LA English

09567863

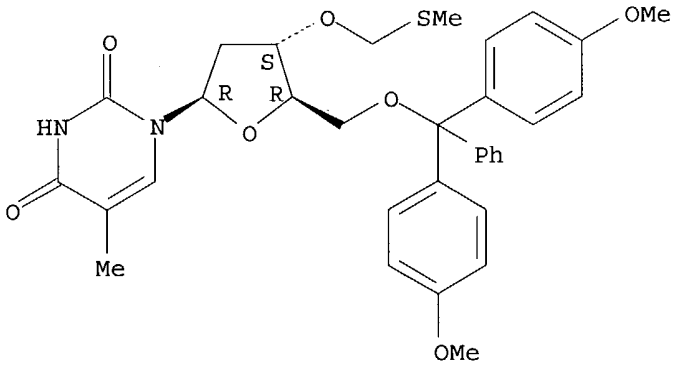
AB Activation of nucleoside donors by sulfuryl chloride followed by the addition of 5'-thionucleoside acceptors yields 5'-thioformacetal dinucleotide analogs with in situ trapping of liberated methanesulfenyl chloride with cyclohexene. Purine as well as pyrimidine derivs. can be part of a coupling reaction as nucleoside donors or acceptors. The dimethoxytrityl protecting group is compatible with the present coupling methodol. allowing differential 3',5'-end protection. The synthesis of longer **oligonucleotides** is also possible as shown by the preparation of a trinucleotide analog.

IT **129185-32-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(a versatile approach to the synthesis of **oligonucleotide** analogs containing neutral thioformacetal internucleoside linkages)

RN 129185-32-6 CAPLUS

CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-O-[(methylthio)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

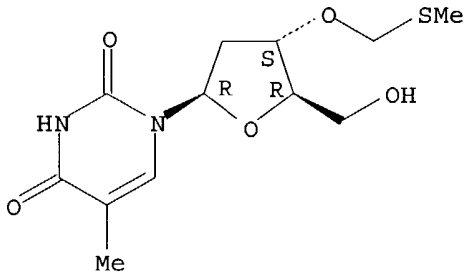


IT **133510-56-2P 172161-49-8P 172161-50-1P**
174523-24-1P 174523-25-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(a versatile approach to the synthesis of **oligonucleotide** analogs containing neutral thioformacetal internucleoside linkages)

RN 133510-56-2 CAPLUS

CN Thymidine, 3'-O-[(methylthio)methyl]- (9CI) (CA INDEX NAME)

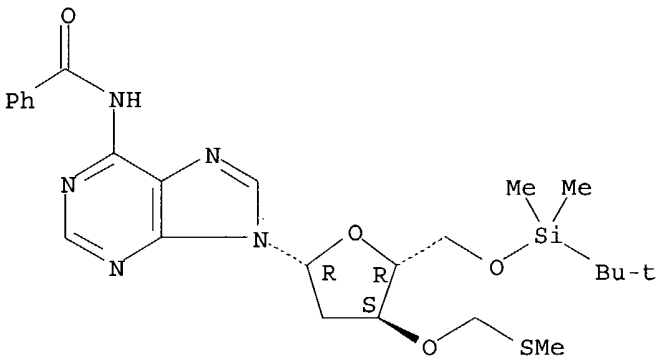
Absolute stereochemistry.



RN 172161-49-8 CAPLUS

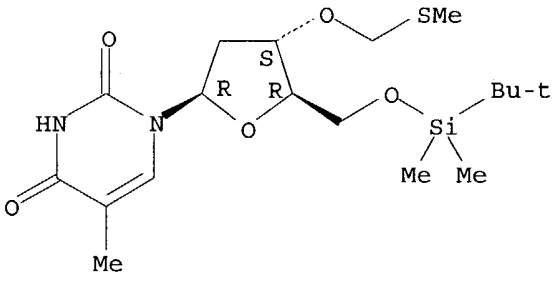
CN Adenosine, N-benzoyl-2'-deoxy-5'-O-[(1,1-dimethylethyl)dimethylsilyl]-3'-O-[(methylthio)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



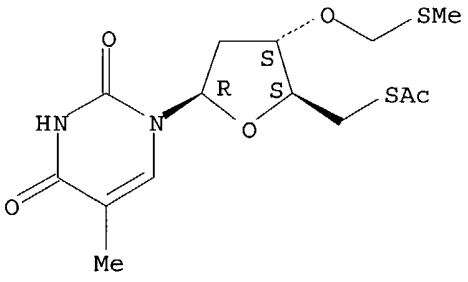
RN 172161-50-1 CAPLUS
CN Thymidine, 5'-O-[(1,1-dimethylethyl)dimethylsilyl]-3'-O-[(methylthio)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 174523-24-1 CAPLUS
CN Thymidine, 3'-O-[(methylthio)methyl]-5'-thio-, 5'-acetate (9CI) (CA INDEX NAME)

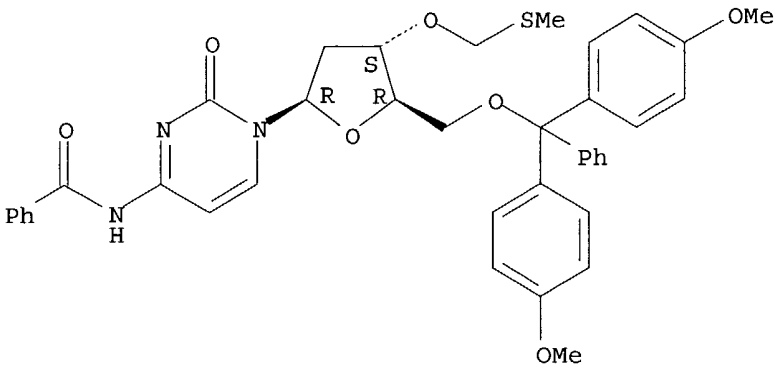
Absolute stereochemistry.



RN 174523-25-2 CAPLUS
CN Cytidine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-3'-O-[(methylthio)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09567863



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:286076 CAPLUS
DN 130:293283
TI RNAs catalyzing the formation of peptide bonds and their identification by in vitro selection of conjugates
IN Cech, Thomas R.; Zhang, Biliang
PA Ribozyme Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9920753	A2	19990429	WO 1998-US21401	19981009
	WO 9920753	A3	19990819		
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9911870	A1	19990510	AU 1999-11870	19981009
PRAI	US 1997-62908P	P	19971021		
	US 1997-68323P	P	19971219		
	WO 1998-US21401	W	19981009		

AB Catalytic RNAs that are distinct from previously known ribozymes and that can catalyze the formation of amide (peptide) bonds are identified. The RNAs were selected using a reaction scheme similar to the A-site/P-site of ribosomes and an analog of f-Met-tRNA to create the peptide. The place of the aminoacyl-tRNA in the A site is taken by an RNA with the amino acid attached to the 5'-end via a disulfide bond and the place of the f-Met-tRNA or peptidyl tRNA is taken by a conjugate of 3'-methionyl AMP with a biotin affinity label. Formation of the peptide bond liberates AMP and creates a conjugate of the catalytic RNA with a biotinylated amino acid allowing it to be recovered from a random **oligonucleotide** mixture by affinity chromatog. against streptavidin. The RNA can be liberated by treatment with a thiol reagent and converted to cDNA for further rounds of enrichment. Four such ribozymes were obtained after 19 rounds of selection and enrichment. The reaction showed typical Michaelis-Menten kinetics, required the 5'- and 3'-termini of the RNA and magnesium for catalytic activity. Catalytic activity was also dependent

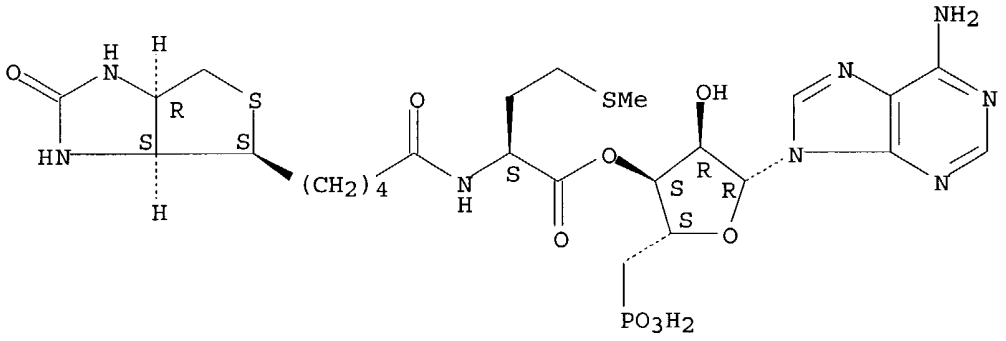
on the length of the linker as activity was abolished when the group CH2.CO.NHCH2CH2SSCH2CH2NH was replaced by SCH2CH2NH. The effect may be due to interaction of the linker with the RNA as free linker inhibited activity but not by the free amino acid (phenylalanine).

IT **223263-41-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(substrate for peptide bond formation; RNAs catalyzing formation of peptide bonds and their development using SELEX)

RN 223263-41-0 CAPLUS

CN L-Methionine, N-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]-, 3'-ester with 5'-adenylic acid (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 6 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1998:700363 CAPLUS

DN 130:62783

TI Peptidyl-transferase ribozymes: trans reactions, structural characterization and ribosomal RNA-like features

AU Zhang, Biliang; Cech, Thomas R.

CS Howard Hughes Medical Institute, Department of Chemistry and Biochemistry, University of Colorado, Boulder, CO, 80309-0215, USA

SO Chemistry & Biology (1998), 5(10), 539-553
CODEN: CBOLE2; ISSN: 1074-5521

PB Current Biology Publications

DT Journal

LA English

AB One of the most significant questions in understanding the origin of life concerns the order of appearance of DNA, RNA and protein during early biol. evolution. If an "RNA world" was a precursor to extant life, RNA must be able not only to catalyze RNA replication but also to direct peptide synthesis. Iterative RNA selection previously identified catalytic RNAs (ribozymes) that form amide bonds between RNA and an amino acid or between two amino acids. We characterized peptidyl-transferase reactions catalyzed by two different families of ribozymes that use substrates that mimic A site and P site tRNAs. The family II ribozyme secondary structure was modeled using chemical modification, enzymic digestion and mutational anal. Two regions resemble the peptidyl-transferase region of 23S rRNA in sequence and structural context; these regions are important for peptide-bond formation. A shortened form of this ribozyme was engineered to catalyze intermol. ("trans") peptide-bond formation, with the two amino-acid substrates binding through an attached AMP or **oligonucleotide** moiety. An in vitro-selected ribozyme can catalyze the same type of peptide-bond formation as a ribosome; the ribozyme resembles the ribosome because a very specific RNA structure is required for substrate binding and

catalysis, and both amino acids are attached to nucleotides. It is intriguing that, although there are many different possible peptidyl-transferase ribozymes, the sequence and secondary structure of one is strikingly similar to the "helical wheel" portion of 23S rRNA implicated in ribosomal peptidyl-transferase activity.

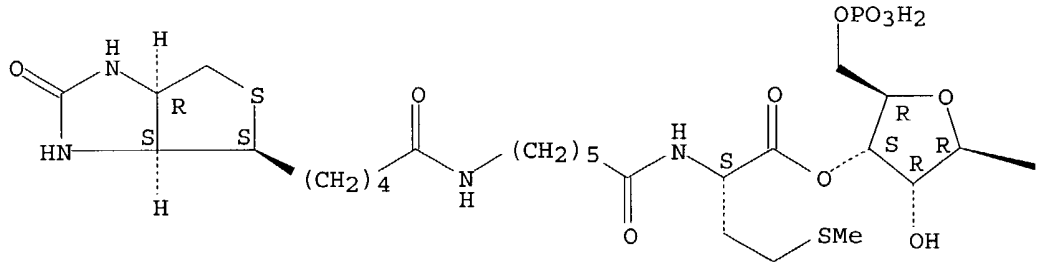
IT **200887-11-2P**
RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(trans reactions, structural characterization and rRNA-like features of peptidyl-transferase ribozymes)

RN 200887-11-2 CAPLUS

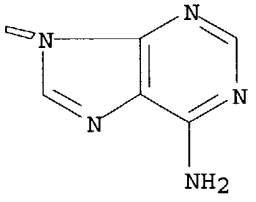
CN L-Methionine, N-[6-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]-1-oxohexyl]-, 3'-ester with 5'-adenylic acid (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



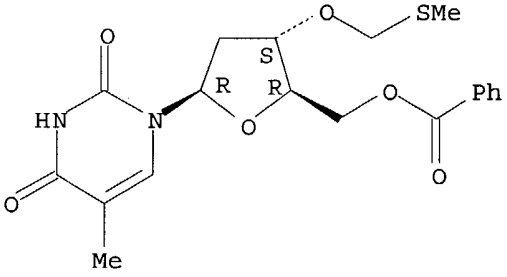
RE.CNT 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:632445 CAPLUS
DN 129:343674
TI In Vitro and in Vivo Activities of **Oligodeoxynucleotide**-Based Thrombin Inhibitors Containing Neutral Formacetal Linkages
AU He, Gong-Xin; Williams, John P.; Postich, Michael J.; Swaminathan, S.; Shea, Regan G.; Terhorst, Terry; Law, Veronica S.; Mao, Cheri T.; Sueoka, Cathy; Coutre, Steven; Bischofberger, Norbert
CS Gilead Sciences, Foster City, CA, 94404, USA
SO Journal of Medicinal Chemistry (1998), 41(22), 4224-4231
CODEN: JMCMAR; ISSN: 0022-2623

09567863

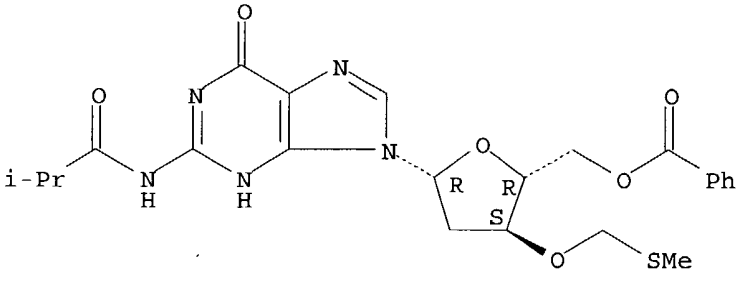
PB American Chemical Society
DT Journal
LA English
AB A series of 15-mer **oligodeoxynucleotide** analogs were synthesized, and their thrombin inhibitory activities in vitro and in vivo were evaluated. These **oligodeoxynucleotide** analogs share the same sequence (GGTTGGTGTGGTTGG) but have one or more phosphodiester linkages replaced by a neutral formacetal group. The results obtained from mono-substitutions show that no single phosphodiester group is critical for the thrombin inhibitory activity, suggesting that the interaction between the **oligodeoxyribonucleotide** and thrombin is based on a multiple-site charge-charge interaction. Anal. of the effects of different phosphodiester replacements indicates that the backside and left side of the chair-like structure formed by the mol. may be involved in binding with thrombin, presumably by having direct contacts with the anion-binding exo-site of the enzyme. For the **oligodeoxynucleotides** containing two noncontiguous formacetal groups, the effect of the disubstitution is the sum of the effects obtained from the corresponding two monosubstitutions. Infusion of an **oligodeoxynucleotide** containing four formacetal groups into monkeys showed an increased in vivo anticoagulant effect and an extended in vivo half-life compared to the unmodified **oligodeoxynucleotide**.
IT **139432-97-6 139433-04-8 215248-69-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn and in vitro and in vivo activities of **oligodeoxyribonucleotide**-based thrombin inhibitors containing neutral formacetal linkages)
RN 139432-97-6 CAPLUS
CN Thymidine, 3'-O-[(methylthio)methyl]-, 5'-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 139433-04-8 CAPLUS
CN Guanosine, 2'-deoxy-N-(2-methyl-1-oxopropyl)-3'-O-[(methylthio)methyl]-, 5'-benzoate (9CI) (CA INDEX NAME)

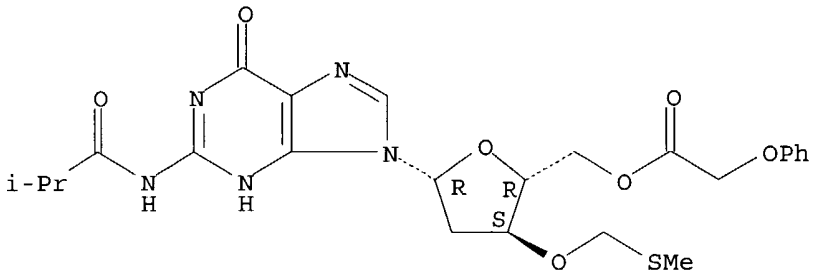
Absolute stereochemistry.



09567863

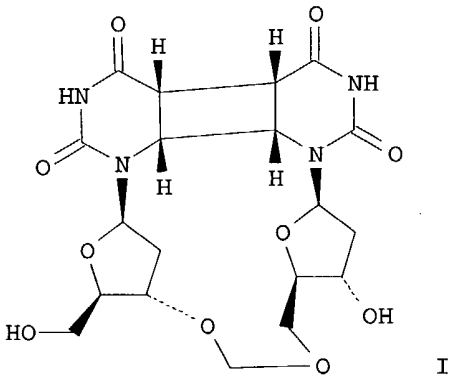
RN 215248-69-4 CAPLUS
CN Guanosine, 2'-deoxy-N-(2-methyl-1-oxopropyl)-3'-O-[(methylthio)methyl]-, 5'-(phenoxyacetate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:308707 CAPLUS
DN 129:67968
TI Synthesis, crystal structure, and enzymic evaluation of a DNA-photolesion isostere
AU Butenandt, Jens; Eker, Andre P. M.; Carell, Thomas
CS Lab. Organische Chem., Eidenossiche Technische Hochschule, Zurich, CH-8092, Switz.
SO Chemistry--A European Journal (1998), 4(4), 642-654
CODEN: CEUJED; ISSN: 0947-6539
PB Wiley-VCH Verlag GmbH
DT Journal
LA English
GI



I

AB Nucleotide analogs are useful tools for the investigation of interactions between DNA-binding proteins and DNA at a mol. level. Herein we describe the synthesis of the DNA-lesion analog 2, which is required to determine the extent to which specific phosphodiesters in the DNA backbone contribute to the recognition of cyclobutane pyrimidine dimer DNA lesion by the dimer-specific repair enzymes DNA photolyases or T4-endonuclease V. The analog 2 is a close structural mimic of cyclobutane pyrimidine dimers, which are the major lesions induced upon irradiation of cells with UV light.

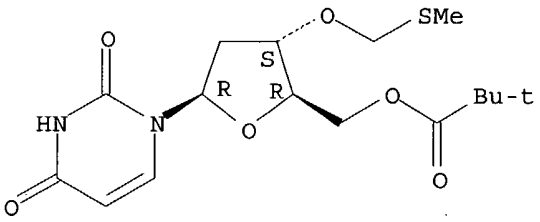
The lesion analog 2 is synthetically available in large quantities, which allowed us to established a new, fast and sensitive DNA photolyase assay. A precise X-ray crystal structure anal. of the DNA-lesion analog 2 is also presented. The structure underlines the isosteric character of 2 and reveals, in combination with the only other available X-ray crystal structure determined from a thymine-dimer triester analog, interesting structural features of cyclobutane pyrimidine dimer lesions. We describe the incorporation of the lesion analog I into **oligodeoxyribonucleotides** by using standard phosphoramidite chemical Initial enzymic repair studies are reported with three different types of DNA photolyases. These studies show that the lesion analog I is rapidly repaired by photolyases from Anacystis nidulans, Neurospora crassa and from the marsupial Potorous tridactylis. The enzymic investigations indicate that all photolyases, including enzymes from higher organisms (P. tridactylis) accept the form-acetal dimer as a lesion substrate and therefore could possess a similar DNA-lesion recognition process, in which the interaction with the central phosphate unit is only of limited importance.

IT **208330-03-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis, crystal structure, and enzymic evaluation of a DNA-photolesion isostere)

RN 208330-03-4 CAPLUS

CN Uridine, 2'-deoxy-3'-O-[(methylthio)methyl]-, 5'-(2,2-dimethylpropanoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 105 THERE ARE 105 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1998:102889 CAPLUS

DN 128:180635

TI Preparation of lipophilic **oligodeoxyribonucleotide** analogs and their passive diffusion across cell membranes

IN Bischofberger, Norbert W.; Kent, Kenneth M.; Wagner, Richard W.; Buhr, Chris A.; Lin, Kuei-Ying

PA Gilead Sciences, Inc., USA

SO PCT Int. Appl., 54 pp.
CODEN: PIXXD2

DT Patent

LA English

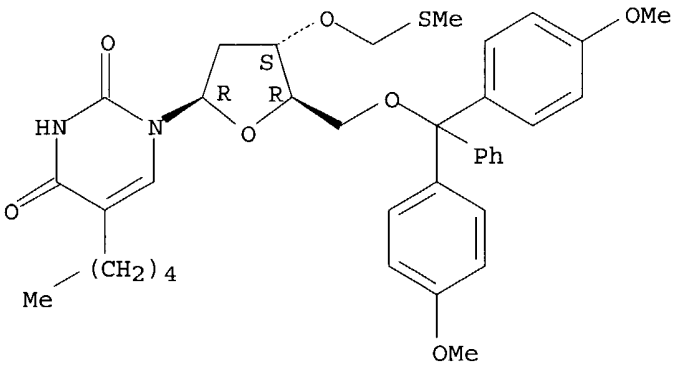
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9804575	A2	19980205	WO 1996-US12530	19960731
	W: CA				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 923596	A2	19990623	EP 1996-926831	19960731
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

09567863

IE, FI
PRAI WO 1996-US12530 19960731
OS MARPAT 128:180635
AB The invention discloses lipophilic **oligonucleotide** analogs that are capable of efficient passive diffusion across cell membranes. These **oligodeoxyribonucleotides** contain at least two nucleotide residues and have an octanol/water partition coefficient of about -0.3 to + 2.5 and a solubility in water of at least 0.001 μg/mL. Invention embodiments which include lipophilic **oligonucleotide** analogs having either at least 60 % of the internucleotide linkages are lipophilic, or at least 60 % of the bases contain lipophilic substitutions, or at least 60 % of the sugars contain lipophilic substitutions, or a combination of these sums to 60 %. These **oligonucleotides** may be conjugated to a label and used to visualize cells or subcellular compartments.
IT **191216-38-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of lipophilic **oligodeoxyribonucleotide** analogs and their passive diffusion across cell membranes)
RN 191216-38-3 CAPLUS
CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-3'-O-[(methylthio)methyl]-5-pentyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 10 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:69390 CAPLUS
DN 128:254488
TI Structural and Kinetic Characterization of an Acyl Transferase Ribozyme
AU Suga, Hiroaki; Lohse, Peter A.; Szostak, Jack W.
CS Department of Molecular Biology, Massachusetts General Hospital, Boston, MA, 02114, USA
SO Journal of the American Chemical Society (1998), 120(6), 1151-1156
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
AB The authors have previously isolated, by in vitro selection, an acyl-transferase ribozyme that is capable of transferring a biotinylated methionyl group from the 3' end of a hexanucleotide substrate to its own 5'-hydroxyl. Comparison of the sequences of a family of evolved derivs. of this ribozyme allowed us to generate a model of the secondary structure of the ribozyme. The predicted secondary structure was extensively tested and confirmed by single-mutant and compensatory double-mutant analyses. The role of the template domain in aligning the acyl-donor **oligonucleotide** and acyl-acceptor region of the ribozyme was

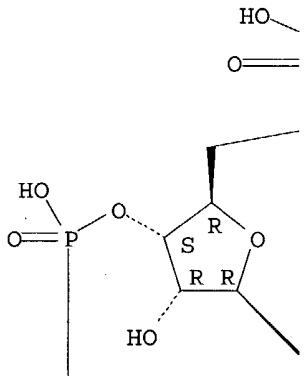
confirmed in a similar manner. The significance of different domains of the ribozyme structure and the importance of two tandem G:U wobble base pairs in the template domain was studied by kinetic characterization of mutant ribozymes. The wobble base pairs contribute to the catalytic rate enhancement, but only in the context of the complete ribozyme; the ribozyme in turn alters the metal binding properties of this site. Competitive inhibition expts. with unacylated substrate **oligonucleotide** are consistent with the ribozyme acting to stabilize substrate binding to the template, while neg. interactions with the aminoacyl portion of the substrate destabilize binding.

IT **204651-95-6P**
RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(acyl-group donor substrate; structural and kinetic characterization of an acyl transferase ribozyme)

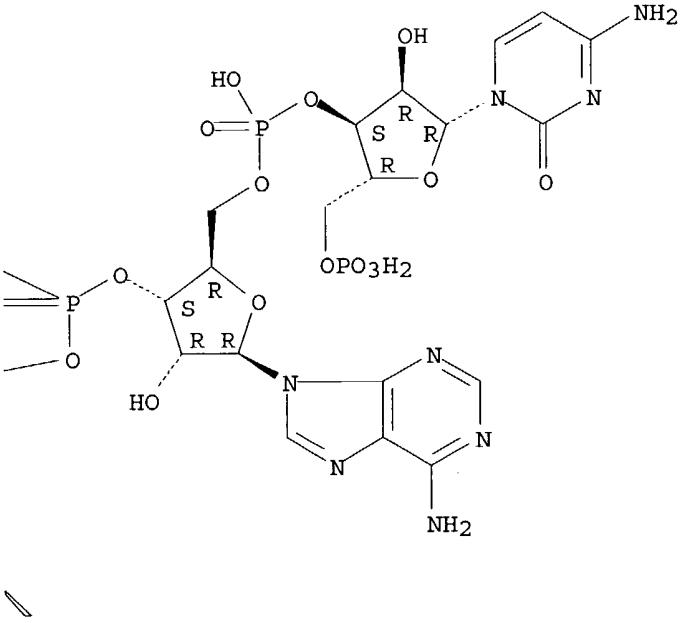
RN 204651-95-6 CAPLUS

CN L-Methionine, N-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]-, 3'-ester with 5'-O-phosphonocytidylyl-(3'→5')-adenylyl-(3'→5')-adenylyl-(3'→5')-cytidylyl-(3'→5')-cytidylyl-(3'→5')-adenosine (9CI) (CA INDEX NAME)

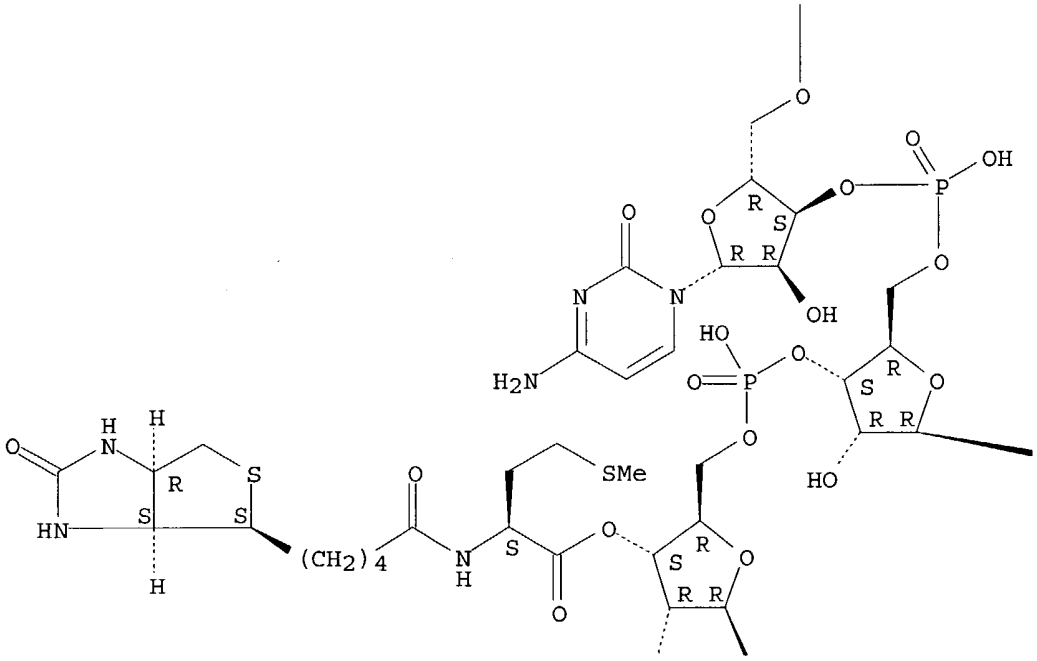
Absolute stereochemistry.



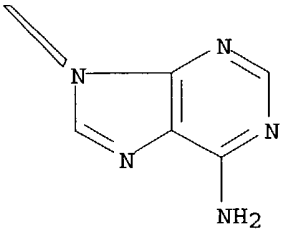
PAGE 1-B

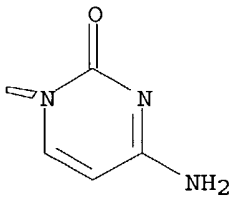


PAGE 2-A

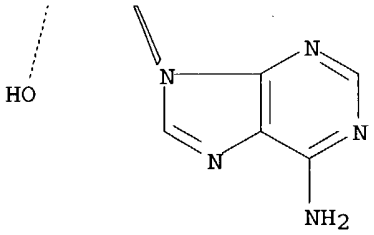


PAGE 2-B





PAGE 3-A



RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 11 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:372670 CAPLUS
DN 127:66105
TI Preparation of **oligodeoxyribonucleotide** analogs capable of passive cell membrane permeation
IN Bischofberger, Norbert; Kent, Ken; Wagner, Rick; Buhr, Chris; Lin, Kuei-Ying
PA Gilead Sciences, Inc., USA
SO U.S., 21 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5633360	A	19970527	US 1992-868487	19920414
	US 5763208	A	19980609	US 1996-608420	19960228
PRAI	US 1992-868487		19920414		

OS MARPAT 127:66105
AB **Oligodeoxyribonucleotides** that are capable of passive diffusion across cell membranes are disclosed. These **oligodeoxyribonucleotides** contain at least two nucleotide residues and show a log distribution coefficient in octanol:water of about 0.0-2.5 and a

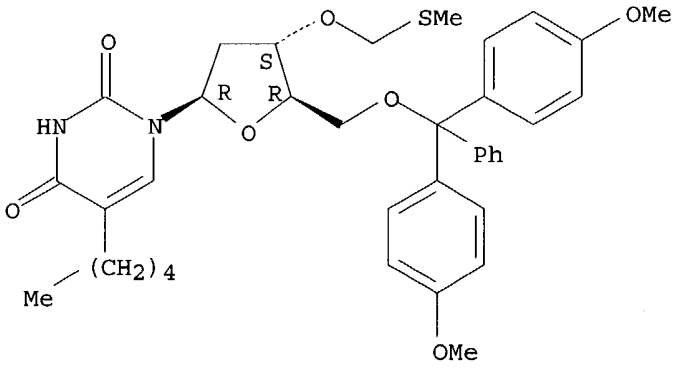
solubility in water of at least 0.001 μg/mL. In preferred embodiments, either at least 80% of the internucleotide linkages are non-ionic, or at least 80% of the bases contain lipophilic hydrocarbyl substitutions, or a combination of these sums to 80%. These **oligonucleotides** may be conjugated to label and used to visualize cells.

IT **191216-38-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of **oligodeoxyribonucleotide** analogs capable of passive cell membrane permeation)

RN 191216-38-3 CAPLUS

CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-3'-O-[(methylthio)methyl]-5-pentyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1997:198056 CAPLUS

DN 126:212349

TI Synthesis and Properties of **Oligoribonucleotide** Analogs Having Formacetal Internucleoside Linkages

AU Rozners, Eriks; Stroemberg, Roger

CS Department of Organic Chemistry, Stockholm University, Stockholm, S-10691, Swed.

SO Journal of Organic Chemistry (1997), 62(6), 1846-1850
CODEN: JOCEAH; ISSN: 0022-3263

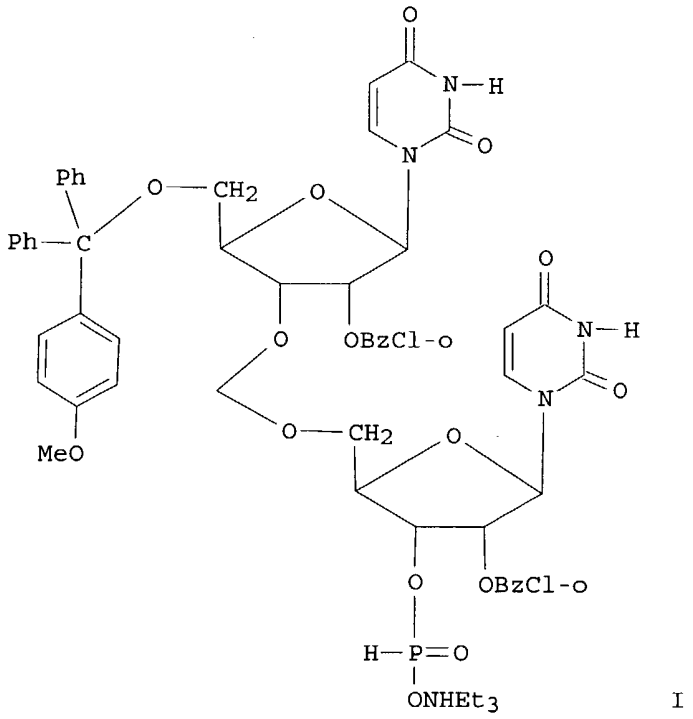
PB American Chemical Society

DT Journal

LA English

GI

09567863



I

AB Method for preparation of formacetal linked ribonucleoside dimers, e.g. I, and their incorporation in **oligoribonucleotides** have been developed. Selective 2'-o-chlorobenzoylation was used as a key reaction in protecting group manipulations. Coupling of 2'-O-o-chlorobenzoyl-5'-O-t-butyldiphenylsilyl-3'-O-methylthiomethyluridine with 2',3'-O-di-t-butyldimethylsilyl uridine using N-iodosuccinimide and a catalytic amount of trifluoromethanesulfonic acid as activators gave the formacetal linked dimer. Terminal hydroxy groups were deprotected (cleavage of silyl ethers) and the 5'-OH was monomethoxytritylated. One pot procedure involving selective 2'-o-chlorobenzoylation followed by 3'-phosphonylation gave the dimeric H-phosphonate building block for **oligonucleotide** synthesis. **Oligoribonucleotides** having formacetal linkages (f) at selected positions were synthesized (AAGCGAUfUUfUGACACU, ACAUfUCGUfUGUfUCAGA and (UfU)6U) and had slightly better affinity than the unmodified **oligoribonucleotides** to the complementary RNA fragment. **Oligonucleotides** containing ribonucleoside formacetal units may thus be of potential use as second generation antisense compds. The general protecting group strategy can be also used for synthesis of ribonucleoside dimers have other modified linkages.

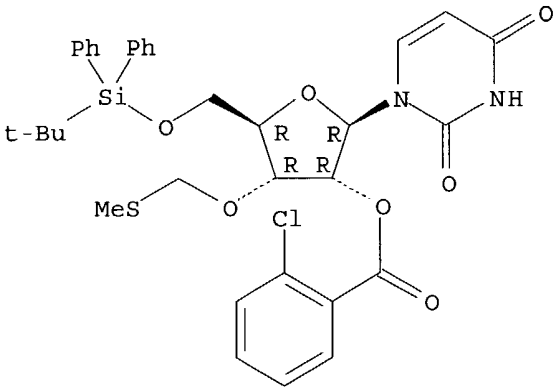
IT **187971-25-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and thermal stability of **oligoribonucleotide** analog duplexes having formacetal internucleoside linkages)

RN 187971-25-1 CAPLUS

CN Uridine, 5'-O-[(1,1-dimethylethyl)diphenylsilyl]-3'-O-[(methylthio)methyl]-, 2'-(2-chlorobenzoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09567863



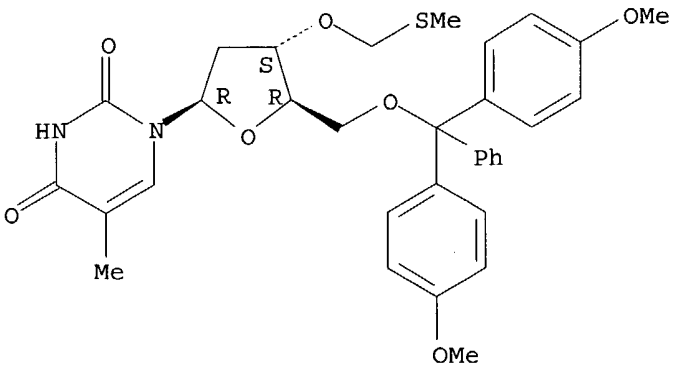
L9 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:191601 CAPLUS
DN 125:11373
TI Preparation of **oligonucleotide** analogs containing (thio)formacetal linkages.
IN Matteucci, Mark; Jones, Bob; Lin, Kuei Ying
PA Gilead Sciences, Inc., USA
SO U.S., 27 pp., Cont.-in-part of U. S. 5,254,562.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5495009	A	19960227	US 1992-874334	19920424
	US 5264564	A	19931123	US 1990-559957	19900730
	US 5264562	A	19931123	US 1991-690786	19910424
PRAI	US 1989-426286	B2	19891024		
	US 1989-448941	B2	19891211		
	US 1990-559957	A2	19900730		
	US 1991-690786	A2	19910424		
	US 1990-558882	B2	19900727		

OS CASREACT 125:11373
AB A method to link a first nucleoside or **oligonucleotide** and a second nucleotide or nucleoside 3'-5' through a OCH2O linkage comprising treating a first 5'-protected nucleoside or nucleotide derivatized at the 3'-position with a functional group OCH2SMe and a second nucleoside or nucleotide protected at the 3'-position with Br in the presence of 2,6-diethypyridine and mol. sieves, followed by treatment with Bu4NF in THF, is claimed. Thus, 5'-DMTO-T-OCH2SMe, 5'-HO-T-OSiMe2Tx (Tx = thexyl), 2,6-diethylpyridine, and 4Å mol. sieves were stirred 1 h in benzene at room temperature; Br in benzene was added and the mixture was stirred 2 h. The resulting residue in THF was treated with Bu4NF to give 71% 5'-DMTO-T-OCH2O-T-OH-3'. Thioformacetal linkage containing **oligonucleotides** were prepared and tested for binding to duplex DNA.
IT **129185-32-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of **oligonucleotide** analogs containing (thio)formacetal linkages.)
RN 129185-32-6 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-O-[(methylthio)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09567863



L9 ANSWER 14 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:170749 CAPLUS
DN 124:202965
TI Preparation of **oligonucleotide** analogs containing 5'-thioformacetal internucleoside linkage as antisense inhibitors of gene expression
IN Ducharme, Yves
PA Merck Frosst Canada Inc., Can.
SO PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9531470	A2	19951123	WO 1995-CA280	19950510
WO 9531470	A3	19960222		

W: CA, JP, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRAI US 1994-242520 19940513
OS CASREACT 124:202965; MARPAT 124:202965
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

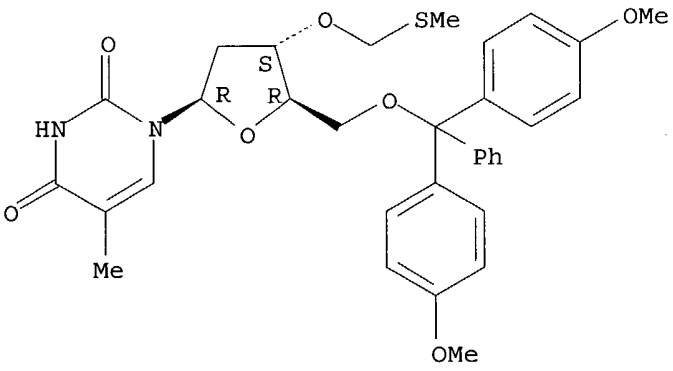
AB This invention is a new synthetic method for the preparation of **oligonucleotide** analogs containing a neutral 5'-thioformacetal internucleoside linkage and new di- and trinucleotide analogs containing purines and pyrimidines with neutral 5'-thioformacetal internucleoside linkages [I; B1, B2, Bn+2 = naturally occurring or non-naturally occurring purine or pyrimidine nucleic acid bases; P1, P2 = H, lower alkyl, acyl, (un)substituted trityl, trialkylsilyl; X = O, S; n = 0-28], which are useful as antiviral and anticancer agents. Said new synthetic method involves mixing a nucleoside donor (II; B = naturally occurring or non-naturally occurring purine or pyrimidine nucleic acid bases; P1, X = same as above; R = lower alkyl), diisopropylethylamine, and mol. sieve 3Å in CH2Cl2 and stirring at .apprx.0°, adding SO2Cl2, adding cyclohexene to trap the methylsulfenyl chloride formed in situ, adding a solution of a nucleoside acceptor (III; B, P2 = same as above) and diisopropylethylamine in CH2Cl2, and allowing the reaction to proceed for several hours to give the dinucleotide I (n = 0; P1, P2, X = same as above) followed by deprotection and repeating the above steps to extend the **oligonucleotide** chain. This process prevents the facile

depurination for the 2'-deoxyadenine nucleoside which invariably occurred in prior art during coupling using N-bromosuccinimide as the activating agent. Thus, to a mixture of 100 mg 5'-O-tert-butyldimethylsilyl-3'-O-(methylthiomethyl)thymidine (donor), 46 μL diisopropylethylamine, and 3Å mol. sieve in 1.5 mL CH2Cl2 stirred at 0° was added 20 μL SO2Cl2. After 1 min, 38 μL cyclohexene was added and was stirred for 10 min, followed by adding a solution of 91 mg N6-benzoyl-3'-O-tert-butyldimethylsilyl-2',5'-dideoxy-5'-thioadenosine (acceptor) and 46 μL diisopropylethylamine in CH2Cl2, and the resulting mixture was allowed to react for 3.5 h to give, after silica gel flash chromatog., 51% the dinucleotide I (n = 0, B1 = 1-thyminyl, B2 = N6-adenin-9-yl).

IT **129185-32-6P 133510-56-2P 172161-49-8P**
172161-50-1P 174523-24-1P 174523-25-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of antisense **oligonucleotide** analogs containing 5'-thioformacetal internucleoside linkage as anticancer and antiviral agents)

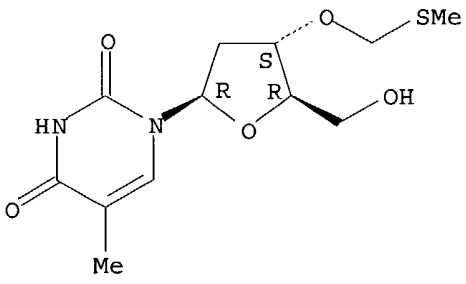
RN 129185-32-6 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-O-[(methylthio)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



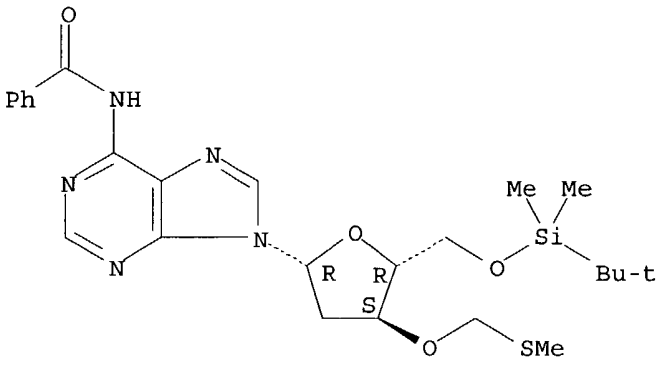
RN 133510-56-2 CAPLUS
CN Thymidine, 3'-O-[(methylthio)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



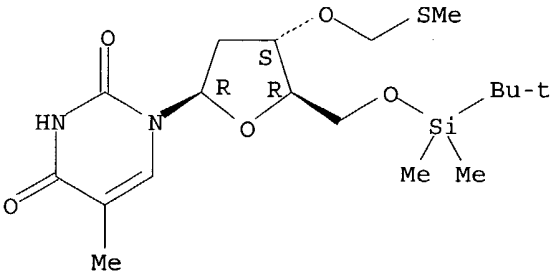
RN 172161-49-8 CAPLUS
CN Adenosine, N-benzoyl-2'-deoxy-5'-O-[(1,1-dimethylethyl)dimethylsilyl]-3'-O-[(methylthio)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



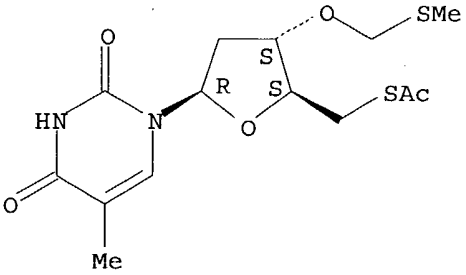
RN 172161-50-1 CAPLUS
CN Thymidine, 5'-O-[(1,1-dimethylethyl)dimethylsilyl]-3'-O-[(methylthio)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



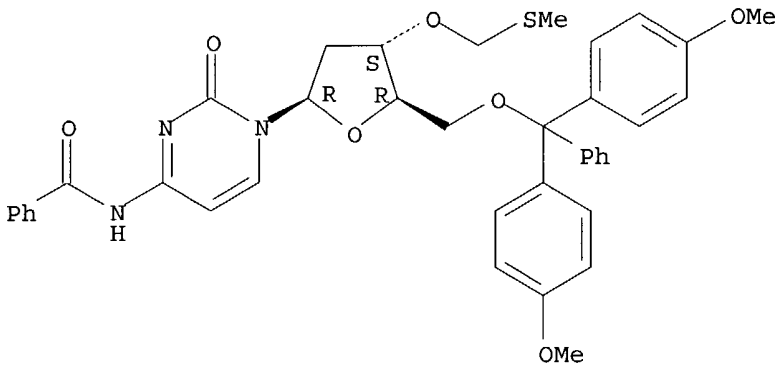
RN 174523-24-1 CAPLUS
CN Thymidine, 3'-O-[(methylthio)methyl]-5'-thio-, 5'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

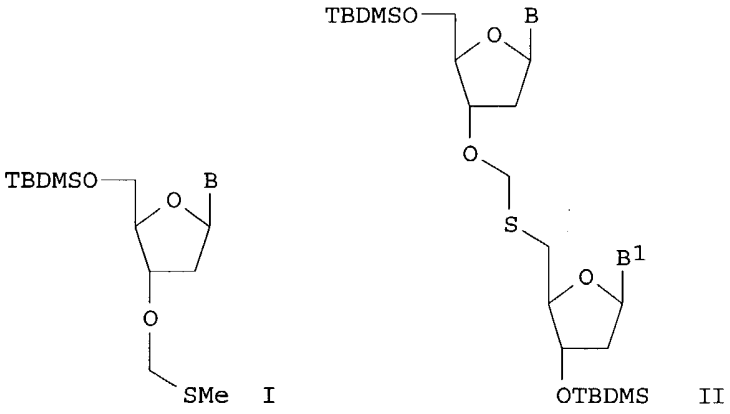


RN 174523-25-2 CAPLUS
CN Cytidine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-3'-O-[(methylthio)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



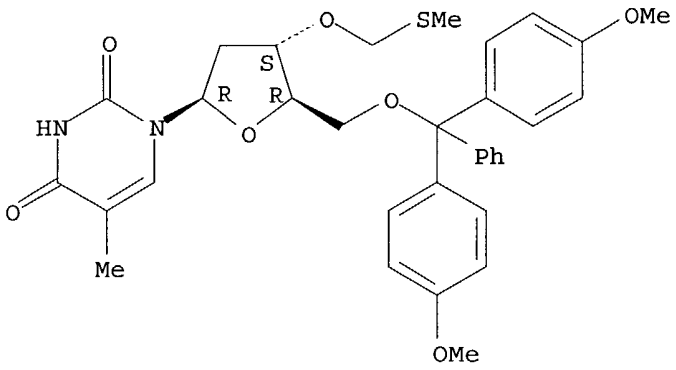
L9 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:825836 CAPLUS
DN 124:176755
TI A versatile approach to the synthesis of dinucleotide analogs containing neutral 5'-thioformacetal internucleoside linkages
AU Ducharme, Yves; Harrison, Kimberly A.
CS Merck Frosst Centre for Therapeutic Research, Pointe Claire-Dorval, QC, H9R 4P8, Can.
SO Tetrahedron Letters (1995), 36(37), 6643-6
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier
DT Journal
LA English
GI



AB Activation of nucleoside donors I (B = thymine, ABz) by sulfuryl chloride followed by the addition of 5'-thionucleoside acceptors yields 5'-thioformacetal dinucleotide analogs II (B = thymine, ABz, B1 = thymine, ABz, CBz, GiBu) with in situ trapping of liberated methanesulfenyl chloride with cyclohexene. Purine as well as pyrimidine derivs. can be part of a coupling reaction as nucleoside donors or acceptors. The dimethyoxytrityl protecting group is compatible with the present coupling methodol. allowing differential 3',5'-end protection with concomitant orthogonal base protection.
IT **129185-32-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of dinucleotide analogs containing neutral thioformacetal

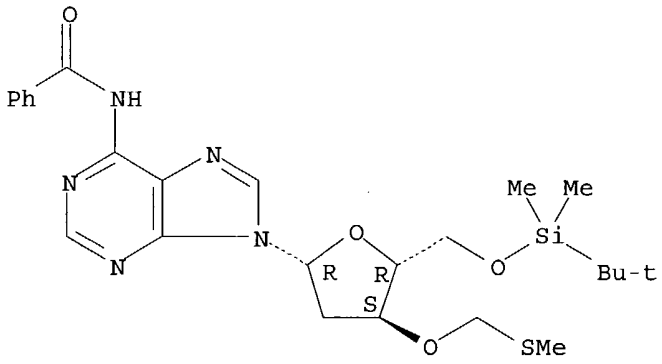
internucleoside linkages)
RN 129185-32-6 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-O-[(methylthio)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **172161-49-8P 172161-50-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of dinucleotide analogs containing neutral thioformacetal internucleoside linkages)
RN 172161-49-8 CAPLUS
CN Adenosine, N-benzoyl-2'-deoxy-5'-O-[(1,1-dimethylethyl)dimethylsilyl]-3'-O-[(methylthio)methyl]- (9CI) (CA INDEX NAME)

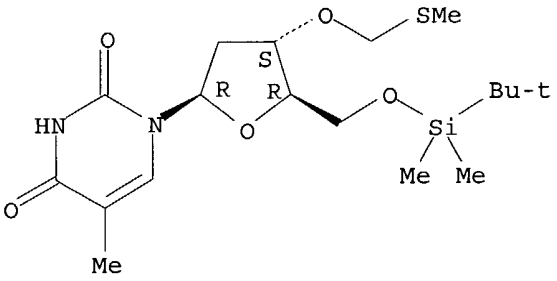
Absolute stereochemistry.



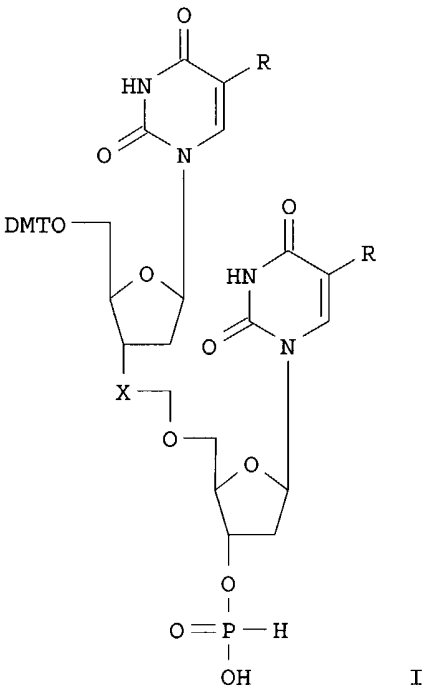
RN 172161-50-1 CAPLUS
CN Thymidine, 5'-O-[(1,1-dimethylethyl)dimethylsilyl]-3'-O-[(methylthio)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09567863



L9 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:9129 CAPLUS
DN 122:10428
TI **Oligodeoxynucleotides** containing 5-(1-propynyl)-2'-deoxyuridine formacetal and thioformacetal dimer synthons
AU Lin, Kuei Ying; Pudlo, Jeffrey S.; Jones, Robert J.; Bischofberger, Norbert; Matteucci, Mark D.; Froehler, Brian C.
CS Gilead Sci., Inc., Foster City, CA, 94404, USA
SO Bioorganic & Medicinal Chemistry Letters (1994), 4(8), 1061-4
CODEN: BMCLE8; ISSN: 0960-894X
DT Journal
LA English
GI



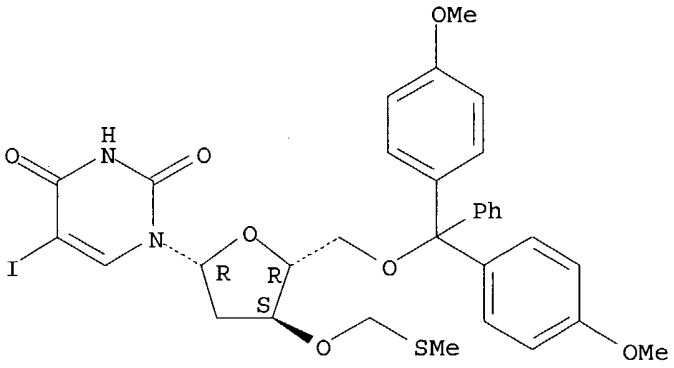
I

AB **Oligodeoxynucleotides** containing the C-5 propyne 2'-deoxyuridine analog in conjunction with the formacetal and 3'-thioformacetal linkage, e.g. I (R = Me, C.tplbond.CMe, X = O, S), are described. Thermal denaturation anal. demonstrates that these analogs have enhanced binding affinity to both single-strand RNA and DNA and double-strand DNA.
IT **159140-62-2**

09567863

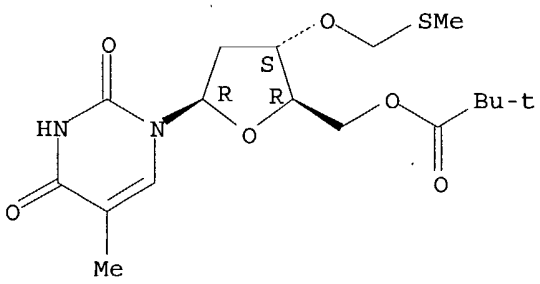
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of propynyldeoxyuridine dimer-containing **oligodeoxyribonucleotide** duplexes and triplexes)
RN 159140-62-2 CAPLUS
CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-5-iodo-3'-O-[(methylthio)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:107593 CAPLUS
DN 120:107593
TI A new method to prepare 3'-modified **oligonucleotides**
AU Hovinen, Jari; Gouzaev, Andrei P.; Azhayev, Alex V.; Lonnberg, Harri
CS Dep. Chem., Univ. Turku, Turku, 20500, Finland
SO Tetrahedron Letters (1993), 34(32), 5163-6
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
OS CASREACT 120:107593
AB A new method to prepare **oligonucleotides** bearing a carboxy-or aminoalkyl spacer arm at their 3'-terminus is described.
IT **151961-79-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in synthesis of **oligodeoxyribonucleotides**)
RN 151961-79-4 CAPLUS
CN Thymidine, 3'-O-[(methylthio)methyl]-, 5'-(2,2-dimethylpropanoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



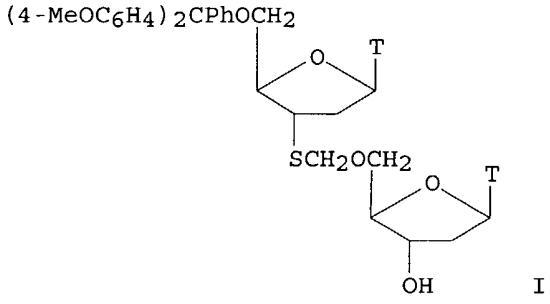
L9 ANSWER 18 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:626344 CAPLUS

09567863

DN 119:226344
TI **Oligonucleotide** analogs containing thioformacetal linkages
IN Matteucci, Mark; Jones, Bob; Lin, Keui Ying
PA Gilead Sciences, Inc., USA
SO PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9219637	A1	19921112	WO 1992-US3385	19920424
	W: AU, CA, FI, JP, KR, NO				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	US 5264562	A	19931123	US 1991-690786	19910424
	AU 9219113	A1	19921221	AU 1992-19113	19920424
PRAI	US 1991-690786	A	19910424		
	US 1989-426286	B2	19891024		
	US 1989-448941	B2	19891211		
	US 1990-558882	B2	19900727		
	US 1990-559957	A2	19900730		
	WO 1992-US3385	A	19920424		

OS MARPAT 119:226344
GI



I

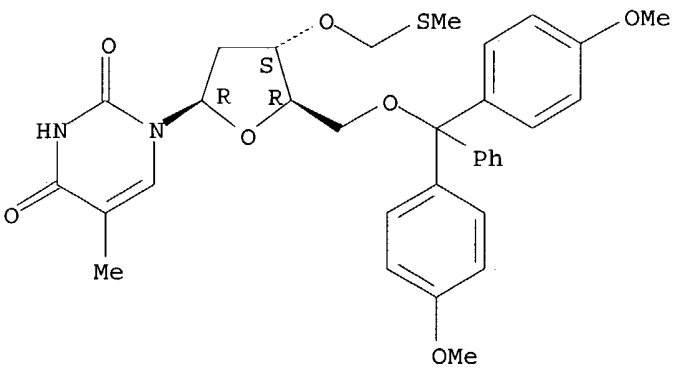
AB Modified **oligonucleotides** were prepared, containing a nucleotide sequence, useful in binding a biol. moiety, with a linkage 5'-X-CRR1-X1-3' (one of X and X1 is S, the other is O; R, R1 are stabilizing substituents). Thus, 3'-tert-butyldimethylsilylthymidine was converted to its 5'-methylthiomethyl ether and coupled with 5'-dimethoxytrityl-3'-thiothymidine to give the dimer I. I was incorporated into 5'-TTTXTC(Me)TTXTC(Me)TC(Me)C(Me)TXTTXTTQ [II, X = SCH2O; C(Me) = 5-methyldeoxycytidine; Q = anthraquinone pseudonucleoside]. II (X = SCH2O) hybridized with its complementary sequence to give a hybrid with a melting temperature of 71°, cf 67° and 69° for the hybrids from II [X = OCH2O, OP(O)(OH)O].

IT **129185-32-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with silylthymidine)

RN 129185-32-6 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-O-[(methylthio)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

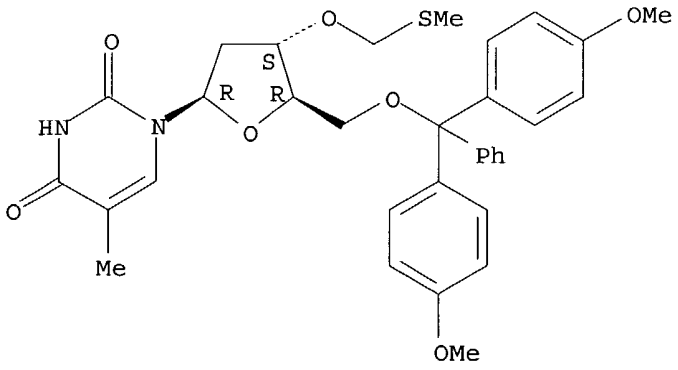
09567863



L9 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:626310 CAPLUS
DN 119:226310
TI Synthesis and binding properties of pyrimidine **oligodeoxynucleoside** analogs containing neutral phosphodiester replacements: the formacetal and 3'-thioformacetal internucleoside linkages
AU Jones, Robert J.; Lin, Kuei Ying; Milligan, John F.; Wadwani, Shalini; Matteucci, Mark D.
CS Gilead Sci., Foster City, CA, 94404, USA
SO Journal of Organic Chemistry (1993), 58(11), 2983-91
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
AB Pyrimidine dimer deoxyribonucleosides containing neutral phosphodiester with formacetal and 3'-thioformacetal internucleoside linkages, are prepd and incorporated into **oligodeoxyribonucleosides** (ODNs) . The binding properties ODNs to single-stranded (ss) RNA and double-stranded (ds) DNA were then determined The triple helix formation properties of the 3'-thioformacetal and formacetal ODNs were determined by footprint and restriction enzyme inhibition assays. The 3'-thioformacetal ODN binds to dsDNA with an affinity slightly less than the control ODN. The high affinity and specificity of an ODN containing the 3'-thioformacetal for the ssRNA target and dsDNA target suggest that this linkage is a promising analog for both antisense and triple helix therapeutic applications.
IT **129185-32-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(coupling of, with deoxyribonucleoside)
RN 129185-32-6 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-O-[(methylthio)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09567863



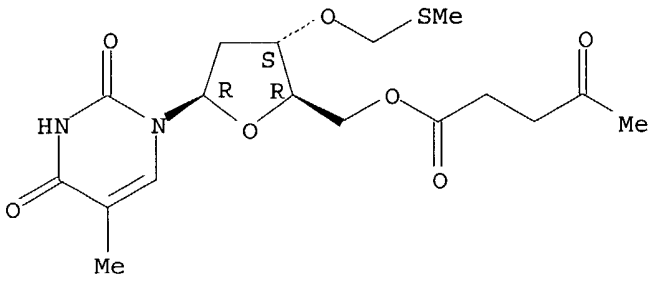
L9 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:603721 CAPLUS
DN 119:203721
TI Synthesis and physicochemical properties of decanucleotides containing (3' → 5')-O-CH2-O-linkages at predetermined positions
AU Quaedflieg, P. J. L. M.; Pikkemaat, J. A.; Van der Marel, G. A.; Kuyl-Yeheskiely, E.; Altona, C.; Van Boom, J. H.
CS Gorlaeus Lab., Leiden, 2300 RA, Neth.
SO Recueil des Travaux Chimiques des Pays-Bas (1993), 112(1), 15-21
CODEN: RTCPA3; ISSN: 0165-0513
DT Journal
LA English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

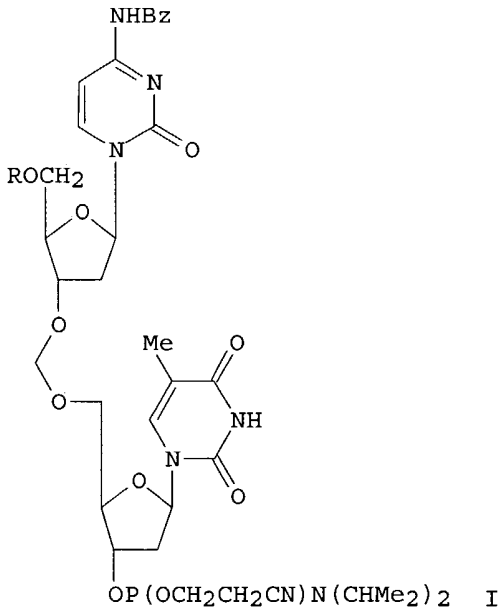
AB Synthesis of the modified decadeoxynucleotides having the sequence d(G1pC2pG3pT4x1T5x2T6x3T7pG8pC9pG10) and containing one (x2 = CH2, x1 = x3 = p) [p = P(O)(O-)], two (x1 = x3 = CH2, x2 = p, x2 = x3 = CH2, x1 = p, and x1 = x2 = CH2, x3 = p) or three (x1 = x2 = x3 = CH2) -O-CH2-O- linkages could be accomplished using the resp. mono-, di- or tri(-O-CH2-O) 3'-O-phosphoramidites I (n = 0, 1, 2) as the incoming synthons. Hybridization of the modified decadeoxynucleotides with their native complementary strand afforded stable duplexes, which were studied by 1D- and 2D-1H-NMR and UV-hyperchromicity techniques.
IT **133510-57-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and coupling of, with benzoylthymidine)
RN 133510-57-3 CAPLUS
CN Thymidine, 3'-O-[(methylthio)methyl]-, 5'-(4-oxopentanoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09567863



L9 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:59870 CAPLUS
DN 116:59870
TI Synthesis of (3'→5') methylene acetal linked dinucleosides containing cytosine bases
AU Quaedflieg, P. J. L. M.; Van der Marel, G. A.; Kuyl-Yeheskiely, E.; Van Boom, J. H.
CS Gorlaeus Lab., Leiden, 2300 RA, Neth.
SO Recueil des Travaux Chimiques des Pays-Bas (1991), 110(10), 435-6
CODEN: RTCPA3; ISSN: 0165-0513
DT Journal
LA English
GI



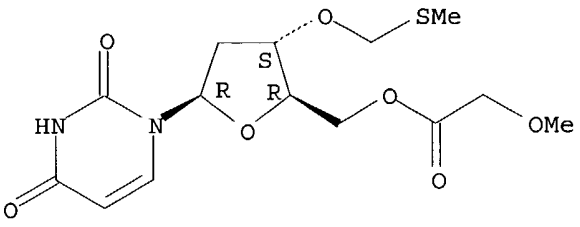
I

AB 5'-O-Methoxyacetyl-3'-O-methylthiomethyl-2'-deoxyuridine could be condensed with 3'-O,N3-dibenzoylthymidine using N-iodosuccinimide/cat. CF3SO3H as the activating system, to give the (3'→5') methylene acetal linked dinucleoside. After removal of the methoxyacetyl group and tritylation of the 5'-hydroxyl function, the uridine moiety was converted into cytidine via the corresponding 4-triazolo analog. Subsequent N4-benzoylation of cytidine and phosphitylation of the 3'-terminus afforded the fully protected phosphoramidite dimer I (R = dimethoxytrityl).

09567863

IT **138560-33-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with dibenzoylthymidine)
RN 138560-33-5 CAPLUS
CN Uridine, 2'-deoxy-3'-O-[(methylthio)methyl]-, 5'-(methoxyacetate) (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

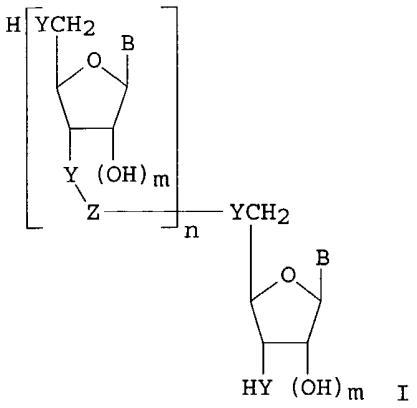


L9 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:536661 CAPLUS
DN 115:136661
TI Preparation of formacetal- or ketal-linked **oligonucleotides** as nucleic acid hybridization probes
IN Matteucci, Mark
PA Gilead Sciences, Inc., USA
SO PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9106629	A1	19910516	WO 1990-US6110	19901024
	W: AU, CA, JP, KR				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
	US 5264564	A	19931123	US 1990-559957	19900730
	CA 2071483	AA	19910425	CA 1990-2071483	19901024
	CA 2071483	C	20010417		
	AU 9067245	A1	19910531	AU 1990-67245	19901024
	AU 653504	B2	19941006		
	EP 498843	A1	19920819	EP 1990-916934	19901024
	EP 498843	B1	19960612		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 05504553	T2	19930715	JP 1990-515643	19901024
	AT 139255	E	19960615	AT 1990-916934	19901024
PRAI	US 1989-426286	A	19891024		
	US 1989-448941	A	19891211		
	US 1990-559957	A	19900730		
	US 1990-558882	B2	19900727		
	WO 1990-US6110	A	19901024		

OS MARPAT 115:136661
GI

09567863



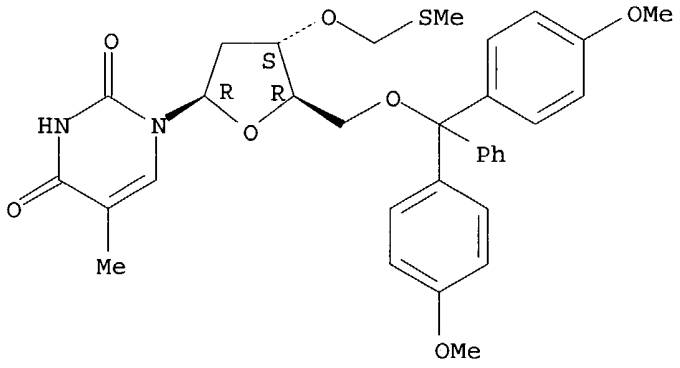
AB Formacetal- or ketal-linked **oligonucleotides** I (B = pyrimidine or purine residue, Z = P(O)O, P(O)S, P(O)NRR, CX2, etc.; X = H, CO2H, ester or amide group, SOR1, SO2R1, cyano, CF3, etc.; R = H, C1-6 alkyl; R1 = C1-6 alkyl; n = 1-200; m = 0, 1; Y = O, S; at least one Z = CX2), useful as nucleic acid hybridization probes, were prepared Thus 5'-dimethoxytrityl-3'-methylthiomethylthymidine (II) (preparation given) was condensed with 3'-thexyldimethylsilylthymidine (preparation given) and the resulting compound was deprotected to give 5'-hydroxy-3'-thexyldimethylsilylthymidine formacetal thymidine. This was condensed with another mol of II and the resulting trimer was condensed with succinic anhydride to give 5'-dimethoxytrityl-3'-succinylate thymidine formacetal thymidine formacetal thymidine. This was bound to a solid support, deprotected and coupled with the appropriate monomers to form title compound 5'-TCTCCCTCTCTTT(OCH2O)T(OCH2O)T-3' (III). In a complimentary RNA hybridization study, III had Tm = 59.0° vs. 56.5° for TCTCCCTCTCTT.

IT **129185-32-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and condensation of, with thexyldimethylsilyl thymidine)

RN 129185-32-6 CAPLUS

CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-O-[(methylthio)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN

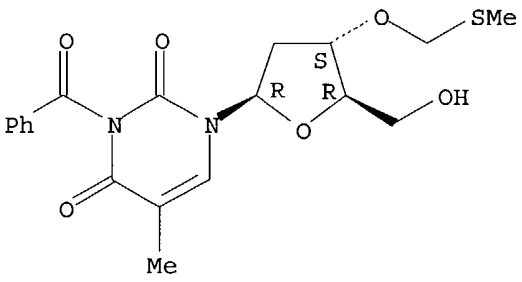
AN 1991:207681 CAPLUS

DN 114:207681

09567863

TI An efficient approach to the synthesis of thymidine derivatives containing phosphate-isosteric methylene acetal linkages
AU Veeneman, G. H.; Van der Marel, G. A.; Van den Elst, H.; Van Boom, J. H.
CS Gorlaeus Lab., Univ. Leiden, Leiden, 2300 RA, Neth.
SO Tetrahedron (1991), 47(8), 1547-62
CODEN: TETRAB; ISSN: 0040-4020
DT Journal
LA English
OS CASREACT 114:207681
AB Iodonium ion promoted condensation of properly protected 3'-O-methylthiomethyl or 3'-O-(4-penten-1-oxymethyl)-thymidine with 3'-O-methoxyacetyl-thymidine,led to an efficient preparation of thymidine dimers having internucleosidic-(3'-5')-methylene bonds.. The latter procedure was utilized towards the synthesis, in solution and on a solid support, of DNA-fragments containing one or more T-CH2-T dimers. Further, 5'-O-methylthiomethyl-3'-O-methoxyacetyl-N3-benzoyl-thymidine proved to be a suitable donor for the introduction of 5'-O-methylene acetal-linkages between 2,3,4,6-tetra-O-benzyl-D-glucose, benzyl N-benzyloxycarbonyl-L-serine and dibenzyl phosphate.
IT **133510-52-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of)
RN 133510-52-8 CAPLUS
CN Thymidine, 3-benzoyl-3'-O-[(methylthio)methyl]- (9CI) (CA INDEX NAME)

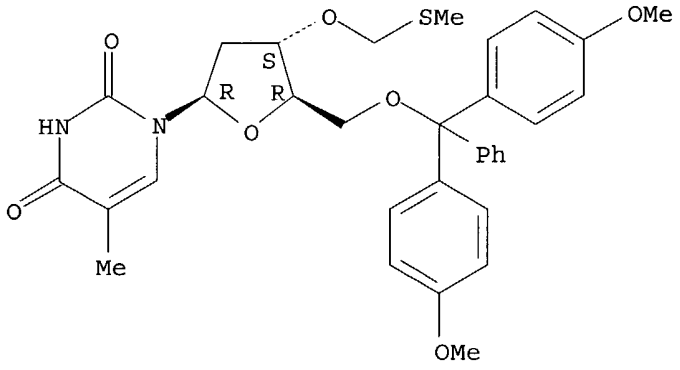
Absolute stereochemistry.



IT **129185-32-6P 130867-59-3P 133510-53-9P 133510-57-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and dimerization of)
RN 129185-32-6 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-O-[(methylthio)methyl]- (9CI) (CA INDEX NAME)

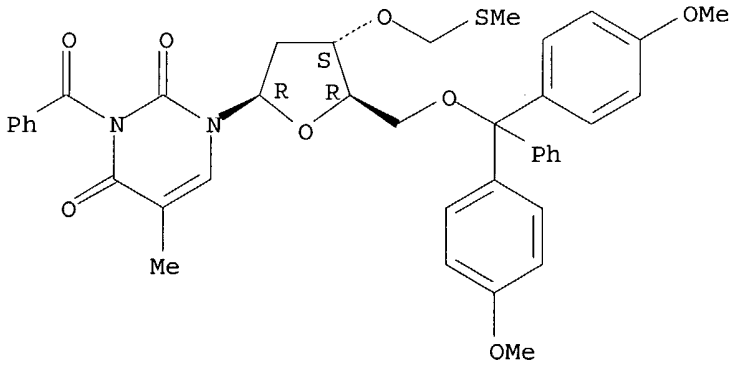
Absolute stereochemistry.

09567863



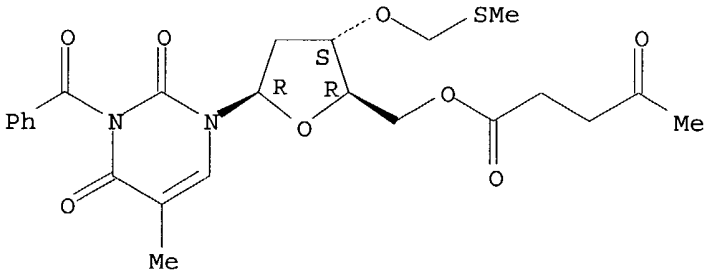
RN 130867-59-3 CAPLUS
CN Thymidine, 3-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-O-[(methylthio)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 133510-53-9 CAPLUS
CN Thymidine, 3-benzoyl-3'-O-[(methylthio)methyl]-, 5'-(4-oxopentanoate) (9CI) (CA INDEX NAME)

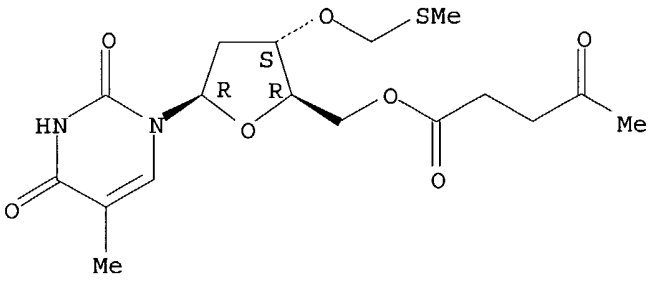
Absolute stereochemistry.



RN 133510-57-3 CAPLUS
CN Thymidine, 3'-O-[(methylthio)methyl]-, 5'-(4-oxopentanoate) (9CI) (CA INDEX NAME)

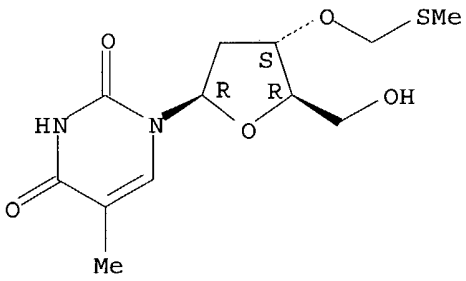
Absolute stereochemistry.

09567863



IT **133510-56-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 133510-56-2 CAPLUS
CN Thymidine, 3'-O-[(methylthio)methyl]- (9CI) (CA INDEX NAME)

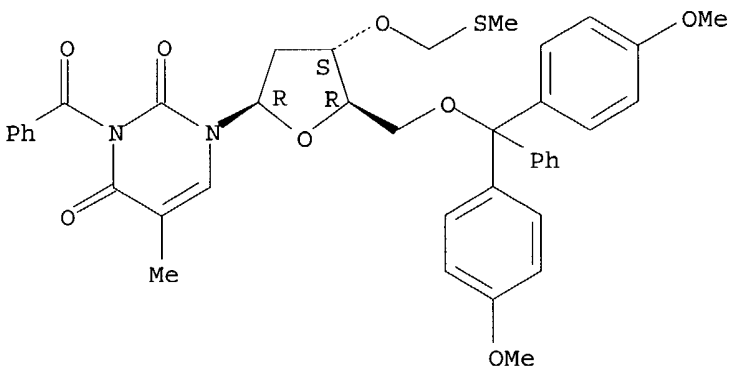
Absolute stereochemistry.



L9 ANSWER 24 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:7074 CAPLUS
DN 114:7074
TI Synthesis of **oligodeoxynucleotides** containing thymidines linked via an internucleosidic-(3'-5')-methylene bond
AU Veeneman, G. H.; Van der Marel, G. A.; Van den Elst, H.; Van Boom, J. H.
CS Gorlaeus Lab., Leiden, 2300 RA, Neth.
SO Recueil des Travaux Chimiques des Pays-Bas (1990), 109(7-8), 449-51
CODEN: RTCPA3; ISSN: 0165-0513
DT Journal
LA English
OS CASREACT 114:7074
AB Condensation of N3-benzoyl-5'-O-dimethoxytrityl-3'-O-(4-penten-1-oxymethyl)-thymidine with N3-benzoyl-3'-O-methoxyacetyl-thymidine in the presence of N-iodosuccinimide afforded a fully protected thymidine dimer having an internucleosidic-(3'-5')-methylene linkage. The latter was utilized in the preparation, in solution and on a solid support, of DNA-fragments containing one or more T-CH2-T dimers.
IT **130867-59-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and coupling of, with nucleoside via methylene bond)
RN 130867-59-3 CAPLUS
CN Thymidine, 3-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-O-[(methylthio)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

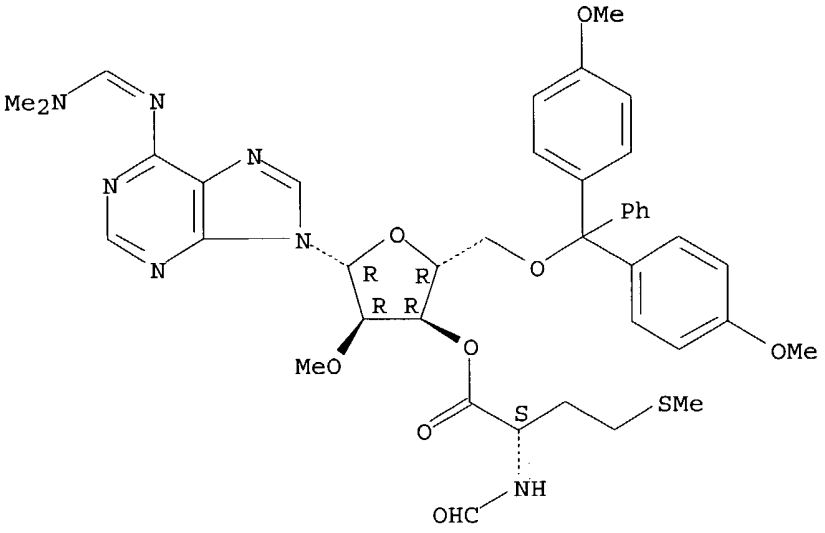
09567863



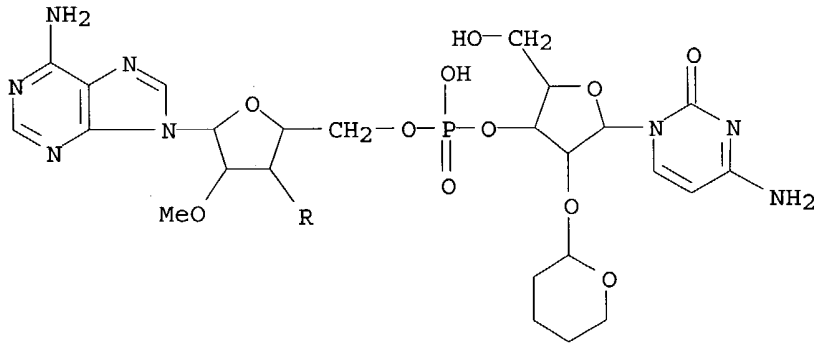
L9 ANSWER 25 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1978:121611 CAPLUS
DN 88:121611
TI **Oligonucleotidic** compounds. LXII. Synthesis of cytidylyl(3' → 5')-2'-O(and 3'-O)-methyladenosine 3'-O(and 2'-O)-N-formyl-L-methionyl derivatives
AU Alexandrova, L. A.; Smrt, Jiri
CS Inst. Org. Chem. Biochem., Czech. Acad. Sci., Prague, Czech.
SO Collection of Czechoslovak Chemical Communications (1977), 42(5), 1694-1704
CODEN: CCCCAK; ISSN: 0366-547X
DT Journal
LA English
AB Cytidylyl-(3'→5')-2'-O-methyl-3'-O-(N-formyl-L-methionyl)adenosine and cytidylyl-(3'→5')-2'-O-(N-formyl-L-methionyl)-3'-O-methyladenosine were prepared by the action of N-formyl-L-methionylimidazole on 5'-O-[bis(p-methoxyphenyl)phenylmethyl]-2'-O-tetrahydropyranyl-N4-dimethylaminomethylenecytidylyl-(3'→5')-2'-O(and 3'-O, resp.)-methyl-N6-dimethylaminomethyleneadenosine followed by a stepwise removal of acid labile protecting groups. Contrary to dicyclohexylcarbodiimide, 1-(p-tolylsulfonyl)-1,2,4-triazole in C5H5N did not racemize N-formyl-L-methionine in the reaction with 5'-O-[bis(p-methoxyphenyl)phenylmethyl]-2'-O-methyl-N6-dimethylaminomethyleneadenosine to 5'-O-[bis(p-methoxyphenyl)phenylmethyl]-2'-O-methyl-3'-O-(N-formyl-L-methionyl)-N6-dimethylaminomethyleneadenosine .
IT **65798-42-7P 65840-01-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deblocking of)
RN 65798-42-7 CAPLUS
CN L-Methionine, N-formyl-, ester with 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-N-[(dimethylamino)methylene]-2'-O-methyladenosine (9CI) (CA INDEX NAME)

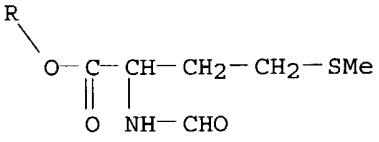
Absolute stereochemistry.
Double bond geometry unknown.

09567863



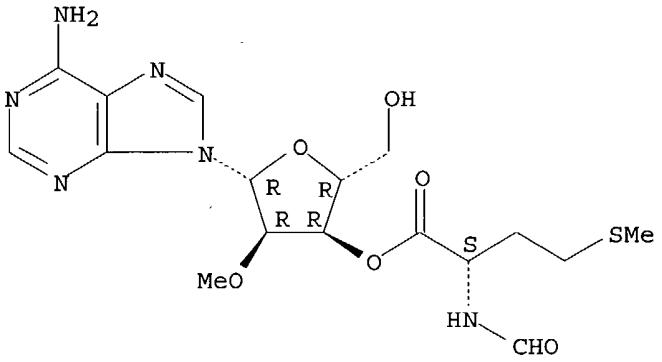
RN 65840-01-9 CAPLUS
CN Adenosine, 2'-O-(tetrahydro-2H-pyran-2-yl)cytidylyl-(3'→5')-2'-O-methyl-, 3'-ester with N-formyl-L-methionine (9CI) (CA INDEX NAME)





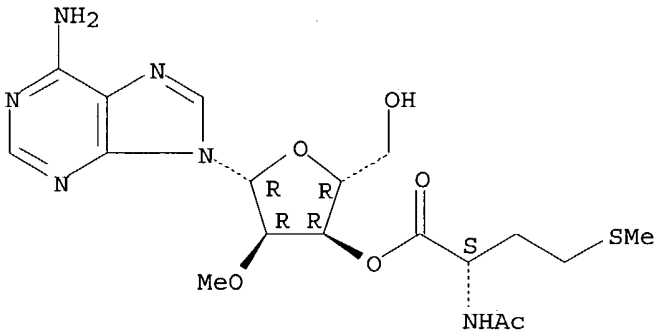
IT **54918-40-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with cytidine derivative)
RN 54918-40-0 CAPLUS
CN L-Methionine, N-formyl-, 3'-ester with 2'-O-methyladenosine (9CI) (CA INDEX NAME)

Absolute stereochemistry.



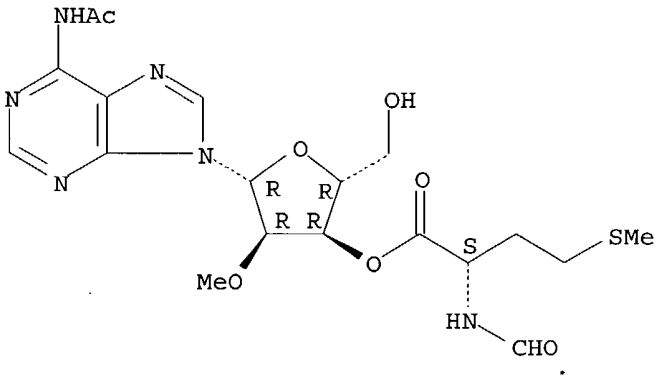
IT **65798-44-9P 65798-45-0P 65798-47-2P 65990-92-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 65798-44-9 CAPLUS
CN L-Methionine, N-acetyl-, 3'-ester with 2'-O-methyladenosine (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 65798-45-0 CAPLUS
CN L-Methionine, N-formyl-, 3'-ester with N-acetyl-2'-O-methyladenosine (9CI) (CA INDEX NAME)

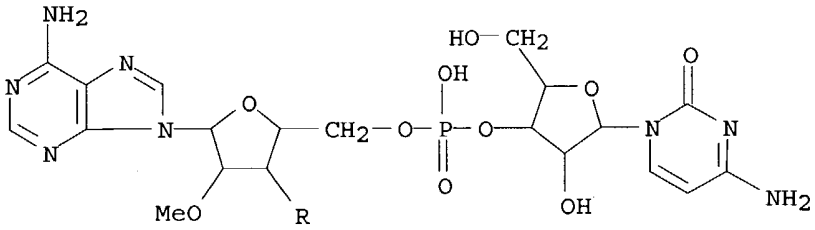
Absolute stereochemistry.

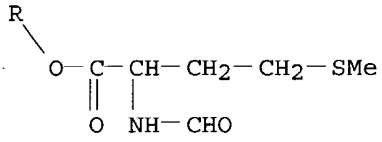


RN 65798-47-2 CAPLUS
CN Adenosine, cytidylyl-(3'→5')-2'-O-methyl-, 3'-ester with

09567863

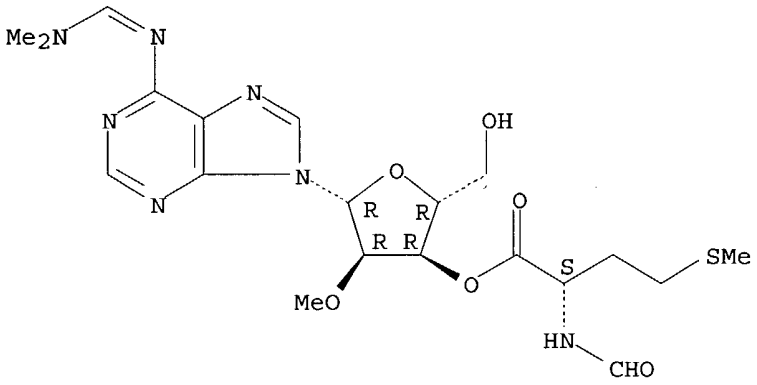
N-formyl-L-methionine (9CI) (CA INDEX NAME)





RN 65990-92-3 CAPLUS
CN L-Methionine, N-formyl-, 3'-ester with N-[(dimethylamino)methylene]-2'-O-methyladenosine (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



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